

L 19

ring nodes :

1 2 3 4 5 6 7 8 9 10

ring bonds :

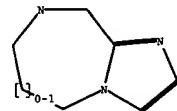
1-2 1-7 2-3 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

exact/norm bonds :

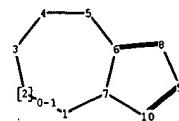
1-2 1-7 2-3 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom



13



L 23

ring nodes :

1 2 3 4 5 6 7 8 9 10

ring/chain nodes :

13

ring bonds :

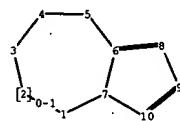
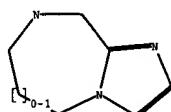
1-2 1-7 2-3 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

exact/norm bonds :

1-2 1-7 2-3 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom 13:CLASS



L2

ring nodes :

1 2 3 4 5 6 7 8 9 10

ring bonds :

1-2 1-7 2-3 3-4 4-5 5-6 6-7 6-8 7-10 8-9 9-10

exact/norm bonds :

1 - 2      1 - 7      2 - 3      3 - 4      4 - 5      5 - 6      6 - 7      6 - 8      7 - 10      8 - 9      9 - 10

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom  
10:Atom

09/868,356

=> d his

(FILE 'HOME' ENTERED AT 15:46:10 ON 01 JUL 2003)

FILE 'REGISTRY' ENTERED AT 15:46:20 ON 01 JUL 2003  
L1 STRUCTURE uploaded  
L2 QUE L1  
L3 25 S L2  
L4 1302 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 15:47:10 ON 01 JUL 2003  
L5 256 S L4  
L6 ANALYZE L5 1- RN HIT : 1208 TERMS

FILE 'REGISTRY' ENTERED AT 15:47:52 ON 01 JUL 2003  
L7 1 S 14483-72-8/RN  
L8 1 S 64738-53-0/RN  
L9 1 S 78105-31-4/RN  
L10 1 S 91476-80-1/RN  
L11 1 S 97420-76-3/RN  
L12 100 S 68009?/RN

FILE 'CAPLUS' ENTERED AT 15:49:31 ON 01 JUL 2003  
L13 1 S WO200039130/PN  
SELECT RN L13 1-

FILE 'REGISTRY' ENTERED AT 15:49:56 ON 01 JUL 2003  
L14 148 S E1-148  
L15 80 S L4 AND L14

FILE 'CAPLUS' ENTERED AT 15:51:39 ON 01 JUL 2003  
L16 6 S L15

FILE 'REGISTRY' ENTERED AT 15:51:49 ON 01 JUL 2003  
L17 38 S L12 AND L4  
L18 STRUCTURE uploaded  
L19 QUE L18  
L20 306 S L19 SUB=L4 FUL

FILE 'CAPLUS' ENTERED AT 15:57:24 ON 01 JUL 2003  
L21 70 S L20

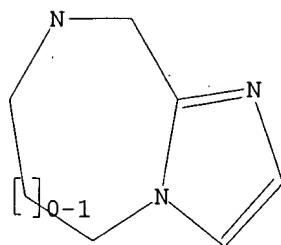
FILE 'REGISTRY' ENTERED AT 15:58:15 ON 01 JUL 2003  
L22 STRUCTURE uploaded  
L23 QUE L22  
L24 905 S L23 SUB=L4 FUL  
L25 233 S L20 AND L24  
L26 73 S L20 NOT L25

FILE 'CAPLUS' ENTERED AT 15:59:48 ON 01 JUL 2003  
L27 46 S L25

=> s l24  
L28 200 L24

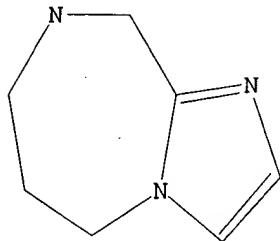
=> d 123  
L23 HAS NO ANSWERS  
L22 STR

N



Structure attributes must be viewed using STN Express query preparation.  
L23                   QUE   ABB=ON   PLU=ON   L22

=> d 119  
L19 HAS NO ANSWERS  
L18 STR

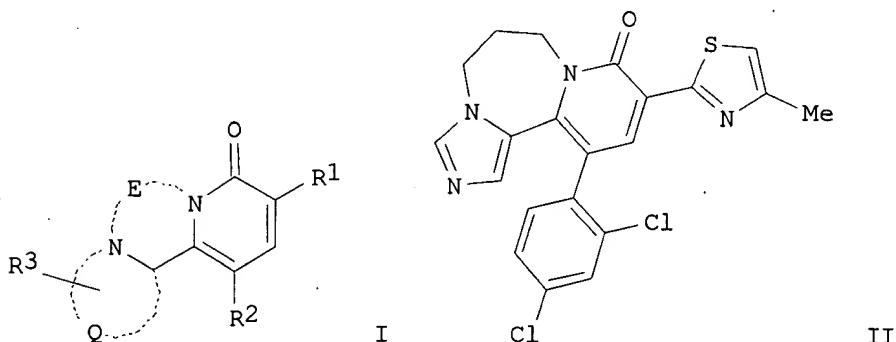


Structure attributes must be viewed using STN Express query preparation.  
L19                   QUE ABB=ON PLU=ON L18

=> d bib abs hitstr 127 1-46

ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 2003:173578 CAPLUS  
 138:221605  
 Preparation of tricyclic pyridin-2-one analogues as ligands for GABAA  
 receptors  
 Bourrain, Sylvie; Goodacre, Simon Charles; Hallett, David James; Lewis,  
 Richard Thomas; Rowley, Michael; Sternfeld, Francine; Street, Leslie  
 Joseph  
 Merck Sharp & Dohme Limited, UK  
 PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 Patent  
 English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018546	A2	20030306	WO 2002-GB3703	20020812
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2001-20345	A	20010821		
OS	MARPAT	138:221605			
GI					



AB The title fused tricyclic compds. I [E = (CH<sub>2</sub>)<sub>n</sub>; n = 1-3; Q = the residue of an imidazole or triazole ring; R<sub>1</sub>, R<sub>2</sub> = H, halo, heterocyclyl, etc.; R<sub>3</sub> = H, alkyl] which are potent and functionally selective ligands for the .alpha.2/.alpha.3 subunit of the human GABA<sub>A</sub> receptor and are thereby effective in the treatment of anxiety and convulsions, were prep'd. E.g., a 7-step synthesis of II, starting from Et (4-methylthiazol-2-yl)acetate and 3-aminopropanol, was given. The exemplified compds. I were found to possess a K<sub>i</sub> of .1 to req. 100 nM for displacement of [<sup>3</sup>H]-flumazenil from the .alpha.2 and/or .alpha.3 subunit of the human GABA<sub>A</sub> receptor.

IT 500725-68-8P

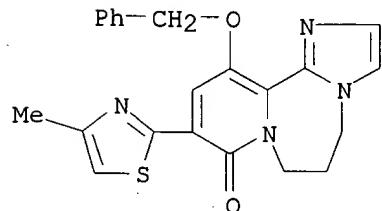
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of tricyclic pyridin-2-one analogs as ligands for GABAA  
receptors)

RN 500725-68-8 CAPLUS

CN 5H,9H-Imidazo[1,2-a]pyrido[2,1-c][1,4]diazepin-9-one, 6,7-dihydro-10-(4-  
methyl-2-thiazolyl)-12-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L27 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2002:927175 CAPLUS  
 DN 138:14131  
 TI Preparation of pharmaceutical compositions containing mikanolide, dihydromikanolide or an analog thereof combined with another anticancer agent for therapeutic use in cancer treatment  
 IN Prevost, Gregoire; Coulomb, Helene; Lavergne, Olivier; Lanco, Christophe; Teng, Beng-Poon  
 PA Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.  
 SO PCT Int. Appl., 103 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002096348	A2	20021205	WO 2002-FR1800	20020529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2825278	A1	20021206	FR 2001-7104	20010530
PRAI FR 2001-7104	A	20010530		
OS MARPAT 138:14131				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention concerns a product comprising at least mikanolide (I), dihydromikanolide or an analog, e.g., II [R1 = H, SR4, NR4R5; R2 = SR6, NR6R7; R3 = OH, O-acyl, O-silyl, O-carbamyl; R4, R6 = alkyl, cycloalkyl, (cycloalkyl)alkyl, hydroxyalkyl, (un)substituted aryl, aralkyl; R5, R7 = H, alkyl, cycloalkyl, (cycloalkyl)alkyl, hydroxyalkyl, (un)substituted aryl, aralkyl; R4R5 = 5- to 7-membered N-contg. ring] and III, or their pharmaceutically acceptable salts, combined with at least one other anticancer agent for simultaneous, sep. or prolonged therapeutic use in cancer treatment. In a preferred embodiment of the invention, the mikanolide, dihydromikanolide or one analog thereof is combined with enzymic inhibitors such as G heterotrimeric protein inhibitors, IV [X = R22; Y = R18; XY = 6-membered ring, CHR18CHR19; R11 = H, lower alkyl, alkylthio; R12, R13 = H, lower alkyl; R14 = O, H2; R5 = H, lower alkyl, (cycloalkyl)alkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R16, R17 = H, CONHCHR13CO2R14, lower alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R18, R19 = H, lower alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R18R19 = aryl or heterocycl ring; R20, R21 = H, aryl, heterocyclyl, alkyl, arylalkyl, heterocyclylalkyl; R22 = NR9, S, O; R23 = ; R24 = H, lower alkyl], V (R18, R19 = H, lower alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl;

R18R19 = aryl or heterocycly ring) or VI (R22 = NR9, S, O), or alkylating agents such as cis-platin. Thus, VII was prep'd. from mikanolide. VII was tested for cell proliferation inhibition activity [only 34% of cells lived when combined with VIII.cntdot.HCl (vs. human colon cancer HT-29 cells)].

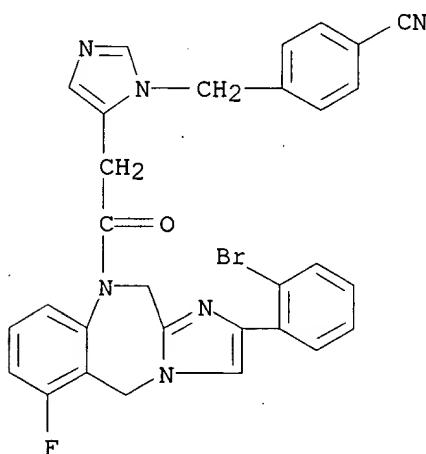
IT **280775-27-1**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor agent; prepn. of compns. contg. mikanolide, dihydromikanolide or an analog combined with another anticancer agent for chemotherapy)

RN 280775-27-1 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 2-(2-bromophenyl)-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro- (9CI) (CA INDEX NAME)

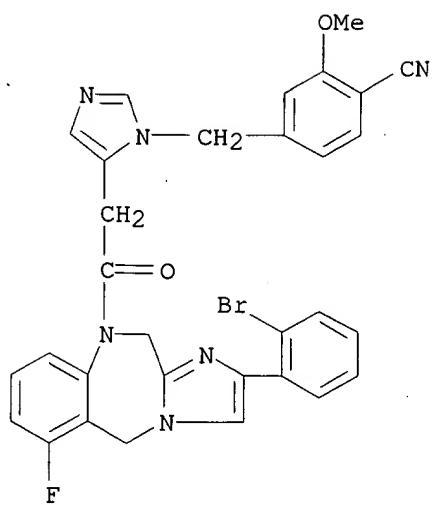
IT **280775-32-8**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of compns. contg. mikanolide, dihydromikanolide or an analog combined with another anticancer agent for chemotherapy)

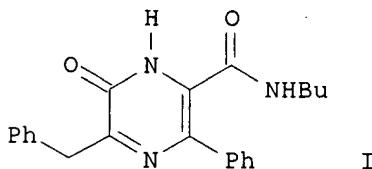
RN 280775-32-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 2-(2-bromophenyl)-10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro- (9CI) (CA INDEX NAME)

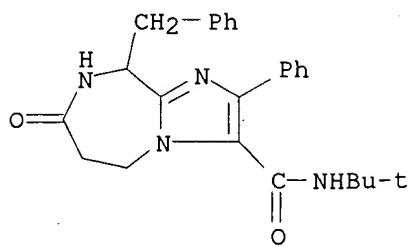


I ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:816755 CAPLUS  
 DN 135:357953  
 TI A novel solid support template for preparation of highly functionalized heterocyclic compounds  
 IN Campian, Eugene; Lou, Boliang; Yang, Kexin; Zhang, Jinfang  
 PA Advanced Syntech, LLC, USA  
 SO PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083575	A1	20011108	WO 2001-US823	20010109
W: AU, BR, CA, CN, JP, KR, MX, NO, NZ, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRAI US 2000-201285P	P	20000502		
OS	CASREACT 135:357953; MARPAT 135:357953			
GI				

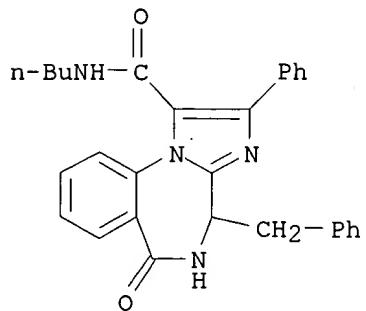


AB R3NHCOCH(COR2)NRCOZNR4R5 [R = Z2Z1R1; R1 = solid-phase support; R2-R4 = H, alkyl, (hetero)aryl, etc.; R5 = protecting group; Z = (un)substituted CH2, -CH2CH2, -CH:CH, cycloalkylene, etc.; Z1 = multifunctional linker (sic); Z2 = bond or multifunctional chem. monomer possessing .gtoreq.2 attachment points (sic)] were prep'd. by Ugi reaction of RNH2, R2COCHO, R3NC, and R4R5NZCO2H and given title use. Thus, deprotected Rink resin was combined with PhCOCHO, BuNC, FMocNHCH(CH2Ph)CO2H, and ZnCl2 to give, in 3 addnl. steps, title compd. I.  
 IT 371977-53-6P 371977-54-7P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (a novel solid support template for prepn. of highly functionalized heterocyclic compds.)  
 RN 371977-53-6 CAPLUS  
 CN 5H-Imidazo[1,2-a][1,4]diazepine-3-carboxamide, N-(1,1-dimethylethyl)-6,7,8,9-tetrahydro-7-oxo-2-phenyl-9-(phenylmethyl)- (9CI) (CA INDEX NAME)



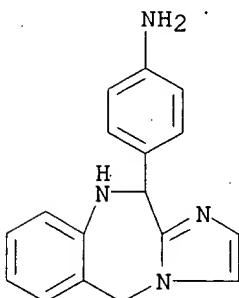
RN 371977-54-7 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-1-carboxamide, N-butyl-5,6-dihydro-6-oxo-2-phenyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

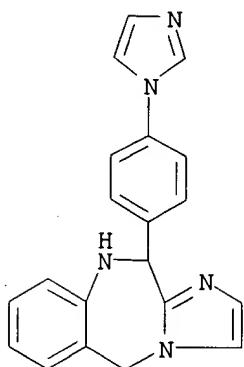


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L<sup>7</sup> ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:720352 CAPLUS  
 DN 136:193643  
 TI Synthesis of 11-aryl-5H-imidazo[2,1-c][1,4]benzodiazepines and their benzodiazepine and A1 adenosine binding activity  
 AU Castellano, S.; Zorzin, L.; Florio, C.; Frausin, F.; Stefancich, G.  
 CS Dipartimento di Scienze Farmaceutiche, P.le Europa, Trieste, 34127, Italy  
 SO Farmaco (2001), 56(10), 771-778  
 CODEN: FRMCE8; ISSN: 0014-827X  
 PB Elsevier Science S.A.  
 DT Journal  
 LA English  
 AB In the context of a research program aimed at elucidating the properties of the 5H-imidazo[2,1-c][1,4]benzodiazepine system, a series of 11-aryl-5H-imidazo[2,1-c][1,4]benzodiazepines (I) and their 10,11-dihydro derivs. (II) were synthesized. The synthetic strategy includes the prepn. of the aryl-[1-(2-nitrobenzyl)-1H-imidazol-2-yl]methanones followed by their redn. and subsequent cyclization. Affinities of I and II for central benzodiazepine as well as for adenosine A1-receptors were detd. by radioligand binding assays. Among the unsatd. analogs, the highest activity at both receptors is displayed by 11-(2-thienyl) deriv. of I. II did not exhibit considerable binding affinity either for central benzodiazepine or for adenosine A1-receptors.  
 IT 401495-55-4P 401495-56-5P 401495-57-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of 11-aryl-5H-imidazo[2,1-c][1,4]benzodiazepines and benzodiazepine and A1 adenosine binding activity)  
 RN 401495-55-4 CAPLUS  
 CN Benzenamine, 4-(10,11-dihydro-5H-imidazo[2,1-c][1,4]benzodiazepin-11-yl)-(9CI) (CA INDEX NAME)

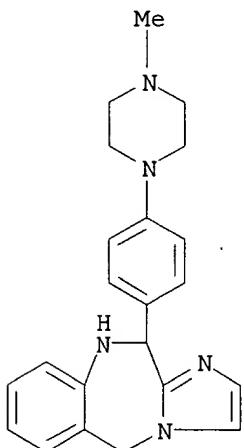


RN 401495-56-5 CAPLUS  
 CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-11-[4-(1H-imidazol-1-yl)phenyl]-(9CI) (CA INDEX NAME)



RN 401495-57-6 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-11-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

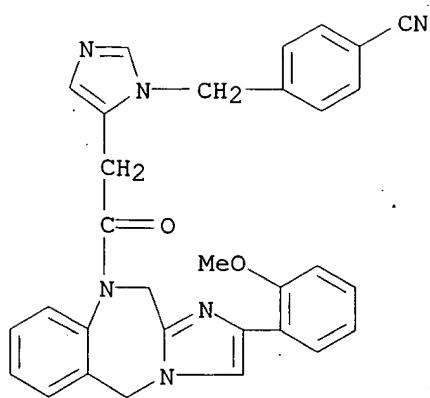


RE.CNT 16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

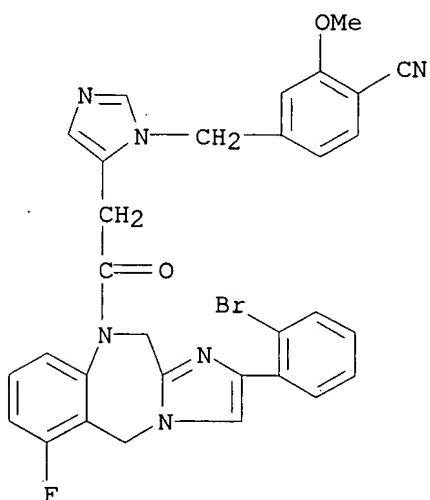
L27 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:359845 CAPLUS  
 DN 134:361346  
 TI Product inhibiting heterotrimeric G protein signal transduction combined with another anticancer agent for therapeutic use in cancer treatment  
 IN Prevost, Gregoire; Lonchampt, Marie-Odile; Gordon, Thomas; Morgan, Barry  
 PA Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.  
 SO PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001034203	A1	20010517	WO 2000-FR3098	20001108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2800616	A1	20010511	FR 1999-14037	19991109
FR 2800616	B1	20020118		
FR 2803524	A1	20010713	FR 2000-104	20000106
FR 2803524	B1	20020419		
EP 1233787	A1	20020828	EP 2000-976116	20001108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	FR 1999-14037	A	19991109	
	FR 2000-104	A	20000106	
	WO 2000-FR3098	W	20001108	
OS	MARPAT 134:361346			
AB	The invention provides a product inhibiting heterotrimeric G protein signal transduction combined with another anticancer agent, in particular a farnesyltransferase inhibitor, taxol or gemcitabine, for simultaneous, sep., or prolonged therapeutic use in cancer treatment.			
IT	280775-15-7 280775-32-8			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (heterotrimeric G protein signal transduction inhibitor combined with another anticancer agent for cancer treatment)			
RN	280775-15-7 CAPLUS			
CN	5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)			



RN 280775-32-8 CAPLUS

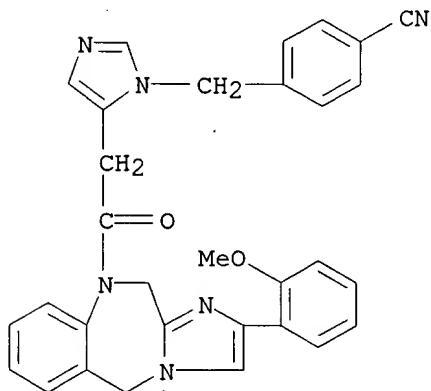
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 2-(2-bromophenyl)-10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-(9CI) (CA INDEX NAME)



RE.CNT 1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

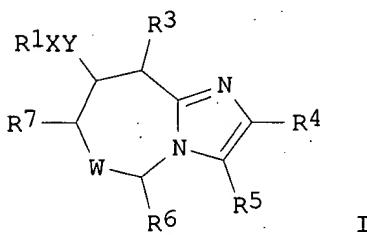
D27 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2001:128354 CAPLUS  
 DN 135:174767  
 TI Inhibition of human tumor cell growth in vivo by an orally bioavailable inhibitor of human farnesyltransferase, BIM-46228  
 AU Prevost, Gregoire P.; Pradines, Anne; Brezak, Marie-Christine; Lonchampt, Marie-Odile; Viossat, Isabelle; Ader, Isabelle; Toulas, Christine; Kasprzyk, Philip; Gordon, Thomas; Favre, Gilles; Morgan, Barry  
 CS Institut Henri Beaufour, Les Ulis, F-91966, Fr.  
 SO International Journal of Cancer (2001), 91(5), 718-722  
 CODEN: IJCNNA; ISSN: 0020-7136  
 PB Wiley-Liss, Inc.  
 DT Journal  
 LA English  
 AB This work reports a novel farnesyltransferase inhibitor, BIM-46228, which gave: (1) specific inhibition of purified human farnesyltransferase enzyme, (2) inhibition of proliferation of a broad spectrum of human tumor cell lines in vitro, (3) inhibition of the growth of human tumor xenografts in athymic nude mice treated orally and (4) combination of its activity with chemotherapy (paclitaxel) or radiotherapy in vitro.  
 IT 280775-15-7, BIM 46228  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
     (inhibition of human tumor cell growth by an orally bioavailable inhibitor of human farnesyltransferase, BIM-46228)  
 RN 280775-15-7 CAPLUS  
 CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 2000:457071 CAPLUS  
 DN 133:89553  
 TI Preparation of imidazopyrazines, imidazobenzodiazepines, and related compounds as prenyl transferase inhibitors.  
 IN Gordon, Thomas B.; Morgan, Barry A.  
 PA Societe de Conseils de Recherches et d'Applications Scientifiques S.A., Fr.  
 SO PCT Int. Appl., 95 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039130	A2	20000706	WO 1999-US31302	19991230
	WO 2000039130	A3	20001102	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2356756 AA 20000706 CA 1999-2356756 19991230 EP 1140942 A2 20011010 EP 1999-968984 19991230 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO NO 2001003281 A 20010829 NO 2001-3281 20010629	
PRAI	US 1998-114301P	P	19981231		
	US 1998-224428	A1	19981231		
	WO 1999-US31302	W	19991230		
OS	MARPAT 133:89553				
GI					



AB Title compds. [I; X = (CHR11)n3(CH2)n4Z(CH2)n5; n3 = 0, 1; n4, n5 = 0-3; Z = O, NR12, S, bond; Y = CO, CH2, CS, bond; R1 = (substituted) imidazolyl, triazolyl, tetrazolyl, benzimidazolyl, isoquinolinyl, pyridyl, etc.; R3 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4, R5 = H, (substituted) alkyl, cycloalkyl, aryl, heterocyclyl; R6 = H, (substituted) alkyl, alkenyl, cycloalkyl,

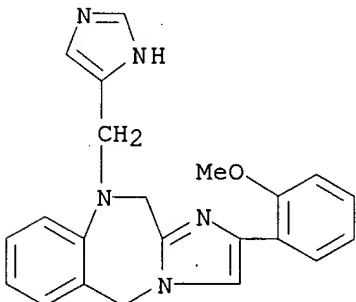
cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R7 = H, :O, :S, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; W = null, C], were prep'd. as prenyl transferase inhibitors (no data). Thus, 1-(2-ethoxy-2-oxoethyl)-2-[(1S)-[(phenylmethoxy)carbonyl]amino]pentyl]-4-(2-methoxyphenyl)imidazole (prepn. given) was hydrogenated in HOAc over Pd/C to give 8-butyl-6-oxo-2-(2-methoxyphenyl)imidazo[1,2-a]pyrazine. This was converted to 8-butyl-7-[3-(imidazol-5-yl)-1-oxopropyl]-2-(2-methoxyphenyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine in several steps.

IT 280775-14-6P 280775-15-7P 280775-16-8P  
 280775-17-9P 280775-18-0P 280775-19-1P  
 280775-21-5P 280775-22-6P 280775-23-7P  
 280775-24-8P 280775-25-9P 280775-26-0P  
 280775-27-1P 280775-28-2P 280775-29-3P  
 280775-30-6P 280775-31-7P 280775-32-8P  
 280775-33-9P 280775-34-0P 280775-65-7P  
 280775-68-0P 280775-69-1P 280775-70-4P  
 280775-71-5P 280775-72-6P 280775-73-7P  
 280775-82-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of imidazopyrazines, imidazobenzodiazepines, and related compds. as prenyl transferase inhibitors)

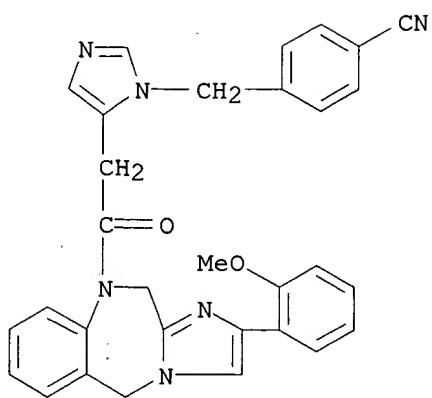
RN 280775-14-6 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-10-(1H-imidazol-4-ylmethyl)-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



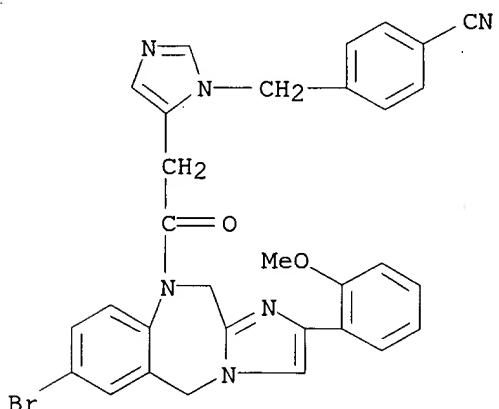
RN 280775-15-7 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[(1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl)acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



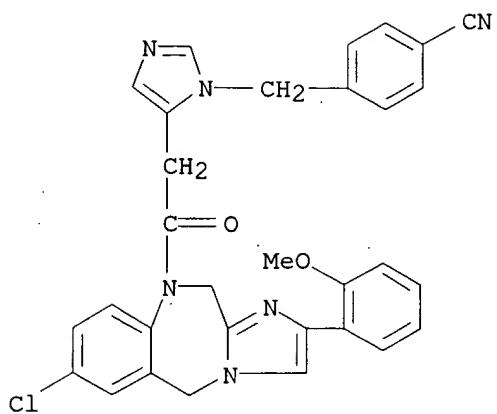
RN 280775-16-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 7-bromo-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



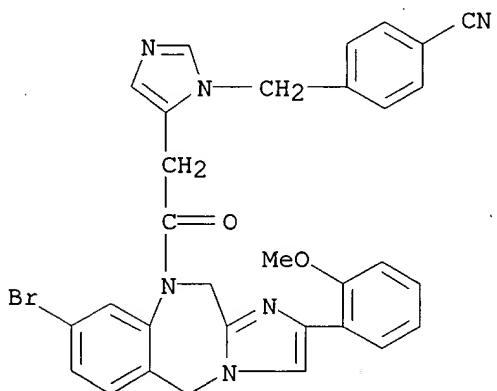
RN 280775-17-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 7-chloro-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



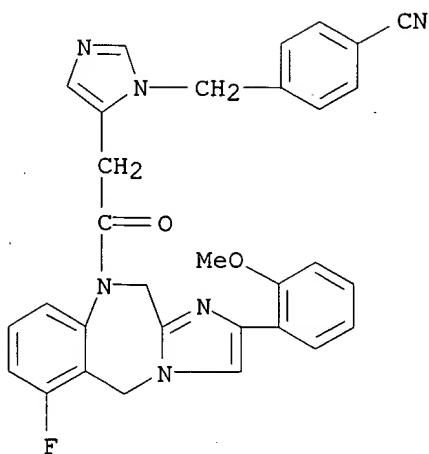
RN 280775-18-0 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 8-bromo-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



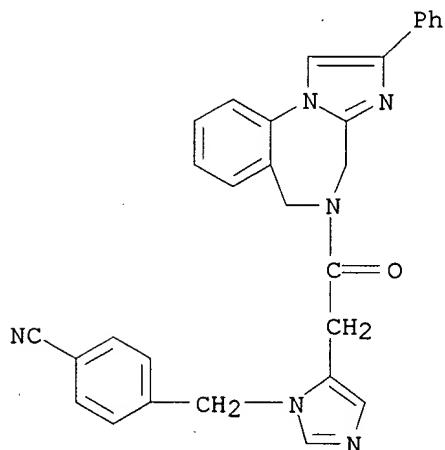
RN 280775-19-1 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



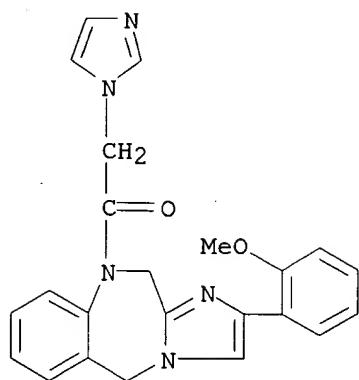
RN 280775-21-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine, 5-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-5,6-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



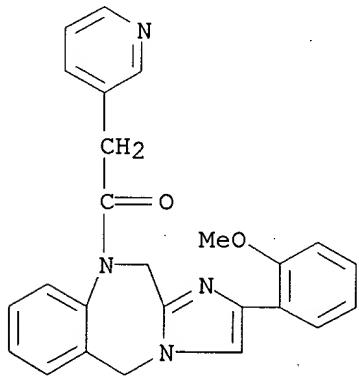
RN 280775-22-6 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-10-(1H-imidazol-1-ylacetyl)-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



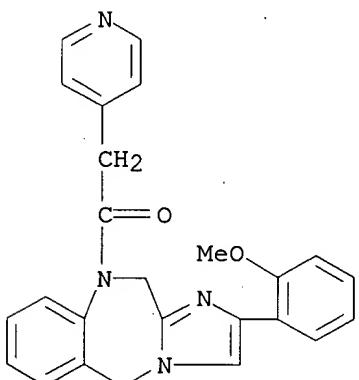
RN 280775-23-7 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-2-(2-methoxyphenyl)-10-(3-pyridinylacetyl)- (9CI) (CA INDEX NAME)



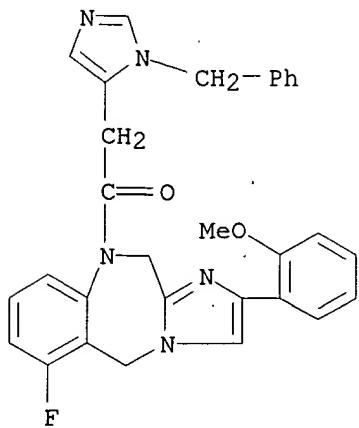
RN 280775-24-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-2-(2-methoxyphenyl)-10-(4-pyridinylacetyl)- (9CI) (CA INDEX NAME)



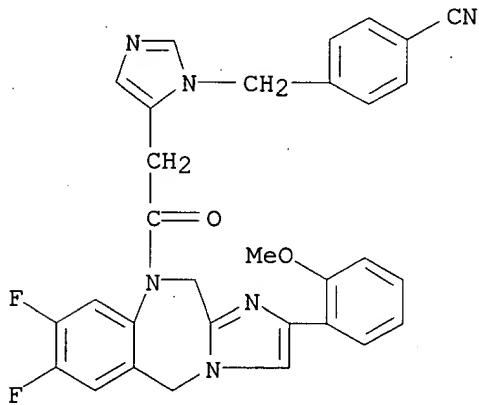
RN 280775-25-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)-10-[[1-(phenylmethyl)-1H-imidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)



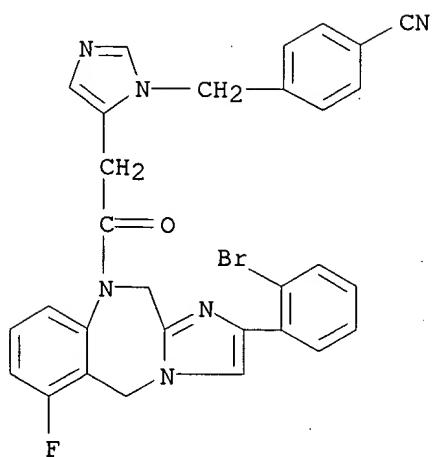
RN 280775-26-0 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-7,8-difluoro-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



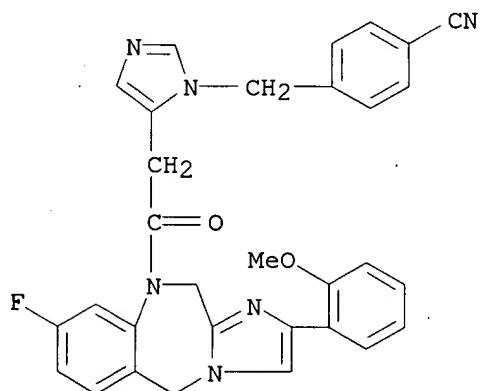
RN 280775-27-1 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 2-(2-bromophenyl)-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro- (9CI) (CA INDEX NAME)



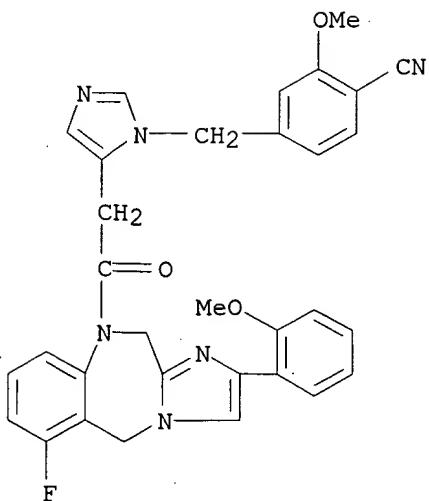
RN 280775-28-2 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-8-fluoro-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



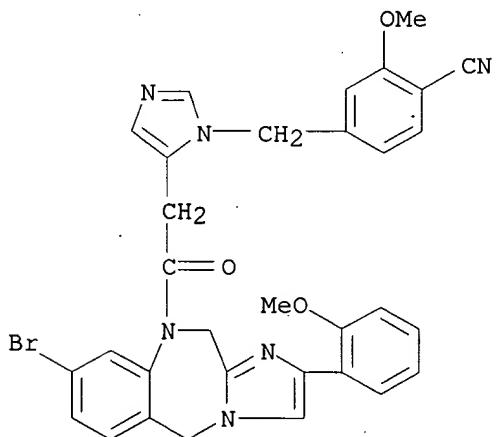
RN 280775-29-3 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



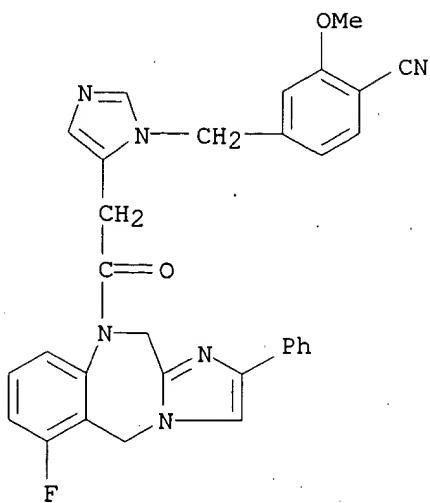
RN 280775-30-6 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 8-bromo-10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



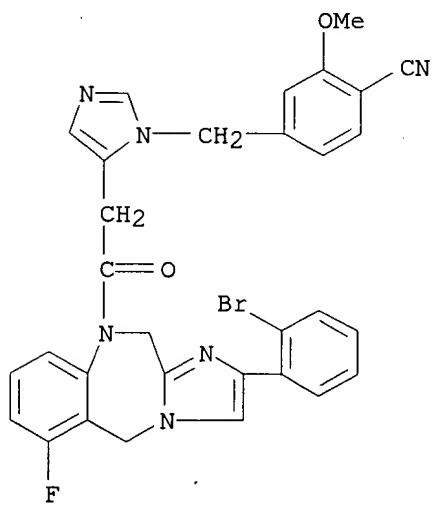
RN 280775-31-7 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



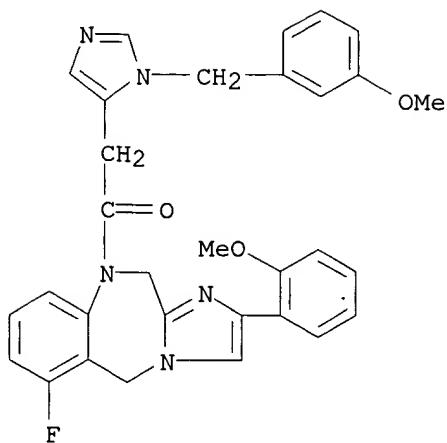
RN 280775-32-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 2-(2-bromophenyl)-10-[[1-[(4-cyano-3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-(9CI) (CA INDEX NAME)



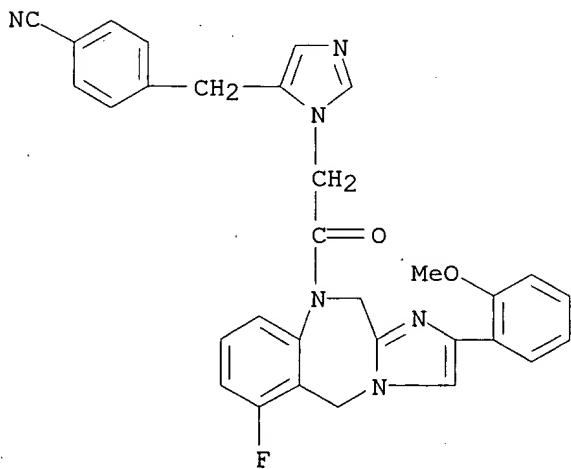
RN 280775-33-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)-10-[[1-[(3-methoxyphenyl)methyl]-1H-imidazol-5-yl]acetyl]-(9CI) (CA INDEX NAME)



RN 280775-34-0 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[5-[(4-cyanophenyl)methyl]-1H-imidazol-1-yl]acetyl]-6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



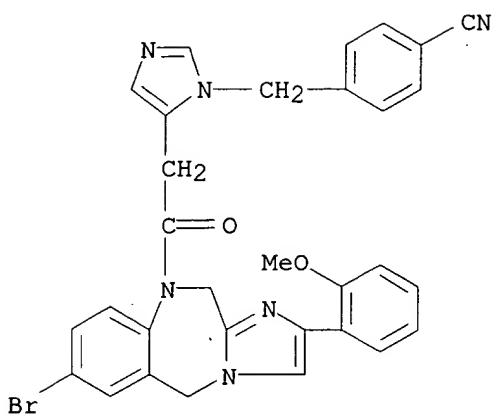
RN 280775-65-7 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 7-bromo-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

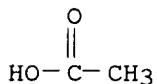
CRN 280775-16-8

CMF C31 H25 Br N6 O2



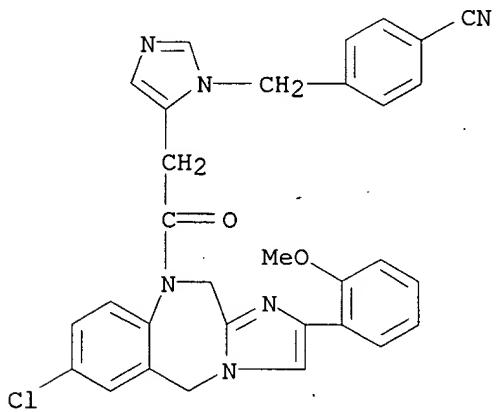
CM 2

CRN 64-19-7  
CMF C2 H4 O2



RN 280775-68-0 CAPLUS

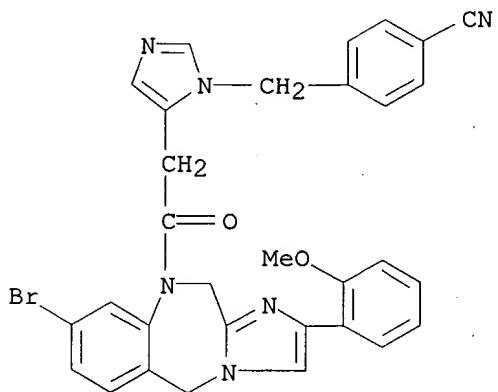
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 7-chloro-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 280775-69-1 CAPLUS  
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 8-bromo-10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-10,11-dihydro-2-(2-

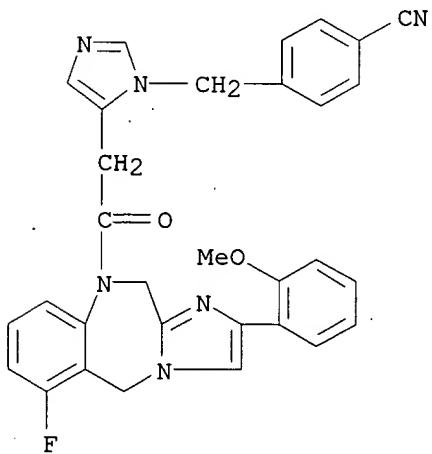
methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 280775-70-4 CAPLUS

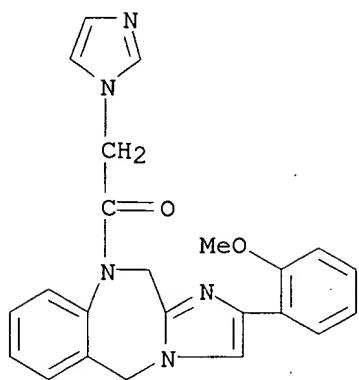
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl]acetyl]-6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 280775-71-5 CAPLUS

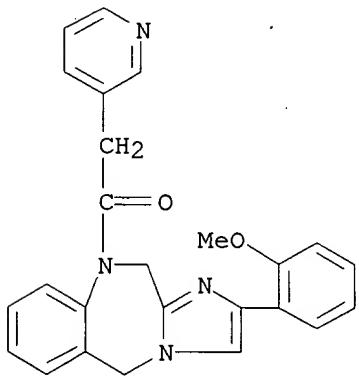
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-10-(1H-imidazol-1-ylacetyl)-2-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 280775-72-6 CAPLUS

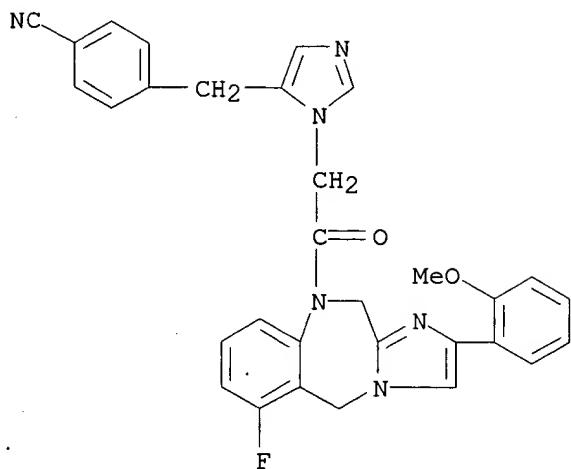
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-2-(2-methoxyphenyl)-10-(3-pyridinylacetyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 280775-73-7 CAPLUS

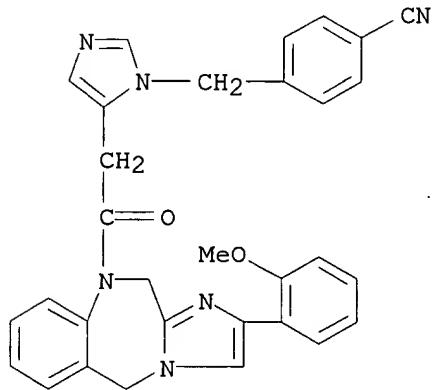
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[[5-[(4-cyanophenyl)methyl]-1H-imidazol-1-yl]acetyl]-6-fluoro-10,11-dihydro-2-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 280775-82-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-[(1-[(4-cyanophenyl)methyl]-1H-imidazol-5-yl)acetyl]-10,11-dihydro-2-(2-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

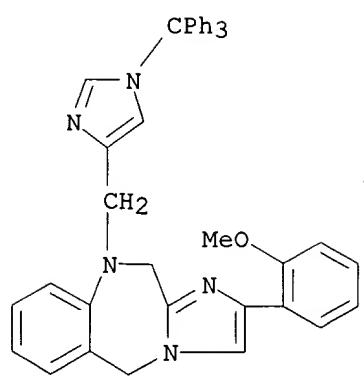
IT 280775-63-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazopyrazines, imidazobenzodiazepines, and related compds. as prenyl transferase inhibitors)

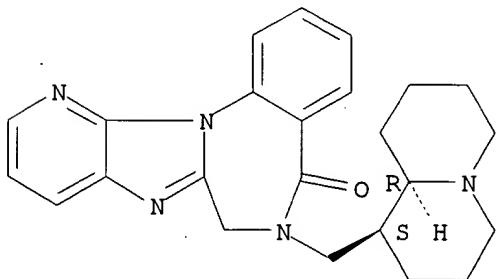
RN 280775-63-5 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-2-(2-methoxyphenyl)-10-[(1-(triphenylmethyl)-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



L ANSWER 8 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1999:716689 CAPLUS  
 DN 132:73216  
 TI Quinolizidinyl derivatives of 5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one as ligands for muscarinic receptors  
 AU Novelli, Federica; Sparatore, Anna; Tasso, Bruno; Sparatore, Fabio  
 CS Dipartimento di Scienze Farmaceutiche - Universita di Genova, Genoa, 3 - 16132, Italy  
 SO Bioorganic & Medicinal Chemistry Letters (1999), 9(20), 3031-3034  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB Quinolizidinyl derivs. of the tricyclic systems characterizing pirenzepine and nuvenzepine, were prep'd. and tested as ligands for muscarinic M<sub>1</sub>, M<sub>2</sub> and M<sub>3</sub> receptors; 5,11-dihydro-11-[(S-lupinyl)-thioacetyl]-6H-pyrido[2,3-b][1,4]benzodiazepin-6-one exhibited IC<sub>50</sub> = 10 nM for M<sub>1</sub> and 760 nM for both M<sub>2</sub> and M<sub>3</sub> subtypes. A compd. bearing quinolizidine nucleus linked equatorially exhibited higher affinity to muscarinic receptors than its axial epimer. During the synthesis some interesting side compds. were isolated and characterized.  
 IT 253609-42-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)  
 (quinolizidinyl derivs. of pyridobenzodiazepine as ligands for muscarinic receptors)  
 RN 253609-42-6 CAPLUS  
 CN 5H-Pyrido[3',2':4,5]imidazo[1,2-a][1,4]benzodiazepin-5-one, 6,7-dihydro-6-[(1R,9aS)-octahydro-2H-quinolizin-1-yl)methyl]-, rel- (9CI)  
 (CA INDEX NAME)

Relative stereochemistry.



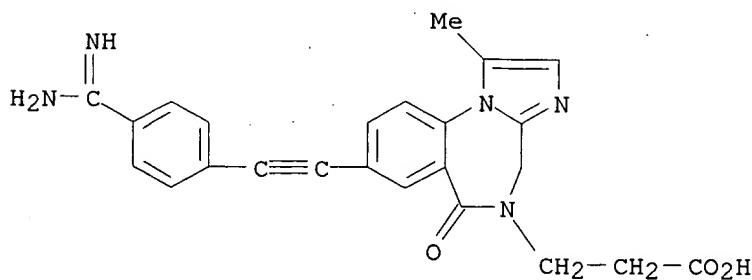
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

D7 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 1999:38608 CAPLUS  
 DN 130:182376  
 TI Preparation and biological activity of novel tricyclic GPIIb/IIIa antagonists  
 AU Robargè, Kirk D.; Dina, Michael S.; Somers, Todd C.; Lee, Arthur; Rawson, Thomas E.; Olivero, Alan G.; Tischler, Maureen H.; Webb, Robert R., II; Weese, Kenneth J.; Aliagas, Ignacio; Blackburn, Brent K.  
 CS Department of Bioorganic Chemistry, Genentech, Inc., South San Francisco, CA, 94080, USA  
 SO Bioorganic & Medicinal Chemistry (1998), 6(12), 2345-2381  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB Antagonists of the glycoprotein GPIIb/IIIa are a promising class of antithrombotic agents offering potential advantages over present antiplatelet agents (i.e., aspirin and ticlopidine). Novel tricyclic nonpeptidal GPIIb/IIIa antagonists have been prepd. and evaluated in vitro as antagonists of fibrinogen binding to the purified GPIIb/IIIa receptor and as inhibitors of platelet aggregation. The work presented demonstrates the robustness of the benzodiazepinedione (BZDD) scaffold, which can be functionalized at the N1-C2 amide as well as at C7, to provide structural diversity and allow optimization of the physiochem. and pharmacol. properties of the BZDD based GPIIb/IIIa antagonists. In addn., the resulting new class of tricyclic GPIIb/IIIa antagonists could be used to probe for addnl. binding interactions on the GPIIb/IIIa receptor and perhaps lead to BZDD based GPIIb/IIIa antagonists with increased potency.  
 IT 167853-81-8P 167855-32-5P 167855-44-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of tricyclic GPIIb/IIIa antagonists)  
 RN 167853-81-8 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)phenyl]ethynyl]-1-methyl-6-oxo-, monoacetate (9CI)  
 (CA INDEX NAME)

CM 1

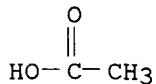
CRN 167853-80-7

CMF C24 H21 N5 O3



CM 2

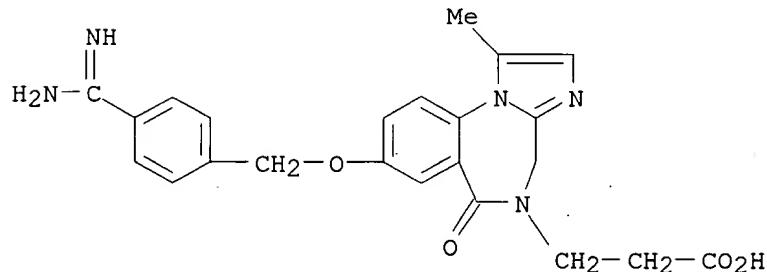
CRN 64-19-7  
CMF C2 H4 O2



RN 167855-32-5 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]methoxy]-1-methyl-6-oxo-, monoacetate (9CI)  
(CA INDEX NAME)

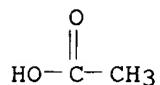
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CRN 167855-31-4  
CMF C23 H23 N5 O4



CM 2

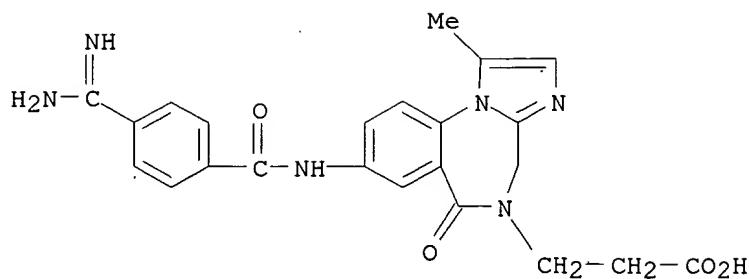
CRN 64-19-7  
CMF C2 H4 O2



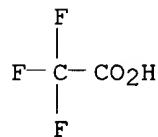
RN 167855-44-9 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

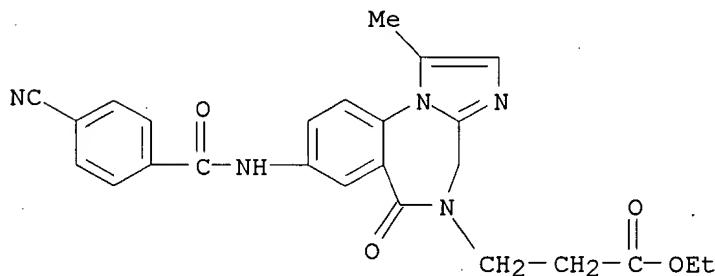
CRN 167855-43-8  
CMF C23 H22 N6 O4



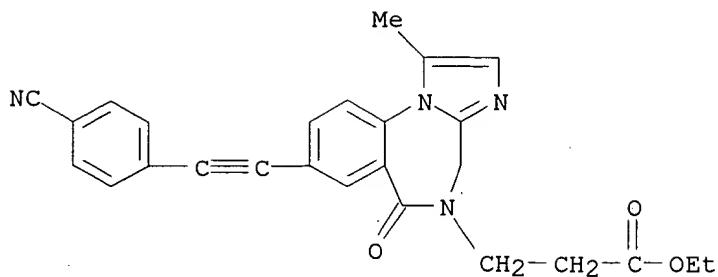
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

IT 167853-92-1P 167853-94-3P 167853-95-4P  
 167854-00-4P 167854-15-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. of tricyclic GPIIb/IIIa antagonists)  
 RN 167853-92-1 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[(4-cyanobenzoyl)amino]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
 NAME)

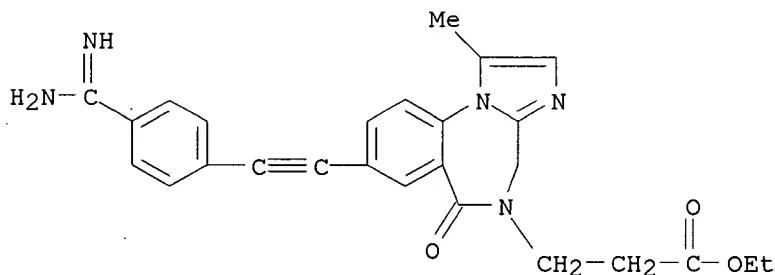


RN 167853-94-3 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[(4-cyanophenyl)ethynyl]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
 NAME)



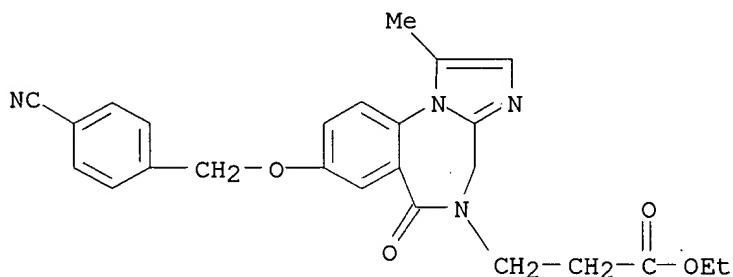
RN 167853-95-4 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoinominomethyl)phenyl]ethynyl]-1-methyl-6-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



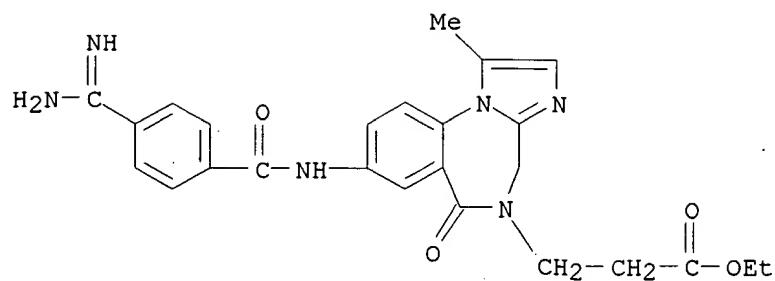
RN 167854-00-4 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-cyanophenyl)methoxy]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
NAME)



RN 167854-15-1 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoinominomethyl)benzoyl]amino]-1-methyl-6-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)



RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1998:479505 CAPLUS  
 DN 129:122870  
 TI Preparation of cycloalkyl, lactam, lactone and related compounds for inhibiting .beta.-amyloid peptide release and/or its synthesis  
 IN Wu, Jing; Tung, Jay S.; Thorsett, Eugene D.; Pleiss, Michael A.; Nissen, Jeffrey S.; Neitz, Jeffrey; Latimer, Lee H.; John, Varghese; Freedman, Stephen; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Droste, James J.; Henry, Steven S.; McDaniel, Stacey L.; Scott, William Leonard; Stucky, Russell D.; Porter, Warren J.  
 PA Athena Neurosciences, Inc., USA; Eli Lilly & Co.  
 SO PCT Int. Appl., 889 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9828268	A2	19980702	WO 1997-US22986	19971222
WO 9828268	A3	19981008		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9711537	A	19980625	ZA 1997-11537	19971222
AU 9857007	A1	19980717	AU 1998-57007	19971222
AU 749658	B2	20020627		
EP 951466	A2	19991027	EP 1997-953208	19971222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1242007	A	20000119	CN 1997-180901	19971222
BR 9714517	A	20000704	BR 1997-14517	19971222
JP 2000511932	T2	20000912	JP 1998-528867	19971222
NZ 335583	A	20010330	NZ 1997-335583	19971222
MX 9905844	A	20000731	MX 1999-5844	19990621
NO 9903098	A	19990820	NO 1999-3098	19990622
US 2002045747	A1	20020418	US 2001-916282	20010730
US 2002055500	A1	20020509	US 2001-916440	20010730
PRAI US 1996-64851P	P	19961223		
US 1996-64851P	P	19961223		
US 1996-780025	A1	19961223		
US 1997-996422	A3	19971222		
WO 1997-US22986	W	19971222		
OS MARPAT 129:122870				
AB Disclosed are compds. R1ZmNHYnCHpR2C(X)R3 [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl or aryl, heteroaryl, or heterocyclic; R2 and R3 form a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl ring which is optionally fused; X = oxo, thioxo, hydroxyl, thiol, or hydro; Y = CHR4CONH where R4 = (un)substituted alkyl, alkenyl, or alkynyl or cycloalkyl, aryl, heteroaryl, or heterocyclic; Z is TCX'X''CO where T is a bond, O, S, NR5 (R5 = H, acyl, alkyl, aryl, or heteroaryl), X' and X'' are H, OH, or F or X'X'' = oxo; m, p = 0, 1; n = 0, 1, 2] which inhibit .beta.-amyloid				

peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Thus, 3-[[N'-(3,4-methylenedioxypyphenylacetyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prep'd. by coupling of 3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 3,4-methylenedioxypyphenylacetic acid.

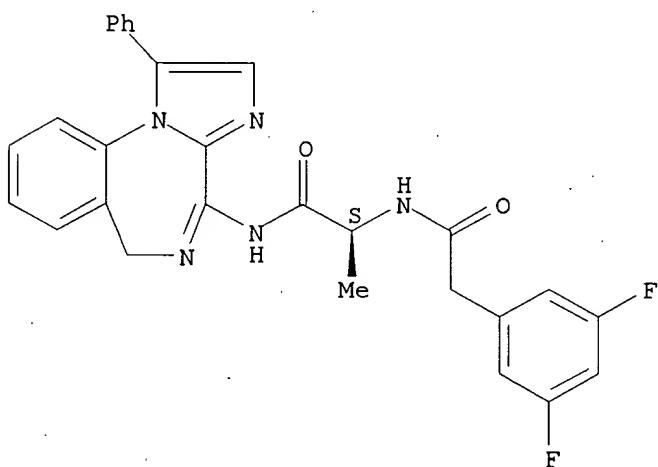
IT 209996-34-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of cycloalkyl, lactam, lactone and related compds. for inhibiting .beta.-amyloid peptide release and/or its synthesis)

RN 209996-34-9 CAPLUS

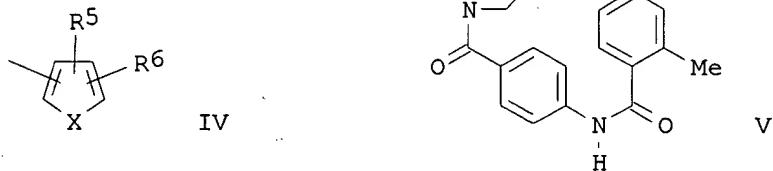
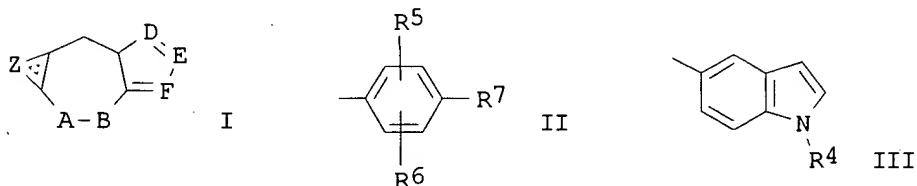
CN Benzeneacetamide, 3,5-difluoro-N-[(1S)-1-methyl-2-oxo-2-[(1-phenyl-6H-imidazo[1,2-a][1,4]benzodiazepin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



X ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 1998:219348 CAPLUS  
 DN 128:282852  
 TI Tricyclic diazepine vasopressin and oxytocin antagonists  
 IN Albright, Jay Donald; Reich, Marvin Fred; Sum, Fuk-Wah; Santos, Efren  
 Guillermo Delos  
 PA American Cyanamid Company, USA  
 SO U.S., 132 pp., Cont.-in-part of U.S. 5,624,923.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5736540	A	19980407	US 1996-646841	19960508
US 5516774	A	19960514	US 1994-254822	19940613
US 5624923	A	19970429	US 1995-468737	19950606
PRAI US 1993-100004	B2	19930729		
US 1994-254822	A3	19940613		
US 1995-468737	A2	19950606		
OS MARPAT 128:282852				
GI				



AB Title compds. [I; A-B = (CH<sub>2</sub>)NR<sub>3</sub> or NR<sub>3</sub>CH<sub>2</sub>; D, E, F = (un)substituted C or N; Z = atoms to complete an (un)substituted (hetero)arom. ring; R<sub>3</sub> = COAr, wherein Ar is selected from (hetero)aryl groups II-IV; X = O, S, NH, NMe, NAc; R<sub>4</sub> = H, lower alkyl, etc.; R<sub>5</sub> = H, lower alkyl, etc.; R<sub>6</sub> = amido, aminocarbonyl, ureido, etc.; R<sub>7</sub> = H, lower alkyl, etc.] were prepd. Thus, amidation of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-pyrrolo[2,1-c][1,4]benzodiazepine afforded benzamide V which at 1-10 mg/kg exhibited vasopressin V<sub>2</sub> antagonist activity in conscious hydrated rats (increased urine vol. and decreased osmolality relative to control), vasopressin V<sub>1</sub> antagonist activity (e.g., 70% inhibition of vasopressin vasopressor response in conscious rats at 3

mg/kg i.v.), and 90% inhibition of oxytocin receptor binding at 10  $\mu$ M with IC<sub>50</sub> = 0.36  $\mu$ M. V exhibited binding to rat hepatic V<sub>1</sub> receptors and rat kidney medullary V<sub>2</sub> receptors with IC<sub>50</sub> = 0.038 and 0.004  $\mu$ M, resp.

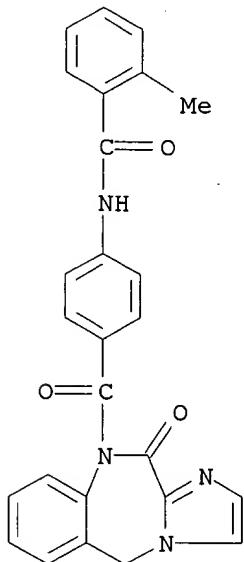
IT 179063-03-7P 179063-04-8P 179063-05-9P

179063-06-0P 179063-10-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

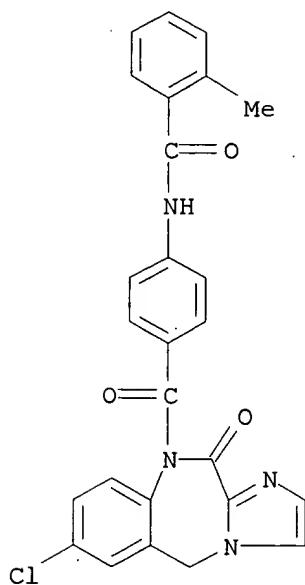
RN 179063-03-7 CAPLUS

CN Benzamide, 2-methyl-N-[4-[(11-oxo-5H-imidazo[2,1-a][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



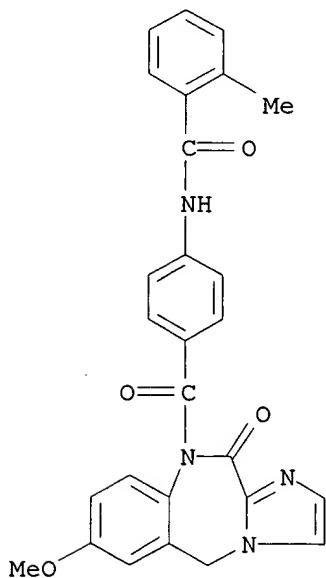
RN 179063-04-8 CAPLUS

CN Benzamide, N-[4-[(7-chloro-11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



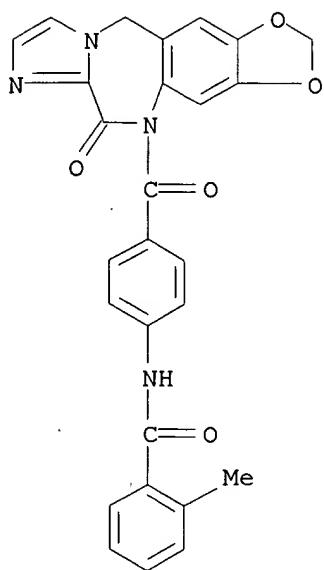
RN : 179063-05-9 CAPLUS

CN Benzamide, N-[4-[(7-methoxy-11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



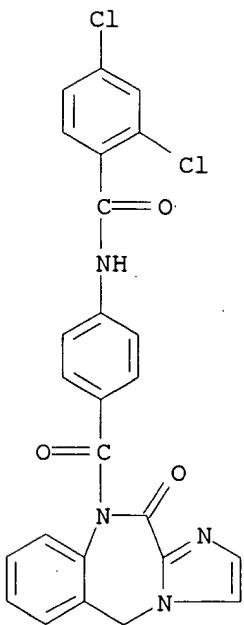
RN 179063-06-0 CAPLUS

CN Benzamide, 2-methyl-N-[4-[(6-oxo-6H-1,3-dioxolo[4,5-h]imidazo[2,1-c][1,4]benzodiazepin-5(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 179063-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



IT 179063-15-1P 179063-16-2P

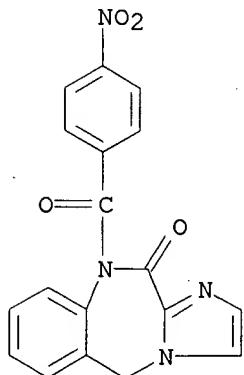
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

RN 179063-15-1 CAPLUS

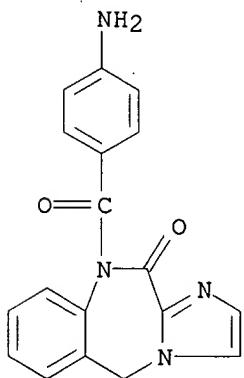
CN 11H-Imidazo[2,1-c][1,4]benzodiazepin-11-one, 5,10-dihydro-10-(4-

nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 179063-16-2 CAPLUS

CN 11H-Imidazo[2,1-c][1,4]benzodiazepin-11-one, 10-(4-aminobenzoyl)-5,10-dihydro- (9CI) (CA INDEX NAME)

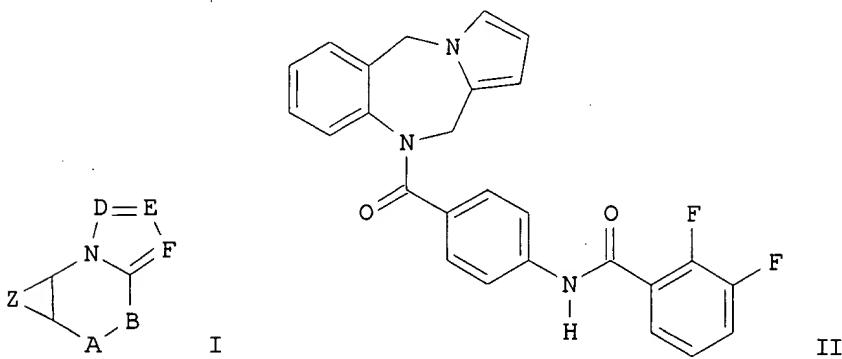


RE.CNT 12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

X 127 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1998:202669 CAPLUS  
 DN 128:257452  
 TI Preparation of tricyclic diazepine vasopressin antagonists and oxytocin antagonists  
 IN Albright, Jay Donald; Reich, Marvin Fred; Sum, Fuk-Wah; Santos, Efren  
 Guillermo Delos  
 PA American Cyanamid Company, USA  
 SO U.S., 126 pp., Cont.-in-part of U.S. 5,624,923.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5733905	A	19980331	US 1996-646582	19960508
	US 5516774	A	19960514	US 1994-254822	19940613
	US 5624923	A	19970429	US 1995-468737	19950606
	US 5854237	A	19981229	US 1997-877314	19970617
	US 5843944	A	19981201	US 1997-893636	19970711
PRAI	US 1993-100004	B2	19930729		
	US 1994-254822	A3	19940613		
	US 1995-468737	A2	19950606		
	US 1996-646582	A1	19960508		
OS	MARPAT	128:257452			
GI					



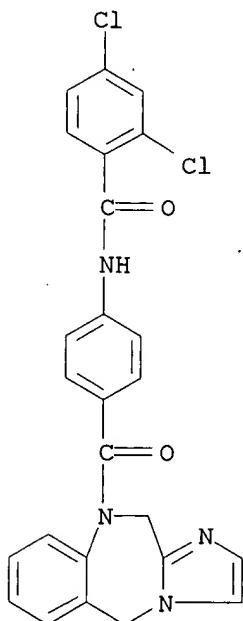
AB The title compds. [I; A-B = N(R3)(CH2)2; fused ring contg. Z = (un)substituted fused phenyl; D, E, F = (un)substituted C, N], which have vasopressin and oxytocin antagonist activity and therefore are useful for treating disease in a mammal characterized by excess renal reabsorption of water such as congestive heart failure, nephrotic syndrome, hyponatremia, coronary vasospasm, cardiac ischemia, liver cirrhosis, brain edema, cerebral ischemia, cerebral hemorrhage-stroke, were prep'd. Thus, reaction of 2,3-difluorobenzoyl chloride with 10,11-dihydro-10-(4-aminobenzoyl)-5H-pyrrolo[2.1-c][1,4]benzodiazepine in the presence of Et3N in CH2Cl2 afforded the title compd. II which showed IC50 of 0.097 .mu.M against rat hepatic V1 receptors binding, and IC50 of 0.029 .mu.M against rat kidney medullary V2 receptors binding.

IT 168080-00-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

RN 168080-00-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-ylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

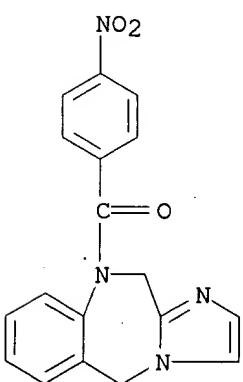


IT 168078-74-8P 168078-75-9P

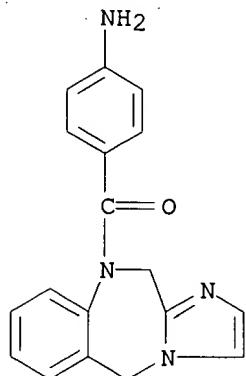
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

RN 168078-74-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-10-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



RN 168078-75-9 CAPLUS  
CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-(4-aminobenzoyl)-10,11-dihydro-  
(9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 46 CAPLUS COPYRIGHT 2003 ACS

1998:31206 CAPLUS

DN 128:114969

TI Preparation of tricyclic benzodiazepines as inhibitors of the GPIIBIIIA receptor.

IN Blackburn, Brent K.; Robarge, Kirk; Somers, Todd C.

PA Genentech, Inc., USA

SO U.S., 156 pp., Cont.-in-part of U.S. 5,493,020.

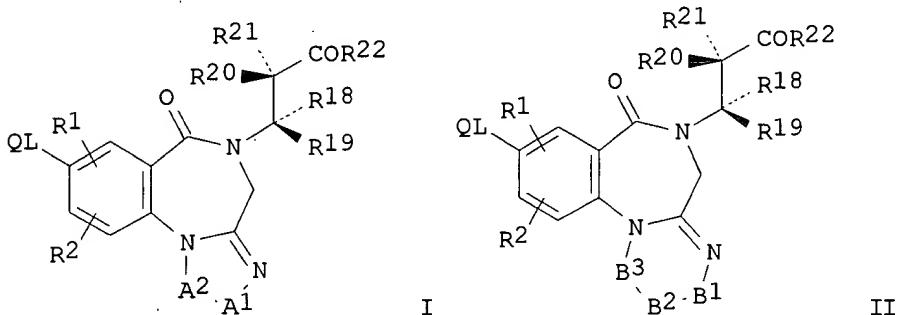
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5705890	A	19980106	US 1994-313069	19940926
	US 5493020	A	19960220	US 1993-99019	19930729
	WO 9504057	A1	19950209	WO 1994-US7989	19940715
	W: CA, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5716951	A	19980210	US 1995-438143	19950508
PRAI	US 1993-99019.		19930729		
	WO 1994-US7989		19940715		
	US 1994-313069		19940926		
OS	MARPAT 128:114969				
GI					



AB Title compds. [I, II; R1, R2 = H, halo, cyano, carboxamido, carboxy, carbamoyloxy, aminocarbonyl, formyloxy, formyl, azido, nitro, imidazolyl, ureido, thioureido, thiocyanato, OH, SH, sulfonamido, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, aryloxy, acylamino, alkylsulfonylamino, alkylthiocarbonyl, alkylthio, etc.; Q = (substituted) amino, amidino, aminoalkyleneamino, iminoalkyleneimino, guanidino, heterocyclyl; L = C3-9 alkylene where any methylene group can be replaced by alkene, alkyne, aryl, heteroatom-contg. functional group; R18-R21 = H, alkyl, halo, alkyl, alkoxy, haloalkyl, cyano, carboxy, OH, alkoxycarbonyl, alkylsulfonylalkyl; R22 = OH, alkoxy, alkenyloxy, aryloxy, alkylaminoalkoxy, etc.; A1 = R1CN, NR25; A2 = CR2, N, SO2, SO, S, O, CO, COR26, CNR25; B1 = CR1, N, NR25, CO; B2 = CR2, NR25, SO2, SO, S, O, CO; B3 = CR1, CHR2, CO; R25 = H, OH, alkoxy, alkyl, cyano, haloalkyl, (CH2)mR1; m = 1-3; R26 = H, alkyl, aryl, aralkyl], were prep'd. Thus, I [QL = p-[H2N(HN:)C]C6H4C.tplbond.C; R1, R2, R18-R21 = H; R22 = OH; A2A1 = MeC:CH] (prepn. given) inhibited platelet aggregation with IC50 = 0.093 .mu.M.

IT 167853-81-8P 167853-82-9P 167854-25-3P  
 167854-27-5P 167854-29-7P 167854-65-1P  
 167854-72-0P 167854-80-0P 167854-88-8P  
 167855-32-5P 167855-44-9P 167855-45-0P  
 201552-27-4P 201552-28-5P 201552-29-6P  
 201552-47-8P 201552-49-0P 201552-51-4P  
 201552-53-6P 201552-55-8P 201552-56-9P  
 201552-57-0P 201552-58-1P 201552-59-2P  
 201552-60-5P 201552-61-6P 201552-62-7P  
 201552-64-9P 201552-65-0P 201552-66-1P  
 201552-67-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of tricyclic benzodiazepines as inhibitors of the GPIIIBIIIA receptor)

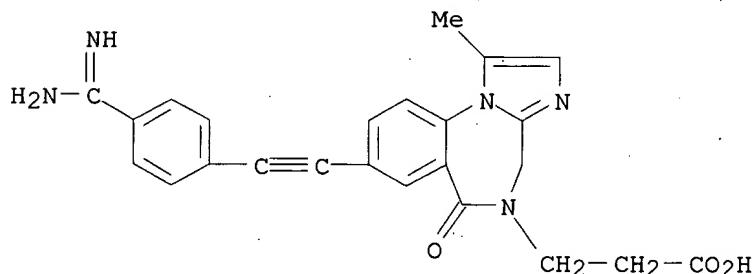
RN 167853-81-8 CAPPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[(4-(aminoiminomethyl)phenyl)ethynyl]-1-methyl-6-oxo-, monoacetate (9CI)  
 (CA INDEX NAME)

CM 1

CRN 167853-80-7

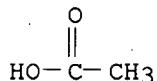
CMF C24 H21 N5 O3



CM 2

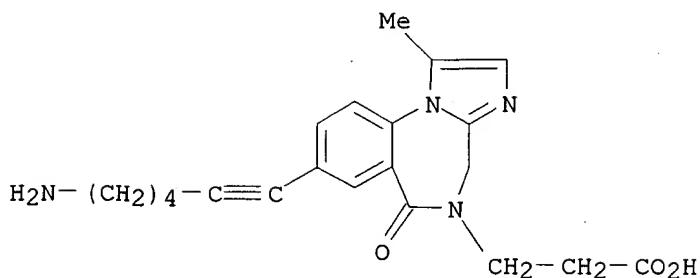
CRN 64-19-7

CMF C2 H4 O2



RN 167853-82-9 CAPPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-(6-amino-1-hexynyl)-1-methyl-6-oxo- (9CI) (CA INDEX NAME)



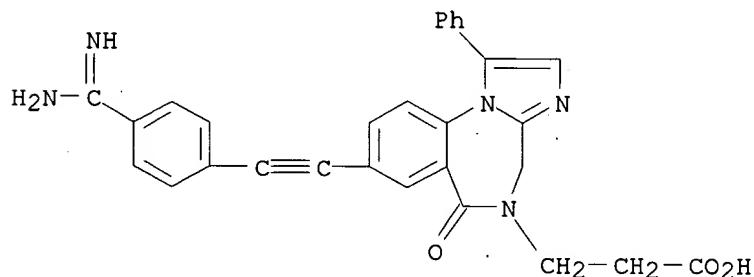
RN 167854-25-3 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)phenyl)ethynyl]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 167854-24-2

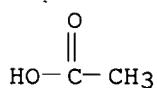
CMF C29 H23 N5 O3



CM 2

CRN 64-19-7

CMF C2 H4 O2



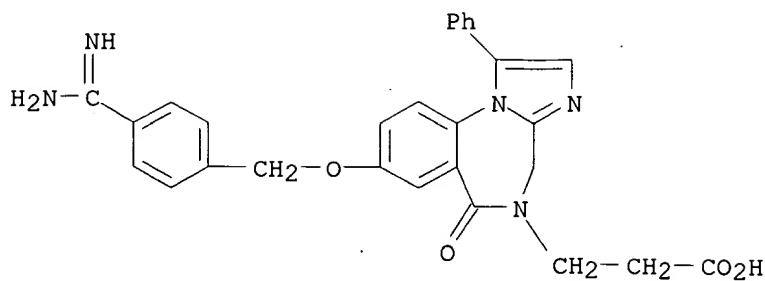
RN 167854-27-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)phenyl)methoxy]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

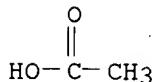
CRN 167854-26-4

CMF C28 H25 N5 O4



CM 2

CRN 64-19-7  
CMF C2 H4 O2

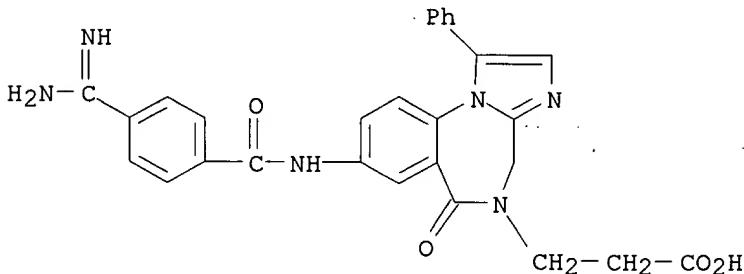


RN 167854-29-7 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)benzoyl)amino]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

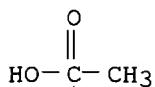
CM 1

CRN 167854-28-6  
CMF C28 H24 N6 O4



CM 2

CRN 64-19-7  
CMF C2 H4 O2



RN 167854-65-1 CAPLUS

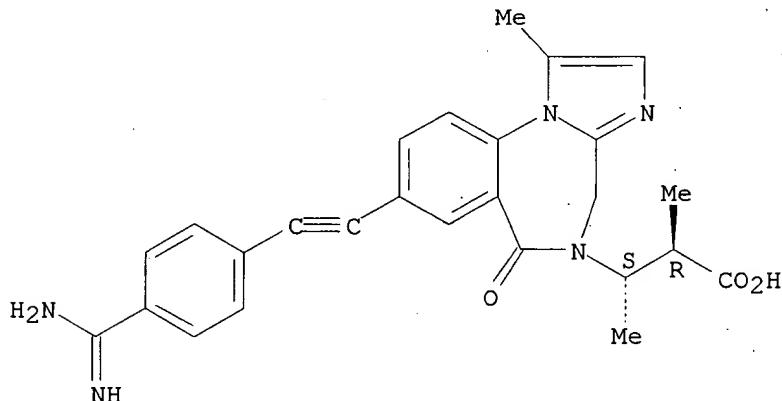
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-,  
[S-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-64-0

CMF C26 H25 N5 O3

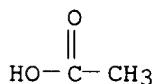
Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 167854-72-0 CAPLUS

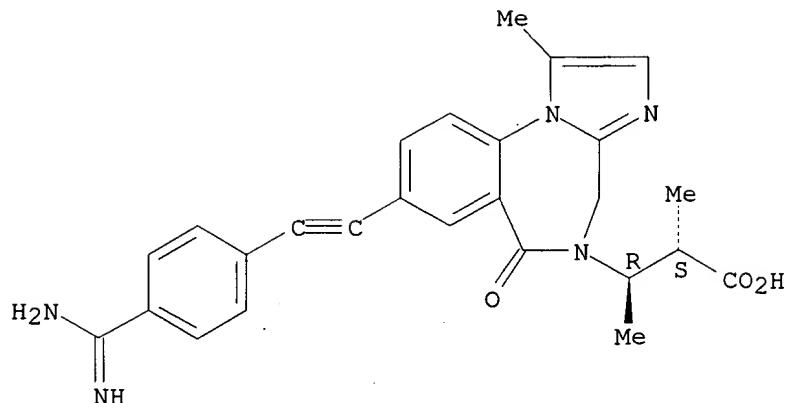
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-,  
[R-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-71-9

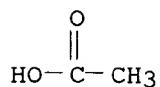
CMF C26 H25 N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

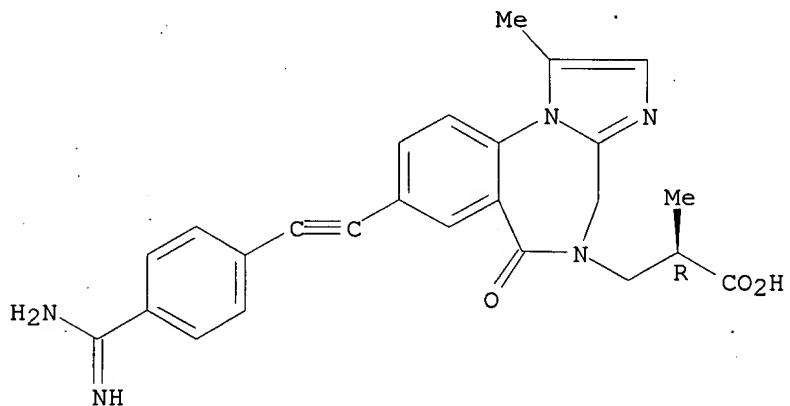


RN 167854-80-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-, (R)-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

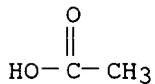
CRN 167854-79-7  
CMF C25 H23 N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

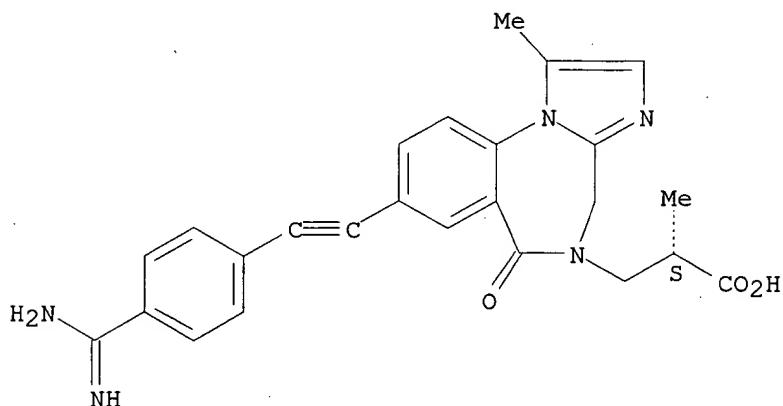


RN 167854-88-8 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-, (S)-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

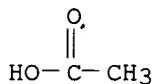
CRN 167854-87-7  
CMF C25 H23 N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2



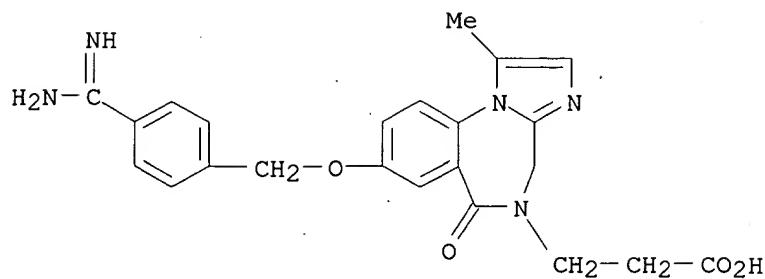
RN 167855-32-5 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]methoxy]-1-methyl-6-oxo-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 167855-31-4

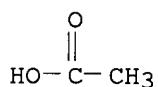
09/868, 356

CMF C23 H23 N5 O4



CM 2

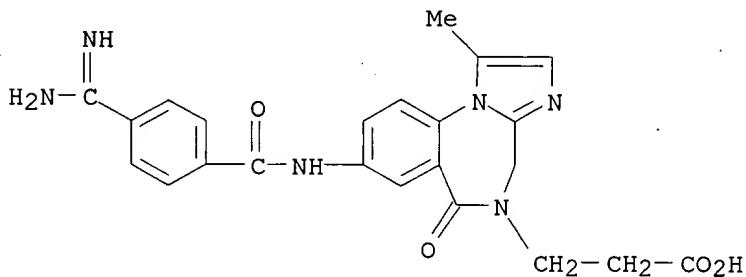
CRN 64-19-7  
CMF C2 H4 O2



RN 167855-44-9 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

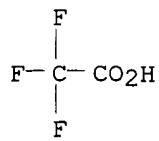
CM 1

CRN 167855-43-8  
CMF C23 H22 N6 O4

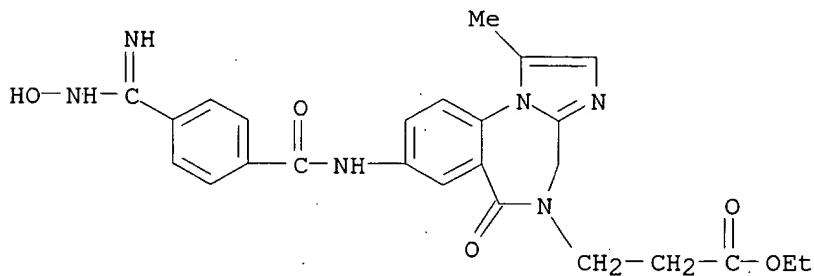


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



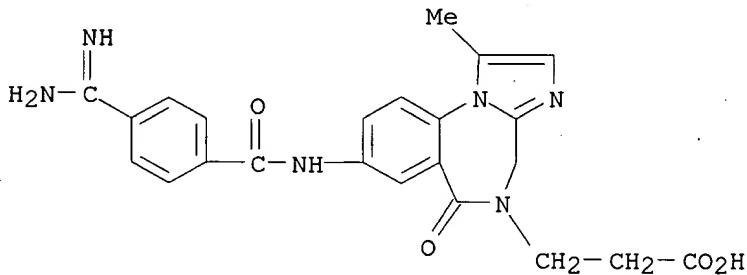
RN 167855-45-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-[(hydroxyamino)iminomethyl]benzoyl]amino]-1-methyl-6-oxo-, ethyl  
ester (9CI) (CA INDEX NAME)



RN 201552-27-4 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-, monoacetate (9CI)  
(CA INDEX NAME)

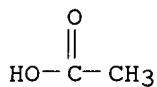
CM 1

CRN 167855-43-8  
CMF C23 H22 N6 O4



CM 2

CRN 64-19-7  
CMF C2 H4 O2



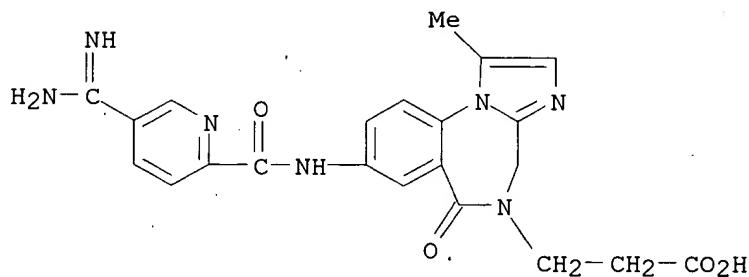
RN 201552-28-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[[5-(aminoiminomethyl)-2-pyridinyl]carbonyl]amino]-1-methyl-6-oxo-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-22-0

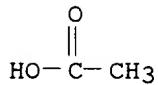
CMF C22 H21 N7 O4



CM 2

CRN 64-19-7

CMF C2 H4 O2



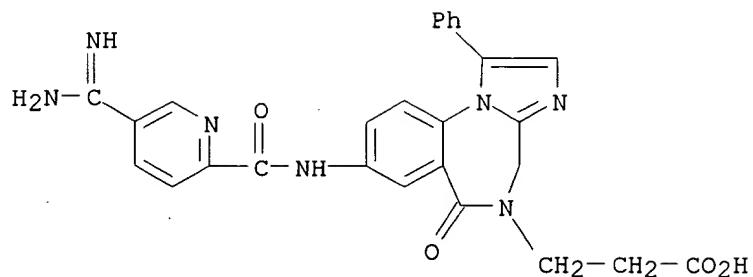
RN 201552-29-6 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[[5-(aminoiminomethyl)-2-pyridinyl]carbonyl]amino]-6-oxo-1-phenyl-,  
monoacetate (9CI) (CA INDEX NAME)

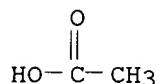
CM 1

CRN 167854-30-0

CMF C27 H23 N7 O4



CM 2

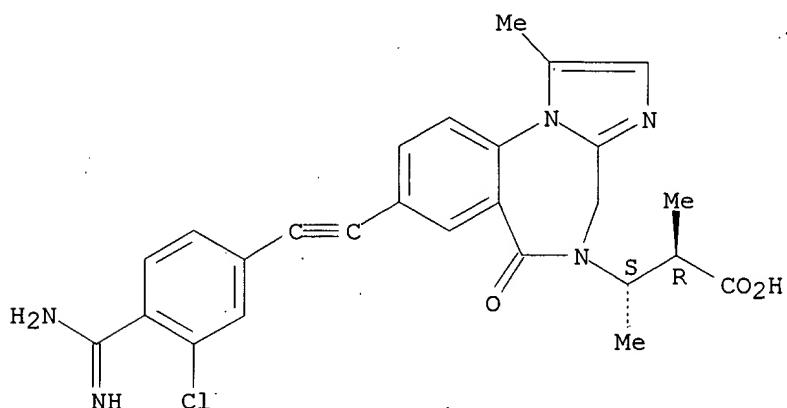
CRN 64-19-7  
CMF C2 H4 O2

RN 201552-47-8 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[(4-(aminoiminomethyl)-3-chlorophenyl)ethynyl]-.alpha.,.beta.,1-  
 trimethyl-6-oxo-, [S-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

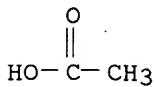
CRN 201552-46-7  
CMF C26 H24 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2



RN 201552-49-0 CAPLUS

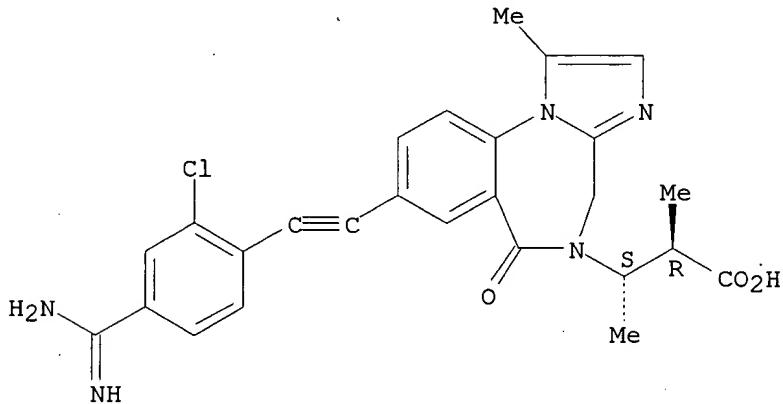
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,.beta.,1-  
trimethyl-6-oxo-, [S-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 201552-48-9

CMF C26 H24 Cl N5 O3

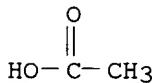
Absolute stereochemistry.



CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 201552-51-4 CAPLUS

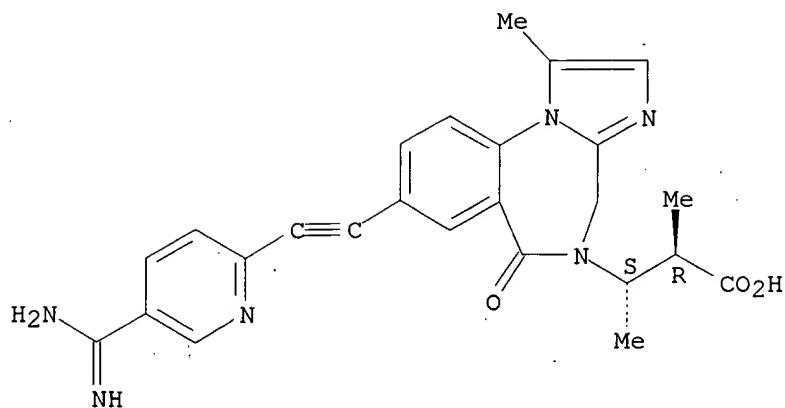
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-  
oxo-, [S-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 201552-50-3

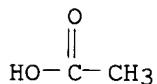
CMF C25 H24 N6 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

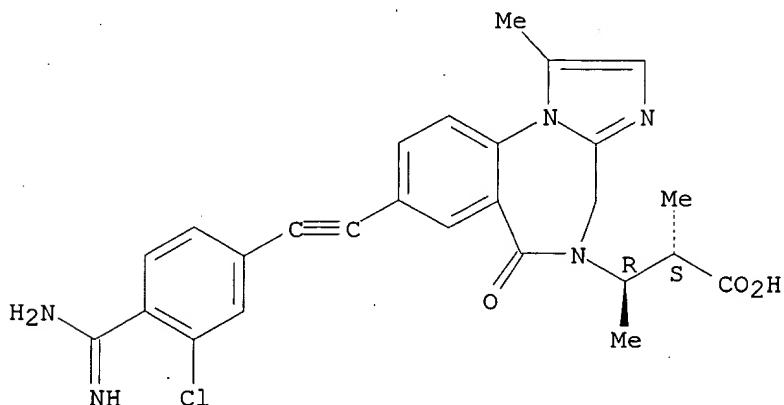


RN 201552-53-6 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)-3-chlorophenyl)ethynyl]-.alpha.,.beta.,1-  
trimethyl-6-oxo-, [R-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

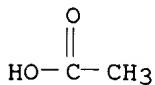
CRN 201552-52-5  
CMF C26 H24 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

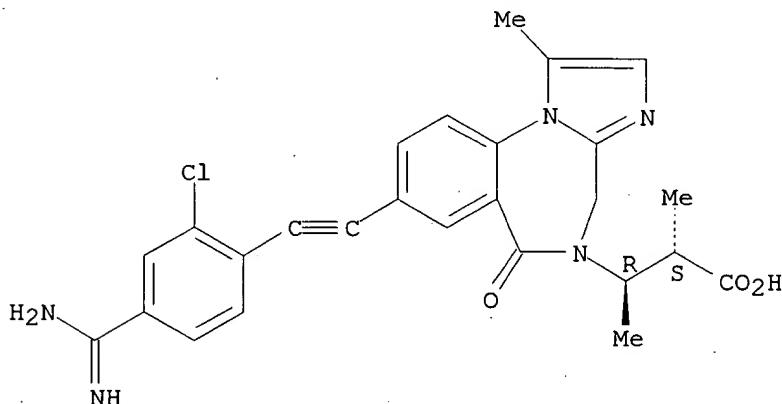


RN 201552-55-8 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,.beta.,1-  
trimethyl-6-oxo-, [R-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

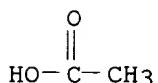
CRN 201552-54-7  
CMF C26 H24 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2



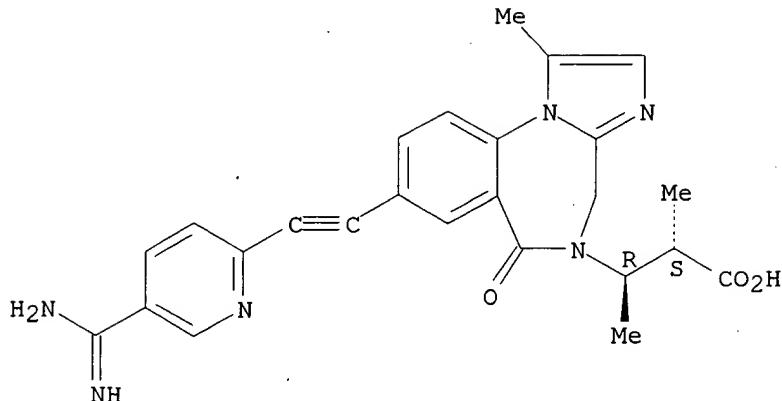
RN 201552-56-9 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-  
oxo-, [R-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

09/868, 356

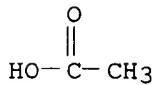
CRN 167854-77-5  
CMF C25 H24 N6 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

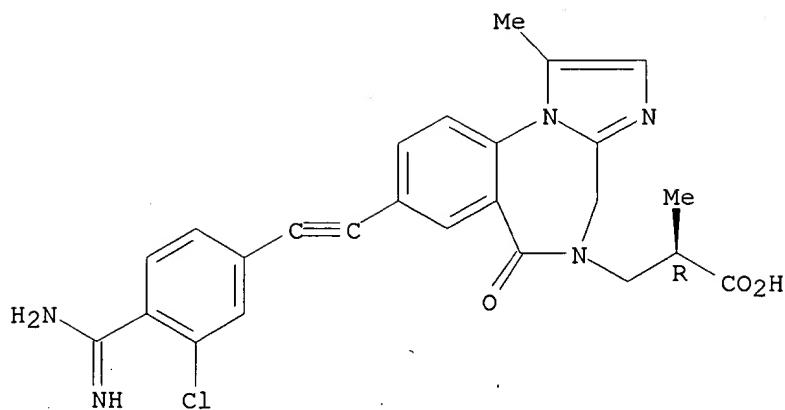


RN 201552-57-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminomethyl)-3-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-  
, (R)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

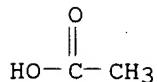
CRN 167854-81-1  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

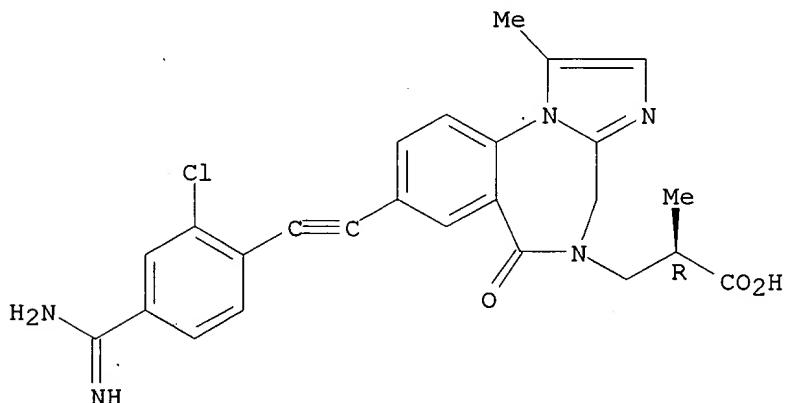


RN 201552-58-1 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-  
, (R)-, monoacetate (9CI) (CA INDEX NAME)

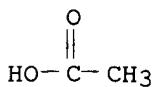
CM 1

CRN 167854-83-3  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

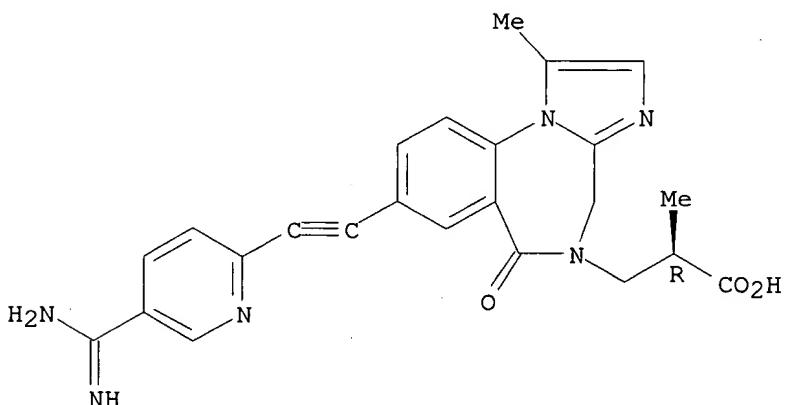
CRN 64-19-7  
CMF C2 H4 O2

RN 201552-59-2 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,  
 (R)-, monoacetate (9CI) (CA INDEX NAME)

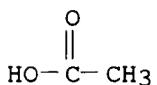
CM 1

CRN 167854-85-5  
CMF C24 H22 N6 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

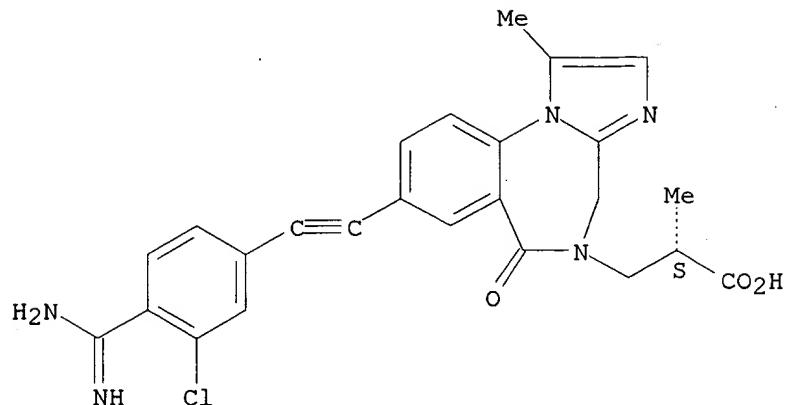
RN 201552-60-5 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)-3-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,  
 (S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

09/868, 356

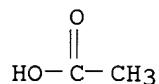
CRN 167854-89-9  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

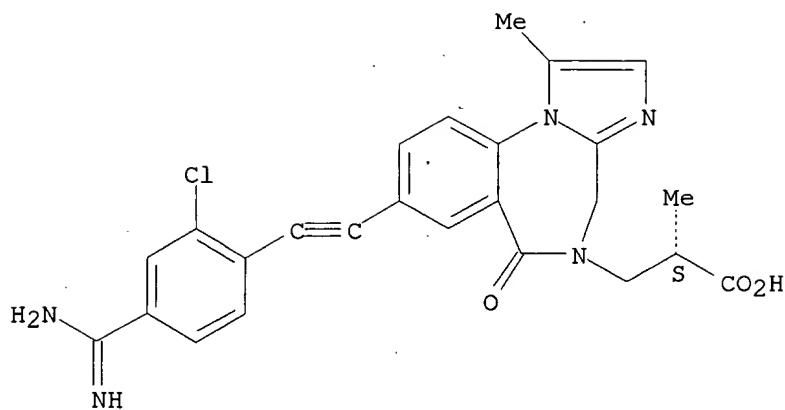


RN 201552-61-6 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-  
, (S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

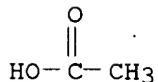
CRN 167854-91-3  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

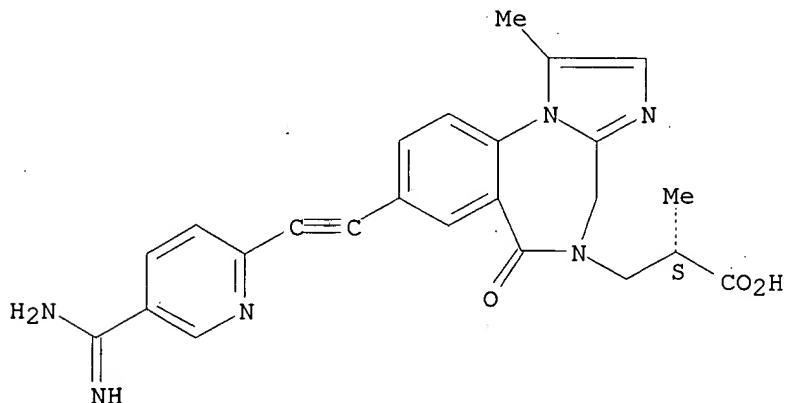


RN 201552-62-7 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,  
(S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-93-5  
CMF C24 H22 N6 O3

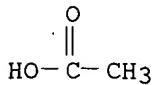
Absolute stereochemistry.



09/868, 356

CM 2

CRN 64-19-7  
CMF C2 H4 O2

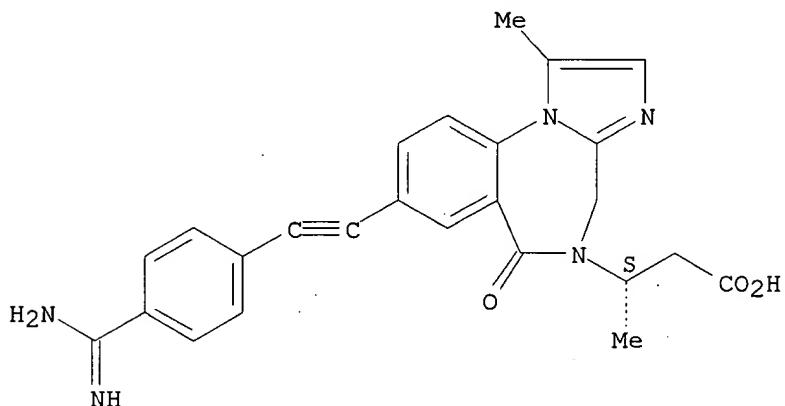


RN 201552-64-9 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.beta.,1-dimethyl-6-oxo-, (S)-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

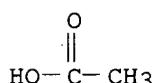
CRN 201552-63-8  
CMF C25 H23 N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2



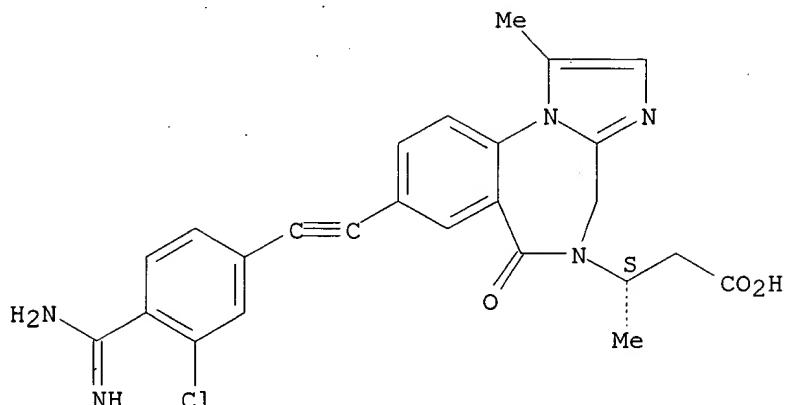
RN 201552-65-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-3-chlorophenyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

09/868,356

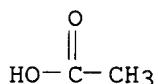
CRN 167854-95-7  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

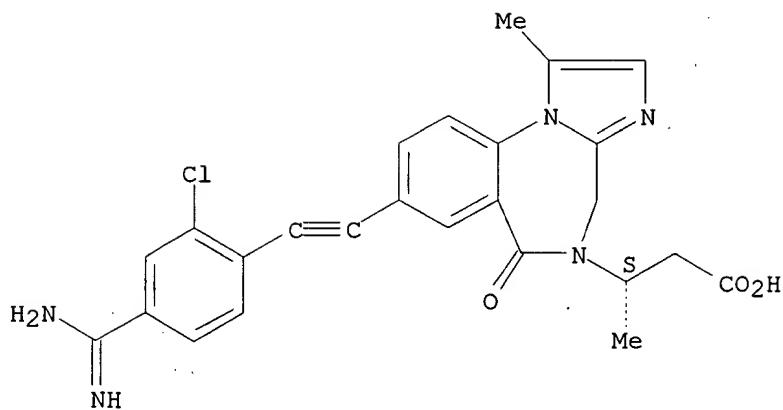


RN 201552-66-1 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

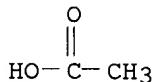
CRN 167854-97-9  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
CMF C2 H4 O2

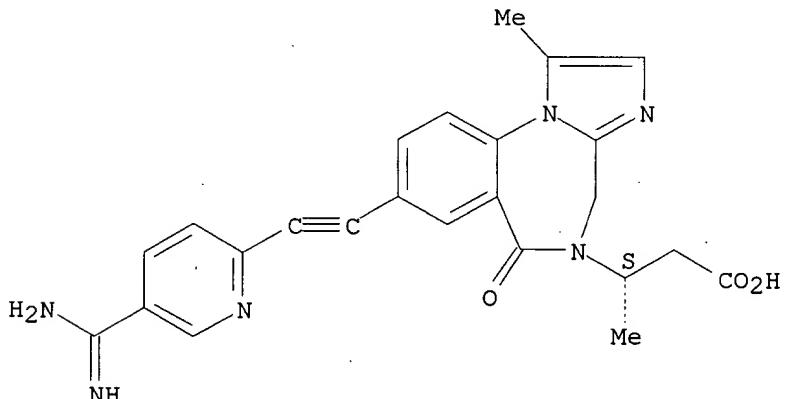


RN 201552-67-2 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(5-(aminoiminomethyl)-2-pyridinyl)ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, monoacetate (9CI) (CA INDEX NAME)

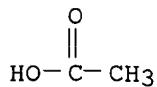
CM 1

CRN 167854-99-1  
CMF C24 H22 N6 O3

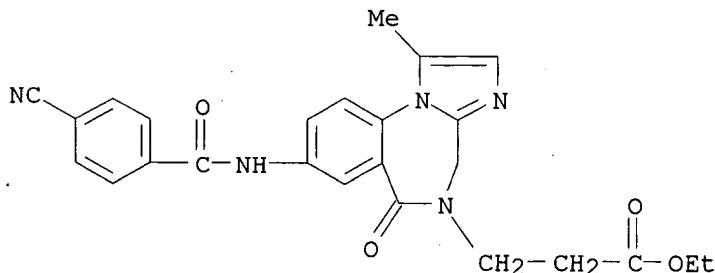
Absolute stereochemistry.



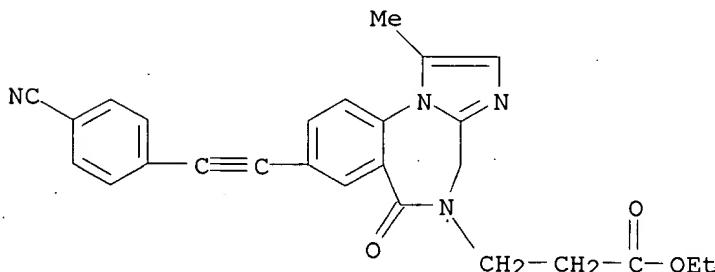
CM 2

CRN 64-19-7  
CMF C2 H4 O2IT 167853-92-1P 167853-94-3P 167853-96-5P  
167853-97-6P 167854-00-4P 167854-14-0P  
167854-16-2PRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of tricyclic benzodiazepines as inhibitors of the GPIIIBIIIA receptor)

RN 167853-92-1 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-cyanobenzoyl)amino]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 167853-94-3 CAPLUS

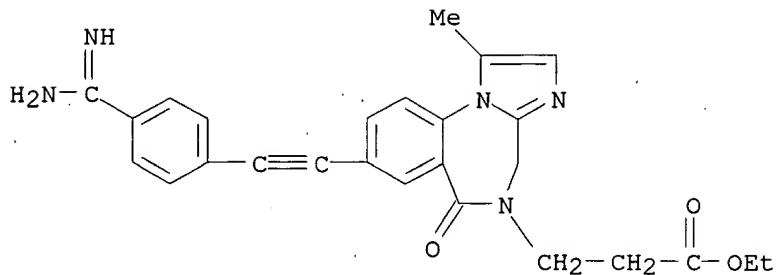
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-cyanophenyl)ethynyl]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 167853-96-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)phenyl)ethynyl]-1-methyl-6-oxo-, ethyl ester,  
monoacetate (9CI) (CA INDEX NAME)

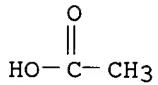
CM 1

CRN 167853-95-4  
CMF C26 H25 N5 O3

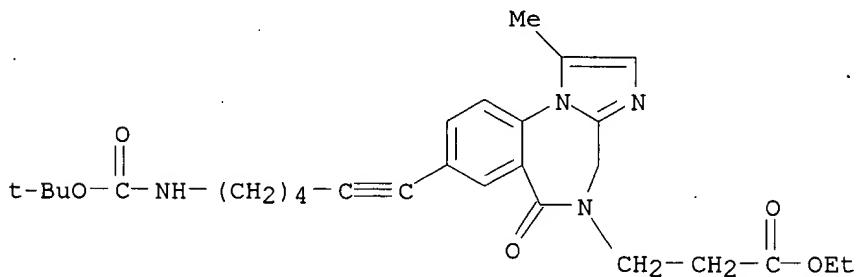


CM 2

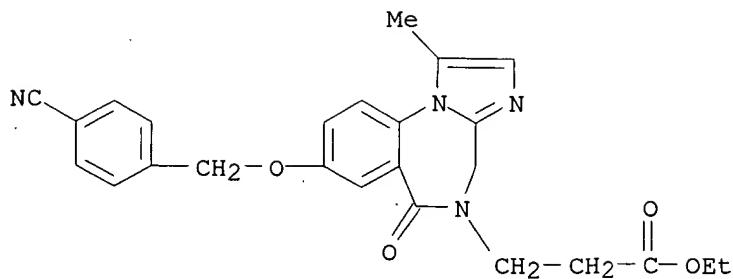
CRN 64-19-7  
CMF C2 H4 O2



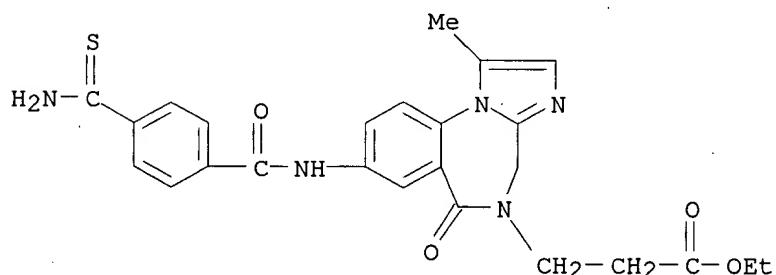
RN 167853-97-6 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[6-[(1,1-dimethylethoxy)carbonyl]amino]-1-hexynyl-1-methyl-6-oxo-,  
ethyl ester (9CI) (CA INDEX NAME)



RN 167854-00-4 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-cyanophenyl)methoxy]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
NAME)



RN 167854-14-0 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminothioxomethyl)benzoyl]amino]-1-methyl-6-oxo-, ethyl ester (9CI)  
(CA INDEX NAME)

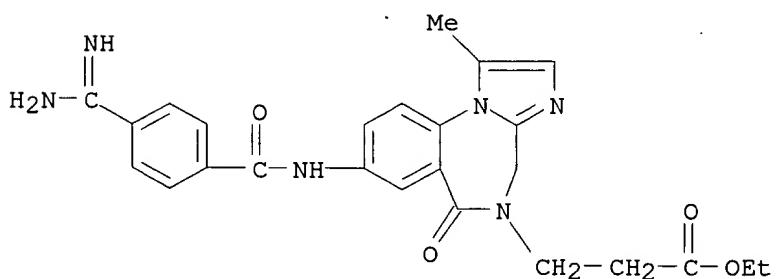
RN 167854-16-2 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-, ethyl ester,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 167854-15-1

CMF C25 H26 N6 O4

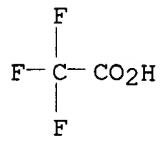


CM 2

CRN 76-05-1

09/868,356

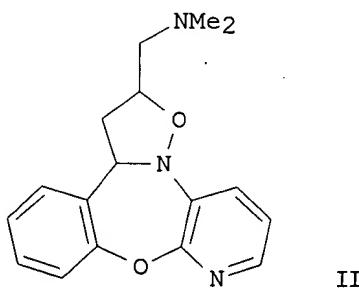
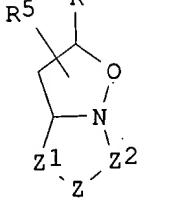
CMF C2 H F3 O2



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

DS7 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1997:732208 CAPLUS  
 DN 127:346383  
 TI Preparation of anellated isoxazolidinemethanamines and analogs as 5-HT antagonists  
 IN Andres Gil, Jose Ignacio; Martinez, Pedro; Fernandez Gadea, Francisco  
 Javier; Sipido, Victor Karel  
 PA Janssen Pharmaceutica N.V., Belg.  
 SO PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

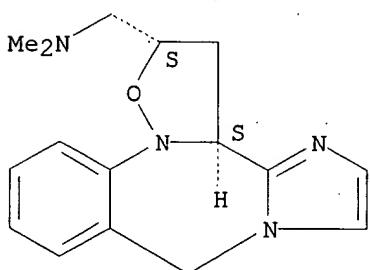
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9739001	A1	19971023	WO 1997-EP1830	19970409
	W: AL, AM, AU, BB, BG, BR, CA, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2232195	AA	19971023	CA 1997-2232195	19970409
	AU 9723852	A1	19971107	AU 1997-23852	19970409
	AU 716470	B2	20000224		
	CN 1205010	A	19990113	CN 1997-191421	19970409
	CN 1082963	B	20020417		
	EP 892804	A1	19990127	EP 1997-919344	19970409
	EP 892804	B1	20020828		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2000508328	T2	20000704	JP 1997-536734	19970409
	IL 123656	A1	20010913	IL 1997-123656	19970409
	AT 222911	E	20020915	AT 1997-919344	19970409
	HU 221608	B	20021128	HU 1999-1875	19970409
	ES 2182064	T3	20030301	ES 1997-919344	19970409
	ZA 9703122	A	19981012	ZA 1997-3122	19970411
	NO 9801077	A	19981012	NO 1998-1077	19980311
	US 6156747	A	20001205	US 1998-155839	19981006
PRAI	EP 1996-200991	A	19960412		
	WO 1997-EP1830	W	19970409		
OS	MARPAT	127:346383			
GI					



AB Title compds. [I; R = (CH<sub>2</sub>)<sub>n</sub>R<sub>1</sub>R<sub>2</sub>; R<sub>1</sub>,R<sub>2</sub> = H, alkyl, alkanoyl, etc.; NR<sub>1</sub>R<sub>2</sub> = heterocyclyl; R<sub>5</sub> = H or 1-3 of alkyl, cyano, trihalomethyl; Z = (un)substituted CH<sub>2</sub>, (alkyl)imino, O, SOO-2; Z<sub>1</sub>,Z<sub>2</sub> = (un)substituted heteroarylene, 1,2-phenylene, etc.; n = 0-6] were prepd. Thus, 2-(HO)C<sub>6</sub>H<sub>4</sub>CHO was etherified by 2-chloro-3-nitropyridine and the product cyclized to give pyrido[2,3-b][1,4]benzoxazepine 5-oxide which was cyclocondensed with 3-amino-1-propene (sic) to give title compd. cis-II. Data for biol. activity of I were given.

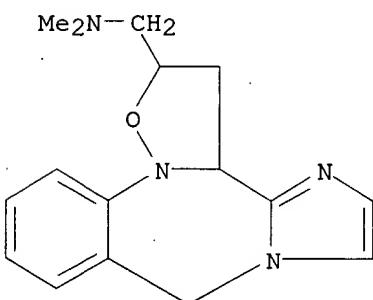
IT 198343-97-4P 198344-12-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of anellated isoxazolidinemethanamines and analogs as 5-HT antagonists)  
 RN 198343-97-4 CAPPLUS  
 CN 2H,8H-Imidazo[2,1-c]isoxazolo[2,3-a][1,4]benzodiazepine-2-methanamine,  
 3,3a-dihydro-N,N-dimethyl-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



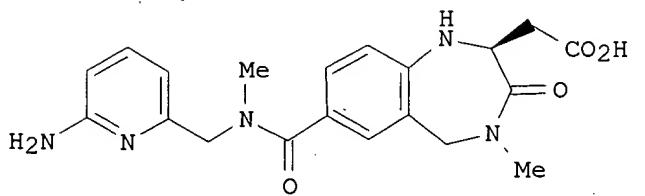
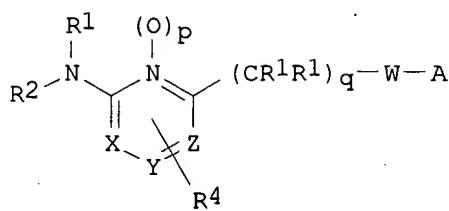
●<sup>2</sup> HCl

RN 198344-12-6 CAPPLUS  
 CN 2H,8H-Imidazo[2,1-c]isoxazolo[2,3-a][1,4]benzodiazepine-2-methanamine,  
 3,3a-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



L2 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1997:547298 CAPLUS  
 DN 127:149074  
 TI Pyridine derivatives and analogs useful as vitronectin receptor antagonists  
 IN Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 PA Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
 SO PCT Int. Appl., 123 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9724122	A1	19970710	WO 1996-US20744	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241724	AA	19970710	CA 1996-2241724	19961220
AU 9713538	A1	19970728	AU 1997-13538	19961220
EP 895475	A1	19990210	EP 1996-945085	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1209060	A	19990224	CN 1996-180099	19961220
BR 9612378	A	19990713	BR 1996-12378	19961220
JP 2000502708	T2	20000307	JP 1997-524556	19961220
ZA 9610855	A	19971124	ZA 1996-10855	19961223
NO 9803002	A	19980826	NO 1998-3002	19980626
US 2001034445	A1	20011025	US 2001-769125	20010124
PRAI US 1995-9532P	P	19951229		
WO 1996-US20744	W	19961220		
US 1998-91936	B1	19981203		
OS MARPAT	127:149074			
GI				



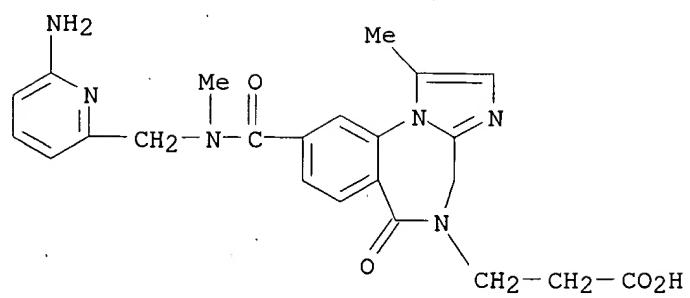
AB Title compds. I [A = fibrinogen antagonist template; W =  $(\text{CHR}_3)_n\text{U}(\text{CHR}_3)_m\text{V}$ ; X, Y, Z = N or CR<sub>4</sub>, provided that at most one is N; R<sub>1</sub> = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R<sub>2</sub> = R<sub>1</sub>, COR<sub>1</sub>, CO<sub>2</sub>R<sub>1</sub>; R<sub>3</sub> = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R<sub>4</sub> = H, halo, OR<sub>3</sub>, SR<sub>3</sub>, cyano, (un)substituted NH<sub>2</sub>, etc.; U, V = bond, CO, CR<sub>3</sub>R<sub>3</sub>, S, SO, SO<sub>2</sub>, O, NR<sub>3</sub>, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25  $\mu\text{M}$ , with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include preps. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT 193469-89-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193469-89-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 9-[[[(6-amino-2-pyridinyl)methyl]methylamino]carbonyl]-1-methyl-6-oxo-(9CI) (CA INDEX NAME)





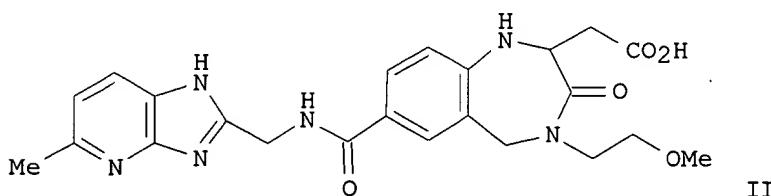
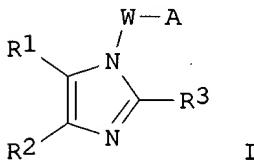
D27 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1997:547296 CAPLUS  
 DN 127:161822  
 TI Benzimidazole derivatives and analogs as vitronectin receptor antagonists.  
 IN Miller, William Henry; Bondinell, William Edward; Ku, Thomas Wen-fu;  
 Keenan, Richard Mcculloch; Samanen, James Martin; Kwon, Chet; Ali, Fadia  
 El-fehail; Lago, Maria A.  
 PA Smithkline Beecham Corporation, USA; Miller, William Henry; Bondinell,  
 William Edward; Ku, Thomas Wen-Fu; Keenan, Richard Mcculloch; Samanen,  
 James Martin; Kwon, Chet; Ali, Fadia El-Fehail; Lago, Maria A.  
 SO PCT Int. Appl., 238 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724119	A1	19970710	WO 1996-US20748	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2241633	AA	19970710	CA 1996-2241633	19961220
	AU 9713540	A1	19970728	AU 1997-13540	19961220
	EP 869787	A1	19981014	EP 1996-945087	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1209744	A	19990303	CN 1996-180113	19961220
	BR 9612327	A	19990713	BR 1996-12327	19961220
	JP 2000502354	T2	20000229	JP 1997-524557	19961220
	ZA 9610859	A	19971024	ZA 1996-10859	19961223
	NO 9803003	A	19980826	NO 1998-3003	19980626
PRAI	US 1995-9366P	P	19951229		
	WO 1996-US20748	W	19961220		
OS	MARPAT 127:161822				
GI					



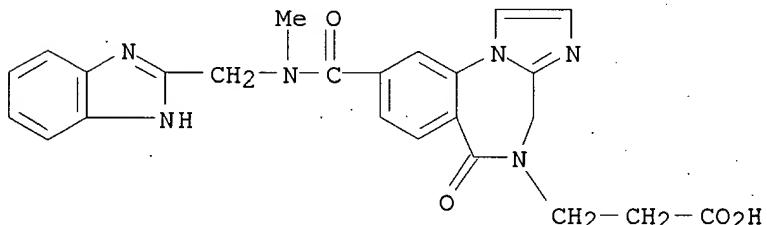
AB A variety of imidazoles, benzimidazoles, and analogs are disclosed, e.g., I [W = XV or C6H4; X = bond, (un)substituted CH2 or CH2CH2; V = certain substituted CONH or NHCO linkages; R1, R2 = H, alkyl, aralkyl, heteroaralkyl, halo, CF3, etc.; or R1R2 forms (un)substituted 5- or 6-membered carbo- or heterocyclic ring; R3 = H, alkyl, aralkyl; A = fibrinogen receptor antagonist template]. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis. Invention compds. are said to inhibit binding of SKF 107260 to vitronectin receptor at 0.001 to 50  $\mu$ M, and to have a vitronectin receptor Ki approx. 10- to 100-fold greater than that at the fibrinogen receptor. Over 80 example compds. are given, with characterization of 59 compds. For instance, title compd. II was prep'd. by amidation of 2-(aminomethyl)-4-aza-5-methylbenzimidazole di-HCl with the corresponding carboxybenzodiazepineacetate deriv., using EDC and HOEt, followed by sapon. with LiOH in aq. THF.

IT 193532-92-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzimidazole derivs. and analogs as vitronectin receptor antagonists)

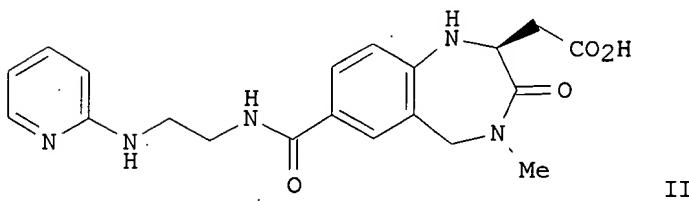
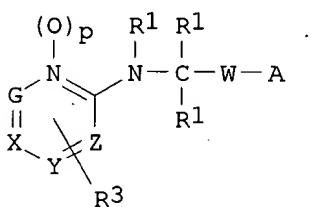
RN 193532-92-2 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
9-[(1H-benzimidazol-2-ylmethyl)methylamino]carbonyl]-6-oxo- (9CI) (CA  
INDEX NAME)



ANSWER 17 OF 46 CAPLUS COPYRIGHT 2003 ACS  
1997:547292 CAPLUS  
DN 127:149073  
TI Pyridine derivatives and analogs useful as vitronectin receptor antagonists  
IN Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
PA Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James  
SO PCT Int. Appl., 133 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724124	A1	19970710	WO 1996-US20327	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9712955	A1	19970728	AU 1997-12955	19961220
	CN 1209063	A	19990224	CN 1996-180114	19961220
	EP 906103	A1	19990407	EP 1996-943818	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	BR 9612381	A	19990713	BR 1996-12381	19961220
	JP 2000502704	T2	20000307	JP 1997-524453	19961220
	ZA 9610854	A	19980402	ZA 1996-10854	19961223
	NO 9803001	A	19980826	NO 1998-3001	19980626
	US 6159964	A	20001212	US 1999-91937	19990727
PRAI	US 1995-9367P	P	19951229		
	WO 1996-US20327	W	19961220		
OS	MARPAT	127:149073			
GI					



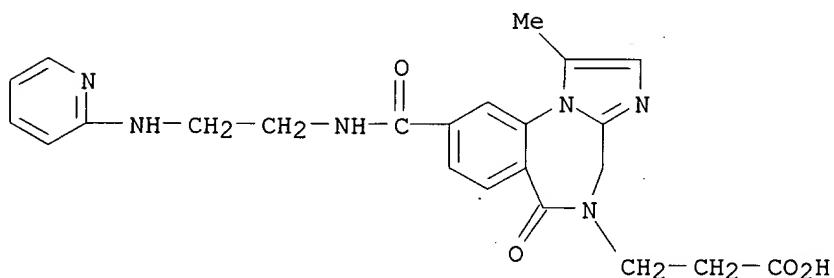
AB Title compds. I [A = fibrinogen antagonist template; W =  $(CHR2)nU(CHR2)mV$ ; G, X, Y, Z = N or CR3, provided that no more than one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R3 = H, halo, OR2, SR2, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR2R2, S, SO, SO2, O, NR2, etc.; n = 0, 1, 2, 3; m = 0, 1, 2; p = 0, 1] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25  $\mu$ M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include preps. of 41 title compds., with characterizing data for several of them. For instance, amidation of N-(2-pyridinyl)ethylenediamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT 193473-21-1P

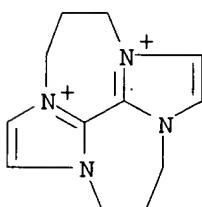
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193473-21-1 CAPLUS

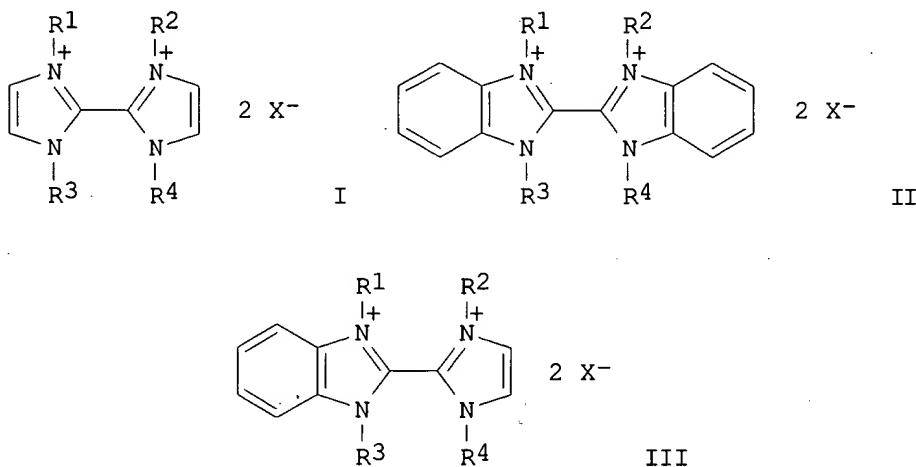
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
1-methyl-6-oxo-9-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (9CI) (CA  
INDEX NAME)



ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1997:540524 CAPLUS  
 DN 127:212412  
 TI Optical and Thermal Electron Transfer Activation of Dioxygen by Viologen Dithiolene Metalates  
 AU Ammon, U.; Chiorboli, C.; Duemler, W.; Grampp, G.; Scandola, F.; Kisch, H.  
 CS Institut fuer Anorganische Chemie, Universitaet Erlangen-Nuernberg,  
 Erlangen, D-91058, Germany  
 SO Journal of Physical Chemistry A (1997), 101(37), 6876-6882  
 CODEN: JPCAFH; ISSN: 1089-5639  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB Photoinduced electron transfer activation of dioxygen by redoxactive charge-transfer ion pairs of the type  $\{A2+[Pt(mnt)2]2^-\}$  ( $A2^+$  = 2,2',4,4'-bipyridinium or cycloalkylated biimidazolium dication;  $mnt$  = maleonitriledithiolate) occurs through an optical electron transfer within an ion pair. This affords the primary redox products  $A.bul.+$  and  $[Pt(mnt)2]^-$  as indicated by laser flash photolysis. Under argon the transients recombine by fast second-order kinetics. Under dioxygen a different behavior is obsd. In the case of acceptors with a first redn. potential more pos. than  $-0.6$  V back electron transfer prevails. When the potential is more neg. however,  $A.bul.+$  reduces  $O_2$  by pseudo-first-order kinetics to generate  $O_2.bul.-$ , while  $[Pt(mnt)2]^-$  accumulates in the soln. Quantum yields increase with decreasing excitation wavelength. This suggests that internal conversion of the initially populated excited state to the photoreactive ion pair charge-transfer state is more efficient upon excitation to the interligand ( $\pi, \pi^*$ ) state (334 nm) than to the metal-to-ligand charge-transfer state (437 or 580 nm). In the latter cases competitive radiationless deactivation via metal-centered states occurs. The corresponding Ni and Pd complexes do not exhibit any reactivity due to their very short excited state lifetimes. Formation of  $O_2.bul.-$  was proved by ESR spin-trapping techniques. Accumulation of  $[Pt(mnt)2]^-$  occurs also when instead of irradiating, the reaction is performed in the dark at about  $160^\circ C$ . The activation energy of  $108 \pm 10$  kJ/mol as obtained for the thermal electron transfer from  $[Pt(mnt)2]2^-$  to  $A2^+$  corresponds well to the value calcd. from the Hush-Marcus model.  
 IT 162477-59-0  
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)  
 (photochem. and thermal electron transfer reaction of mol. oxygen by viologen dithiolene metalate ion pairs contg.)  
 RN 162477-59-0 CAPLUS  
 CN 3H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
 4,5,9,10-tetrahydro- (9CI) (CA INDEX NAME)



L25 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS  
AN 1997:156150 CAPLUS  
DN 126:264038  
TI Annulated derivatives of 2,2'-biimidazole, 2-(2'-imidazolyl)benzimidazole, and 2,2'-bibenzimidazole  
AU Ames, James R.; Houghtaling, Melissa A.; Terrian, Deborah L.; Mitchell, Timothy P.  
CS Department Chemistry, University Michigan-Flint, Flint, MI, 48502-2186, USA  
SO Canadian Journal of Chemistry (1997), 75(1), 28-36  
CODEN: CJCHAG; ISSN: 0008-4042  
PB National Research Council of Canada  
DT Journal  
LA English  
GI



AB Prepn. of bisannulated salts of 2,2'-biimidazole, 2-(2'-imidazolyl)benzimidazole, 2,2'-bibenzimidazole, and annulated salts of 1,1'-dimethyl-2,2'-biimidazole, 1,1'-dimethyl-1-(2'-imidazolyl)benzimidazole, and 1,1'-dimethyl-2,2'-bibenzimidazole, I, II, and III [R1R2 = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, (CH<sub>2</sub>)<sub>4</sub>; R1 = R2 = Me, R3R4 = (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>, (CH<sub>2</sub>)<sub>4</sub>, X = Br, I] is accomplished by direct alkylation of the parent azaheterocycle with excess 1,n-dihaloalkane. Discussions of the product conformations use electronic absorption spectra and NMR. The redox potentials of these salts are measured in DMF and MeCN, and become increasingly more neg. and less reversible as the systems become less planar.

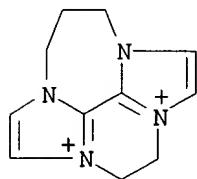
IT 120711-29-7 153652-52-9 176649-99-3

## RL: PRP (Properties)

(prepn., cyclic voltammetry, and UV spectra of annulated biimidazoles, imidazolylbenzimidazoles, and bibenzimidazoles)

RN 120711-29-7 CAPLUS

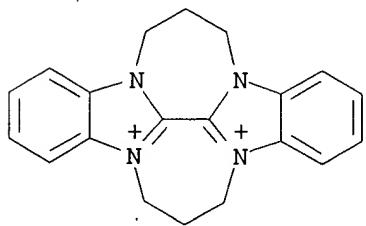
CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



2 Br<sup>-</sup>

RN 153652-52-9 CAPLUS

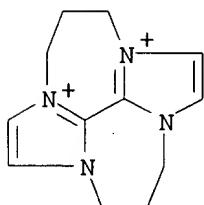
CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



● 2 Br<sup>-</sup>

RN 176649-99-3 CAPLUS

CN 3H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene, 4,5,9,10-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



2 Br<sup>-</sup>

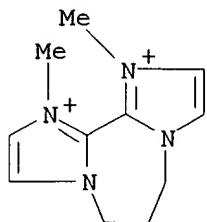
IT 188799-49-7P 188799-54-4P 188799-59-9P

188799-63-5P 188799-67-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn., cyclic voltammetry, and UV spectra of annulated biimidazoles,  
imidazolylbenzimidazoles, and bibenzimidazoles)

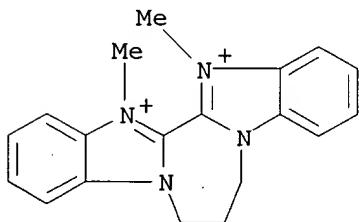
09/868,356

RN 188799-49-7 CAPLUS  
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepinium, 6,7-dihydro-1,11-dimethyl-, dibromide (9CI) (CA INDEX NAME)



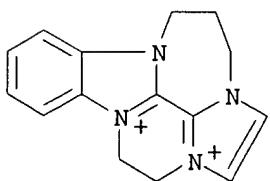
●2 Br<sup>-</sup>

RN 188799-54-4 CAPLUS  
CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepinium, 7,8-dihydro-14,15-dimethyl-, dibromide (9CI) (CA INDEX NAME)



●2 Br<sup>-</sup>

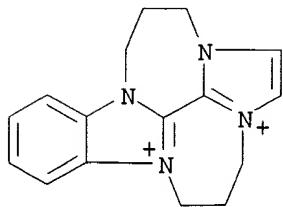
RN 188799-59-9 CAPLUS  
CN 9H-8b,11a-Diaza-2a,4a-diazoniaazuleno[7,8,1-1ma]fluorene, 3,4,10,11-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



●2 Br<sup>-</sup>

RN 188799-63-5 CAPLUS  
CN 3H,10H-9b,12a-Diaza-2a,5a-diazoniacyclopent[ef]indeno[1,2,3-kl]heptalene,

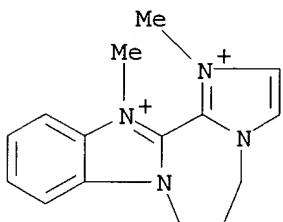
4,5,11,12-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



●2 Br<sup>-</sup>

RN 188799-67-9 CAPLUS

CN 5H-Imidazo[2',1':3,4][1,4]diazepino[1,2-a]benzimidazolium,  
6,7-dihydro-1,13-dimethyl-, dibromide (9CI) (CA INDEX NAME)



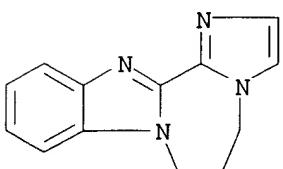
●2 Br<sup>-</sup>

IT 188799-45-3P

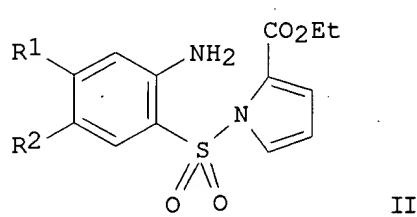
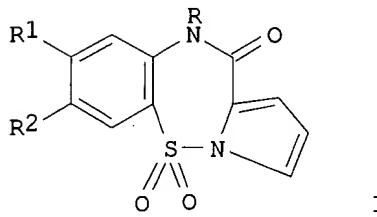
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn., cyclic voltammetry, and UV spectra of annulated biimidazoles, imidazolylbenzimidazoles, and bibenzimidazoles)

RN 188799-45-3 CAPLUS

CN 5H-Imidazo[2',1':3,4][1,4]diazepino[1,2-a]benzimidazol, 6,7-dihydro- (9CI) (CA INDEX NAME)



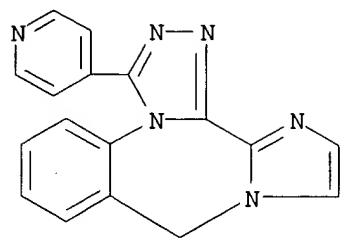
L ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1996:432298 CAPLUS  
 DN 125:195606  
 TI 5H-Pyrrolo[1,2-b][1,2,5]benzothiadiazepines (PBTDS): a novel class of non-nucleoside reverse transcriptase inhibitors  
 AU Artico, Marino; Silvestri, Romano; Pagnozzi, Eugenia; Stefancich, Giorgio; Massa, Silvio; Loi, Anna Giulia; Putzolu, Monica; Corrias, Simona; Spiga, Maria Grazia; La Colla, Paolo  
 CS Dipartimento di Studi Farmaceutici, Universita di Roma, Rome, 00185, Italy  
 SO Bioorganic & Medicinal Chemistry (1996), 4(6), 837-850  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier  
 DT Journal  
 LA English  
 GI



AB With the aim of developing novel inhibitors of human immunodeficiency virus, various derivs., e.g., I (R = H, Me, Et, Pr, allyl, 2-butenyl, etc.; R1, R2 = H, Cl), related to 5H-pyrrolo[1,2-b][1,2,5]benzothiadiazepine (PBTD), were prep'd. and tested in vitro. The title tricyclic derivs. were obtained by intramol. cyclization of the open-chain intermediate aryl pyrryl sulfones, e.g., II, followed by N-alkylation at position 10. Among test derivs. some 10-alkyl-5H-pyrrolo[1,2b][1,2,5]benzothiadiazepin-11(10H)-one-5,5-dioxides were found to exert potent and specific activity against HIV-1. In particular, 7-chloro derivs. I (R = R1 = H, R2 = Cl; R = Me, R1 = H, R2 = Cl) showed a potency comparable to that of nevirapine. However, when the chloro atom was shifted to the 8 position, the related products were scarcely active or totally inactive. Replacement of the pyrrole with pyrrolidine led to inactive products and the redn. of SO2 to S strongly diminished the antiviral potency. PBTD derivs. active in cell cultures were also inhibitory to the recombinant HIV-1 RT in enzyme assays, thus allowing the conclusion that PBTDs are a new class of non-nucleoside reverse transcriptase inhibitors (NNRTIs).

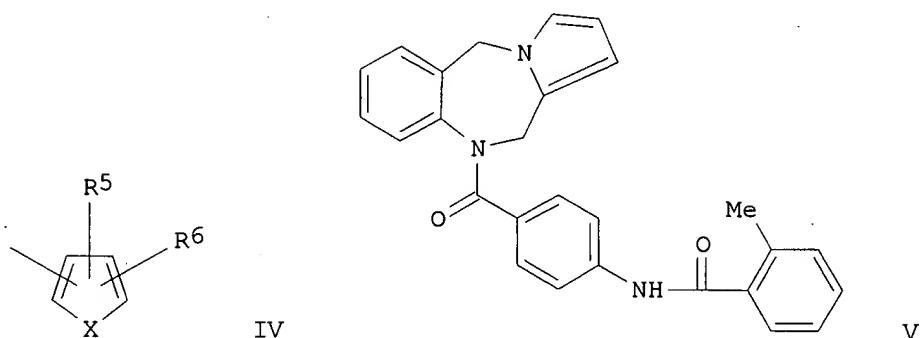
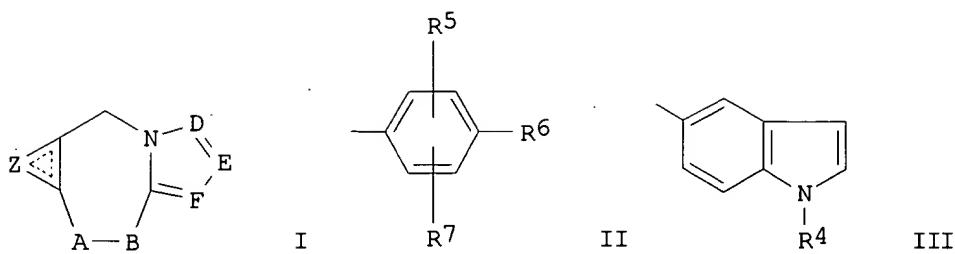
IT 153776-32-0  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (prepn., cytotoxicity, and anti-HIV-1 activity of pyrrolobenzothiadiazepines)  
 RN 153776-32-0 CAPLUS  
 CN 9H-Imidazo[2,1-c]-1,2,4-triazolo[4,3-a][1,4]benzodiazepine,  
 3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

09/868,356



ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1996:350579 CAPLUS  
 DN 125:114720  
 TI Tricyclic diazepine vasopressin antagonists and oxytocin antagonists  
 IN Albright, Jay D.; Reich, Marvin F.; Sum, Fuk Wah; Delos Santos, Efren G.  
 PA American Cyanamid Co., USA  
 SO U.S., 116 pp., Cont.-in-part of U.S. Ser. No. 100,004, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5516774	A	19960514	US 1994-254822	19940613
	AT 176234	E	19990215	AT 1994-111039	19940715
	ES 2129090	T3	19990601	ES 1994-111039	19940715
	SK 280836	B6	20000814	SK 1994-881	19940720
	CA 2128956	AA	19950130	CA 1994-2128956	19940727
	FI 9403543	A	19950130	FI 1994-3543	19940728
	NO 9402816	A	19950130	NO 1994-2816	19940728
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	ZA 9405603	A	19950309	ZA 1994-5603	19940728
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	HU 71495	A2	19951128	HU 1994-2219	19940728
	RU 2126006	C1	19990210	RU 1994-27583	19940728
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	CN 1039908	B	19980923		
	PL 178563	B1	20000531	PL 1994-304498	19940729
	TW 397834	B	20000711	TW 1994-83108600	19940916
	US 5624923	A	19970429	US 1995-468737	19950606
	US 5733905	A	19980331	US 1996-646582	19960508
	US 5736540	A	19980407	US 1996-646841	19960508
	US 5889001	A	19990330	US 1997-874835	19970613
	US 5854237	A	19981229	US 1997-877314	19970617
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	US 5968930	A	19991019	US 1997-999830	19971003
	CN 1205335	A	19990120	CN 1998-103834	19980210
	CN 1056374	B	20000913		
	HK 1011363	A1	20000505	HK 1998-112375	19981127
	US 5968937	A	19991019	US 1998-207522	19981208
	LV 12497	B	20000920	LV 2000-13	20000127
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	FI 2001001207	A	20010607	FI 2001-1207	20010607
PRAI	US 1993-100004	B2	19930729		
	US 1994-254822	A3	19940613		
	US 1995-468737	A2	19950606		
	US 1996-646542	B1	19960508		
	US 1996-646582	A1	19960508		
	US 1996-646653	B1	19960508		
	US 1997-999830	A3	19971003		
OS	MARPAT	125:114720			
GI					



AB Tricyclic diazepines of the formula I wherein: A-B is  $(CH_2)NR_3$  or  $NR_3CH_2$ ; the fused Z ring represents a fused Ph or fused Ph optionally substituted by one or two substituents selected from (C1-C3) lower alkyl, halogen, amino, (C1-C3) lower alkoxy, or (C1-C3) lower alkylamino; the fused DEF ring is a five-membered arom. (unsatd.) fused nitrogen-contg. heterocyclic ring wherein D, E, and F are carbon and wherein the carbon atoms may be optionally substituted by a substituent selected from, e.g., halogen, (C1-C3) lower alkyl, hydroxy, COCl, COCF<sub>3</sub>; R<sub>3</sub> = COAr, wherein Ar is selected from II-IV; X = O, S, NH, NMe, NAc; R<sub>4</sub> = e.g., H, (C1-C3) lower alkyl; R<sub>5</sub> = e.g., H, (C1-C3) lower alkyl; R<sub>6</sub> = e.g., amido, aminocarbonyl, ureido; R<sub>7</sub> = e.g., H, (C1-C3) lower alkyl; have vasopressin and oxytocin antagonist activity. Thus, e.g., amidation of 4-[(2-methylbenzoyl)amino]benzoyl chloride with 10,11-dihydro-5H-pyrrolo[2,1-c][1,4]benzodiazepine afforded benzamide V which at 1-10 mg/kg exhibited vasopressin V<sub>2</sub> antagonist activity in conscious hydrated rats (increased urine vol. and decreased osmolality relative to control), vasopressin V<sub>1</sub> antagonist activity (e.g., 70% inhibition of vasopressin vasopressor response in conscious rats at 3 mg/kg i.v.), and 90% inhibition of oxytocin receptor binding at 10  $\mu$ M with IC<sub>50</sub> = 0.36  $\mu$ M. V exhibited binding to rat hepatic V<sub>1</sub> receptors and rat kidney medullary V<sub>2</sub> receptors with IC<sub>50</sub> = 0.038 and 0.004  $\mu$ M, resp.

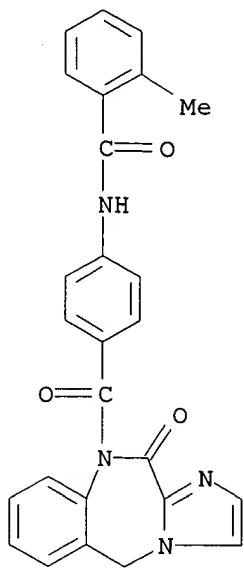
IT 179063-03-7P 179063-04-8P 179063-05-9P

179063-06-0P 179063-10-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

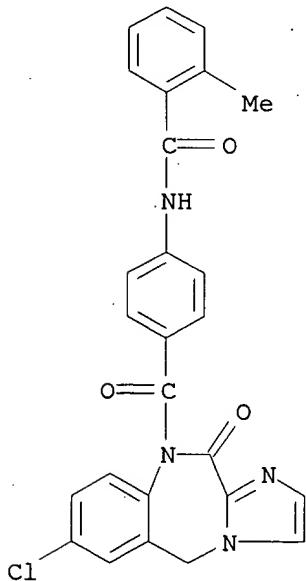
RN 179063-03-7 CAPLUS

CN Benzamide, 2-methyl-N-[4-[(11-oxo-5H-imidazo[2,1-a][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



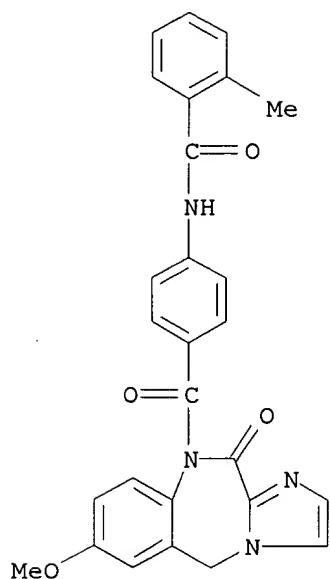
RN 179063-04-8 CAPLUS

CN Benzamide, N-[4-[(7-chloro-11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl]carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



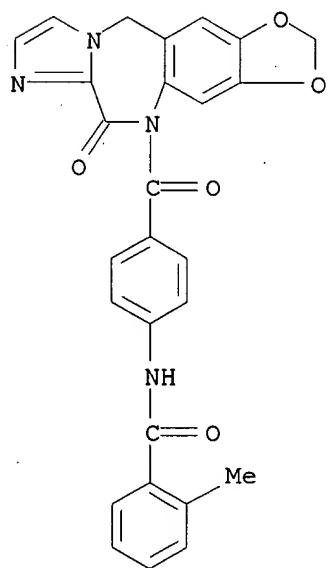
RN 179063-05-9 CAPLUS

CN Benzamide, N-[4-[(7-methoxy-11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl]carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



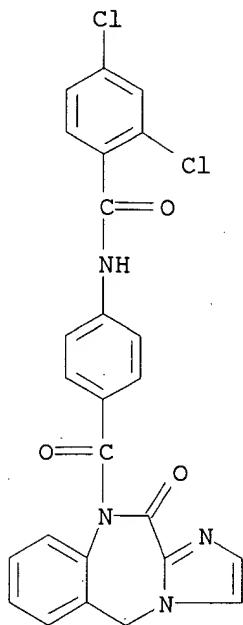
RN 179063-06-0 CAPLUS

CN Benzamide, 2-methyl-N-[4-[(6-oxo-6H-1,3-dioxolo[4,5-h]imidazo[2,1-c][1,4]benzodiazepin-5(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 179063-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[(11-oxo-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



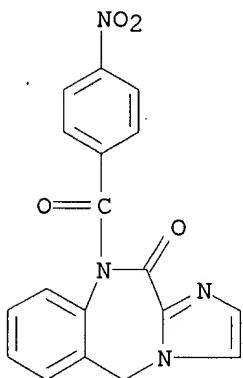
IT 179063-15-1P 179063-16-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(tricyclic diazepine vasopressin antagonists and oxytocin antagonists)

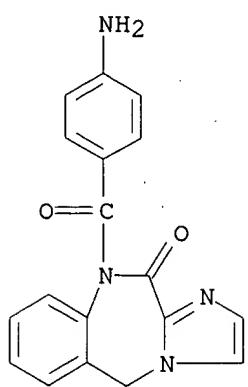
RN 179063-15-1 CAPLUS

CN 11H-Imidazo[2,1-c][1,4]benzodiazepin-11-one, 5,10-dihydro-10-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)

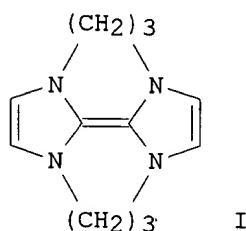


RN 179063-16-2 CAPLUS

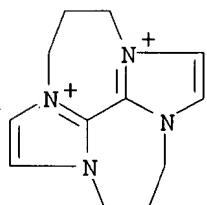
CN 11H-Imidazo[2,1-c][1,4]benzodiazepin-11-one, 10-(4-aminobenzoyl)-5,10-dihydro- (9CI) (CA INDEX NAME)



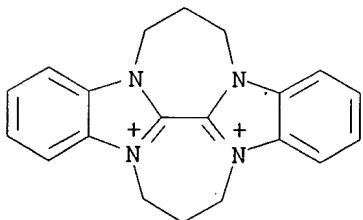
L87 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1996:319160 CAPLUS  
 DN 125:86606  
 TI A stable tetraazafulvalene  
 AU Taton, T. Andrew; Chen, Peter  
 CS Laboratorium Organische Chemie, Eidgenossischen Technischen Hochschule  
 Universitaetstrasse, Zurich, CH-8092, Switz.  
 SO Angewandte Chemie, International Edition in English (1996), 35(9),  
 1011-1013  
 CODEN: ACIEAY; ISSN: 0570-0833  
 PB VCH  
 DT Journal  
 LA English  
 GI



AB Tetraazafulvalene I was prep'd. by two routes and its crystal structure  
 detd.  
 IT 162477-59-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. and crystal structure of tetraazafulvalene)  
 RN 162477-59-0 CAPLUS  
 CN 3H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
 4,5,9,10-tetrahydro- (9CI) (CA INDEX NAME)



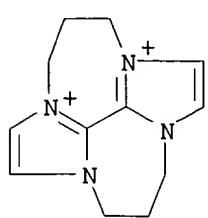
X ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1996:213415 CAPLUS  
 DN 124:343249  
 TI An aza-analog of TTF: 1,1';3,3'-bistrimethylene-2,2'-diimidazolinylidene  
 AU Shi, Zhiqiang; Goule, Véronique; Thummel, Randolph P.  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Tetrahedron Letters (1996), 37(14), 2357-60  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier  
 DT Journal  
 LA English  
 AB Bulk electrolysis of 1,1';3,3'-bistrimethylene-2,2'-biimidazolium  
 dibromide at -1.6 V in acetonitrile provides the air sensitive  
 1,1';3,3'-bistrimethylene-2,2'-diimidazolinylidene which was characterized  
 by 1H and 13C NMR. The corresponding dinaphtho-fused species, prep'd. by  
 deprotonation of a bis-trimethylene bridged bis-naphth[2,3]imidazolium  
 dibromide, reacts readily with air to form a syn-ureaphane.  
 IT 153652-52-9  
 RL: PRP (Properties)  
 (prepn. of bistrimethylenedimidazolinylidene)  
 RN 153652-52-9 CAPLUS  
 CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



●2 Br<sup>-</sup>

IT 176649-99-3  
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of bistrimethylenedimidazolinylidene)  
 RN 176649-99-3 CAPLUS  
 CN 3H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
 4,5,9,10-tetrahydro-, dibromide (9CI) (CA INDEX NAME)

09/868,356



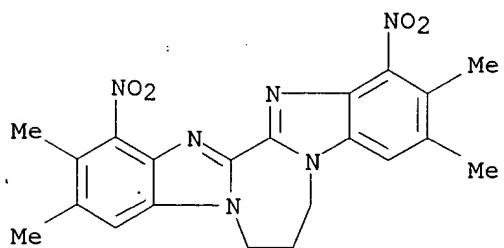
●2 Br<sup>-</sup>

D27 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:903092 CAPLUS  
 DN 123:305145  
 TI 4,4'-Bis(2-picolinimino)-2,2'-bibenzimidazoles: A New Class of  
 Dinucleating Ligands Which Allow for a Tuning of the Metal-Metal Distance.  
 Structures and Properties of a Dicopper(II) Complex and of Two Oxygenation  
 Products of a Dicopper(I) Complex; a Tentative Coordination Chemical  
 Modeling of Hemocyanin  
 AU Muller, Edgar; Bernardinelli, Gerald; Reedijk, Jan  
 CS Leiden Institute of Chemistry, Leiden University, Leiden, 2300 RA, Neth.  
 SO Inorganic Chemistry (1995), 34(24), 5979-88  
 CODEN: INOCAJ; ISSN: 0020-1669  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB 4,4'-Bis(2-picolinimino)-2,2'-bibenzimidazole derivs. (L), derived from  
 1,1'-disubstituted 4,4'-diamino-2,2'-bibenzimidazoles and  
 2-pyridinecarboxaldehyde, were developed as models for type 3 sites of the  
 copper proteins hemocyanin and tyrosinase. These hollow, ditopic ligands  
 can hold two metal ions face to face at distances of 3.15 .ANG. or larger.  
 The metal-metal distance can be restricted (tuned) to a given value via a  
 corresponding polymethylene bridge in the ligand's backbone.  
 [CuII2(L)(DMF)3(H2O)2](F3CSO3)4 [L = 1,1',5,5',6,6'-hexamethyl-4,4'-bis(2-  
 picolinimino)-2,2'-bibenzimidazole] (space group P.hivin.1, a 14.811(21),  
 b 15.358(26), c 16.209(9) .ANG., .alpha. 95.57(9), .beta. 107.56(9),  
 .gamma. 110.35(13).degree., Z = 2) presents an open conformation with  
 discrete (4 + 2) copper coordination environments, where two DMF mols.  
 occupy the 4th positions of the equatorial CuN3O squares  
 (.ltbbrac.Cu-N.rtbbrac. = 2.02 .ANG., .ltbbrac.Cu-O.rtbbrac. = 1.95  
 .ANG.). Two water mols., a DMF and one of the triflate anions, are  
 coordinated to the four axial positions (Cu-O of 2.28-2.74 .ANG.). The  
 two halves of the ligand are rotated out of the cis-coplanar conformation  
 by 115.7.degree., resulting in a relatively long  
 Cu.cndot..cndot..cndot.Cu distance of 6.16 .ANG.. In acetonitrile, the  
 complex shows two irreversible Cu(II)/Cu(I) redox potentials at 0.60 and  
 0.30 V (normal H electrode). Two oxygenation products of the dicopper(I)  
 complex of the restricted ligand 1,1'-trimethylene-5,5',6,6'-tetramethyl-  
 4,4'-bis(2-picolinimino)-2,2'-bibenzimidazole (L3), which best approaches  
 the geometry of a type 3 site, were isolated in the cryst. state. The 1st  
 one, [CuII4(H2(L3)O22-)2](ClO4)4 (orthorhombic: space group Cccca, a  
 16.171(3), b 19.760(4), c 22.168(5) .ANG., Z = 4), is a tetranuclear  
 copper(II) cluster, best described as a sym. Cu4O4 eight membered ring  
 (Cu.cndot..cndot..cndot.Cu distances of 3.05, 3.50, and 6.30 .ANG.),  
 attached to two L3 mols., with the four oxy anions covalently linked to  
 the azomethine carbons (forming the L3 deriv. H2(L3)O22-). The 2nd  
 oxygenation product, [CuI2(L3')2](ClO4)2 (monoclinic: space group C2/c, a  
 23.500(3), b 12.569(5), c 19.926(8) .ANG., .beta. 106.71(2).degree., Z =  
 4), is a dinuclear copper(I) complex of L3', a degrdn. product of L3,  
 carrying a free amino group on one side. The copper(II) ions are in a  
 bis(diimine) type, distorted tetrahedral environment (dihedral angle  
 79.1.degree.), with a Cu.cndot..cndot..cndot.Cu distance of 4.59 .ANG..  
 About 25% of the ligand L3' appears to be oxidized at the free amino group  
 to the corresponding quinonimine, as deduced from the x-ray structure  
 detn.  
 IT 169699-42-7P 169699-45-0P 169699-48-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (for prep. of copper bis(picolinimino)bibenzimidazole deriv. dinuclear

complexes as hemocyanin models).

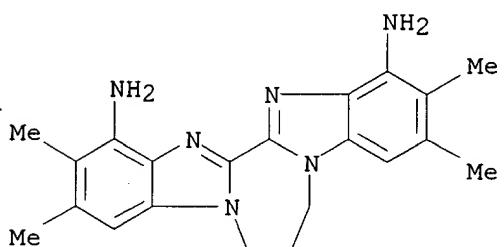
RN 169699-42-7 CAPLUS

CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine, 7,8-dihydro-2,3,11,12-tetramethyl-1,13-dinitro- (9CI) (CA INDEX NAME)



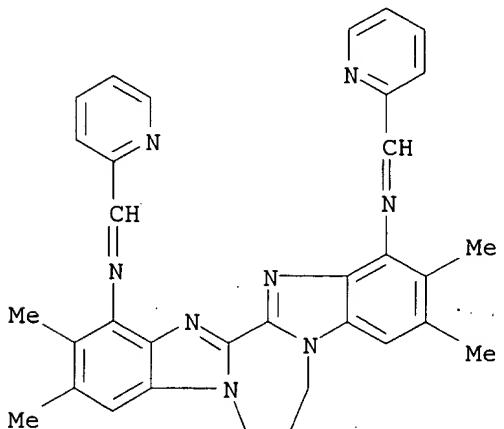
RN 169699-45-0 CAPLUS

CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine-1,13-diamine, 7,8-dihydro-2,3,11,12-tetramethyl- (9CI) (CA INDEX NAME)



RN 169699-48-3 CAPLUS

CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine-1,13-diamine, 7,8-dihydro-2,3,11,12-tetramethyl-N,N'-bis(2-pyridinylmethylene)- (9CI) (CA INDEX NAME)



IT 169699-38-1P 169699-40-5DP, solid soln. with partially oxidized analogous complex 169699-53-0DP, solid soln. with

09/868,356

unoxidized analogous complex

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and crystal structure of copper bis(picolinimino)bibenzimidazole deriv. dinuclear complexes as hemocyanin models)

RN 169699-38-1 CAPLUS

CN Copper(4+), bis[.mu.3-[(.alpha.,.alpha.'-[(7,8-dihydro-2,3,11,12-tetramethyl-6H-bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine-1,13-diy])diimino]bis[2-pyridinemethanolato]](2-)]tetra-, stereoisomer, tetraperchlorate (9CI) (CA INDEX NAME)

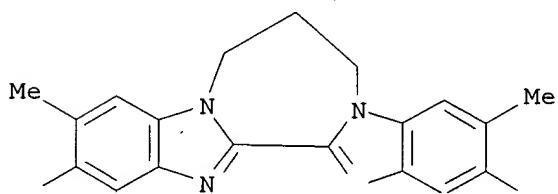
CM 1

CRN 169699-37-0

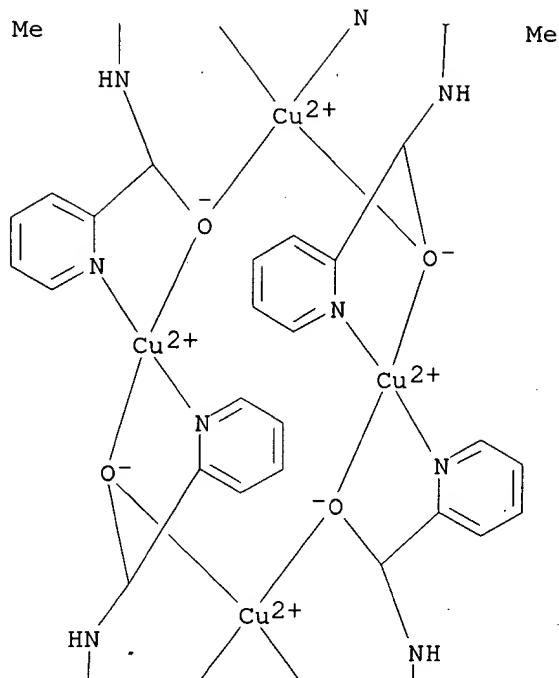
CMF C66 H64 Cu4 N16 O4

CCI CCS

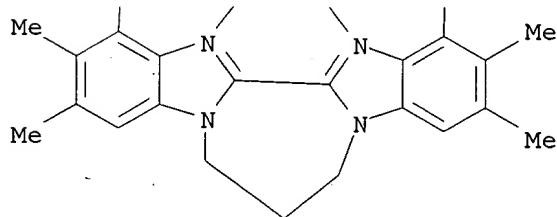
PAGE 1-A



PAGE 2-A

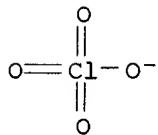


PAGE 3-A



CM 2

CRN 14797-73-0  
CMF C1 04



RN 169699-40-5 CAPLUS

CN Copper(2+), bis[.mu.-[7,8-dihydro-2,3,11,12-tetramethyl-N-(2-pyridinylmethylene)-6H-bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine-1,13-

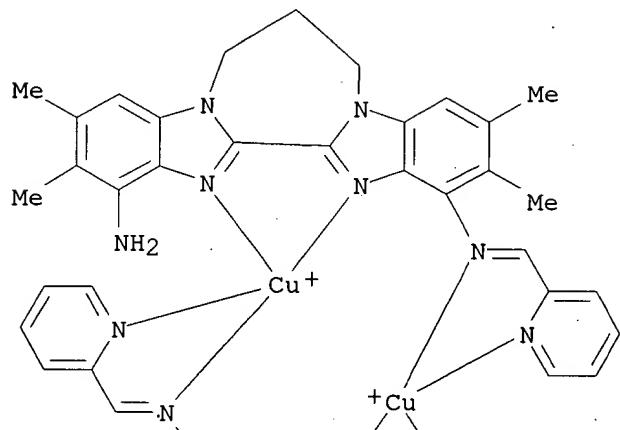
09/868,356

diamine-N1,N1':N14,N15]]di-, diperchlorate (9CI) (CA INDEX NAME)

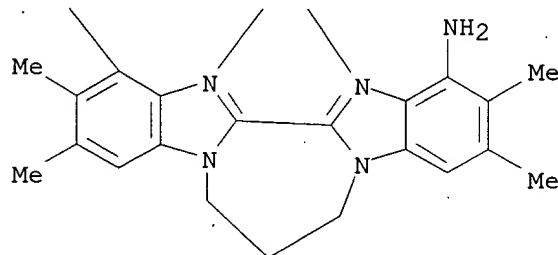
CM 1

CRN 169699-39-2  
CMF C54 H54 Cu2 N14  
CCI CCS

PAGE 1-A

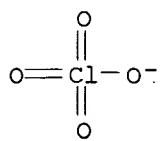


PAGE 2-A



CM 2

CRN 14797-73-0  
CMF Cl O4

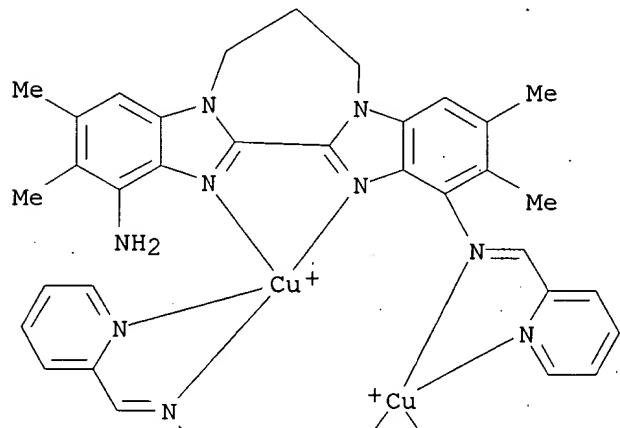


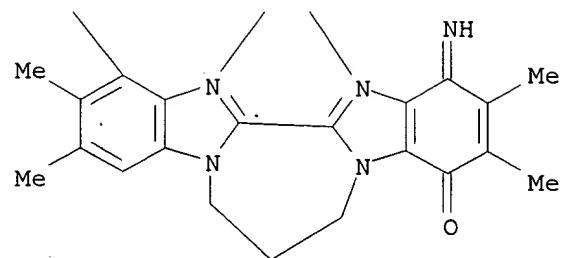
RN 169699-53-0 CAPLUS  
CN Copper(2+), bis[.mu.-[7,8-dihydro-1-imino-2,3,11,12-tetramethyl-13-[(2-pyridinylmethylene)amino]-6H-bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepin-4(1H)-one-N13,N13':N14,N15]]di-, diperchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 169699-52-9  
CMF C54 H52 Cu2 N14 O  
CCI CCS

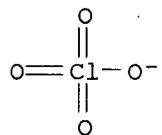
PAGE 1-A





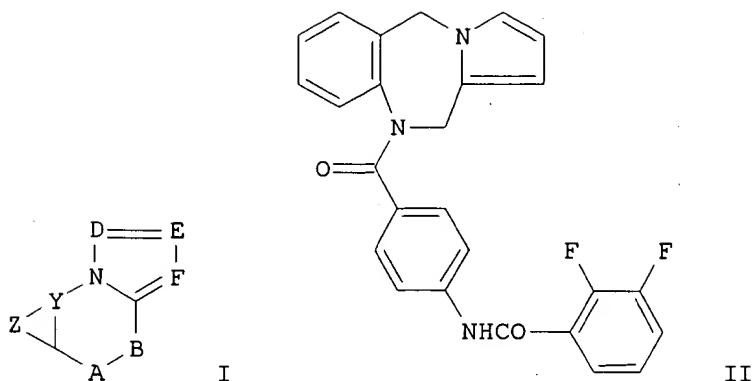
CM 2

CRN 14797-73-0  
CMF Cl O4



L7 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:810397 CAPLUS  
 DN 123:228225  
 TI Preparation of tricyclic diazepines and related compounds as vasopressin  
 and oxytocin antagonists.  
 IN Albright, Jay D.; Reich, Marvin F.; Sum, Fuk-Wah; Delos Santos, Efren  
 Guillermo  
 PA American Cyanamid Co., USA  
 SO Eur. Pat. Appl., 256 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 636625	A2	19950201	EP 1994-111039	19940715
EP 636625	A3	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 176234	E	19990215	AT 1994-111039	19940715
ES 2129090	T3	19990601	ES 1994-111039	19940715
SK 280836	B6	20000814	SK 1994-881	19940720
CA 2128956	AA	19950130	CA 1994-2128956	19940727
FI 9403543	A	19950130	FI 1994-3543	19940728
NO 9402816	A	19950130	NO 1994-2816	19940728
AU 9468777	A1	19950209	AU 1994-68777	19940728
AU 683660	B2	19971120		
ZA 9405603	A	19950309	ZA 1994-5603	19940728
JP 07157486	A2	19950620	JP 1994-195857	19940728
HU 71495	A2	19951128	HU 1994-2219	19940728
RU 2126006	C1	19990210	RU 1994-27583	19940728
CN 1106812	A	19950816	CN 1994-108769	19940729
CN 1039908	B	19980923		
PL 178563	B1	20000531	PL 1994-304498	19940729
TW 397834	B	20000711	TW 1994-83108600	19940916
CN 1205335	A	19990120	CN 1998-103834	19980210
CN 1056374	B	20000913		
HK 1011363	A1	20000505	HK 1998-112375	19981127
LV 12497	B	20000920	LV 2000-13	20000127
FI 2001001206	A	20010607	FI 2001-1206	20010607
FI 2001001207	A	20010607	FI 2001-1207	20010607
PRAI US 1993-100004	A	19930729		
OS CASREACT 123:228225; MARPAT 123:228225				
GI				



AB Title compds. [I; Y = (CH<sub>2</sub>)<sub>n</sub>, RCH, CO; n = 0-2; R = C<sub>1-3</sub> alkyl; AB = (CH<sub>2</sub>)<sub>m</sub>NR<sub>3</sub>; R<sub>3</sub> = COAr; Ar = (substituted) indolyl, acylaminophenyl, -furyl, -thienyl, -pyrrolyl, etc.; m = 0-3, with provisos; Z = atoms to form a (substituted) fused Ph, (unsatd.) heterocyclyl; D, E, F = N or C which may be substituted], were prep'd. Thus, 2,3-difluorobenzoyl chloride in CH<sub>2</sub>Cl<sub>2</sub> was treated with Et<sub>3</sub>N and then with 10,11-dihydro-(4-aminobenzoyl)-5H-pyrrolo[2,1-c][1,4]benzodiazepine (prepn. given) to give title compd. (II). II showed IC<sub>50</sub> = 0.097 .mu.M and 0.029 .mu.M in binding assays for vasopressin V<sub>1</sub> and V<sub>2</sub> receptors, resp.

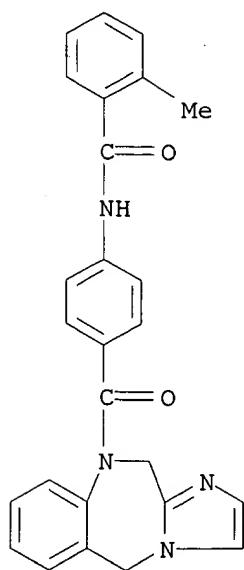
IT 168078-73-7P 168078-76-0P 168078-77-1P

168078-78-2P 168080-00-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of tricyclic diazepines and related compds. as vasopressin and oxytocin antagonists)

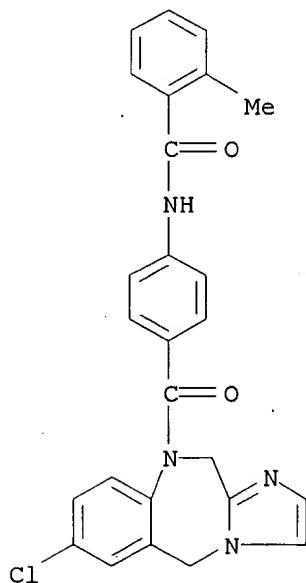
RN 168078-73-7 CAPLUS

CN Benzamide, N-[4-(5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-ylcarbonyl)phenyl]-2-methyl- (9CI) (CA INDEX NAME)



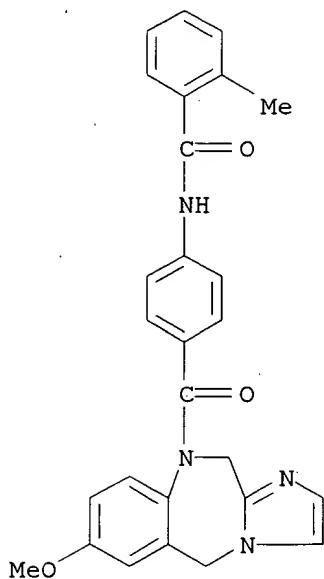
RN 168078-76-0 CAPLUS

CN Benzamide, N-[4-[(7-chloro-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



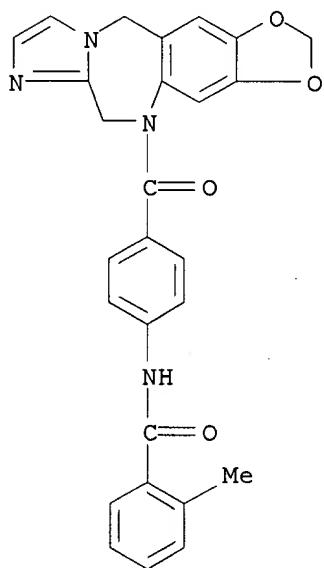
RN 168078-77-1 CAPLUS

CN Benzamide, N-[4-[(7-methoxy-5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-yl)carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)



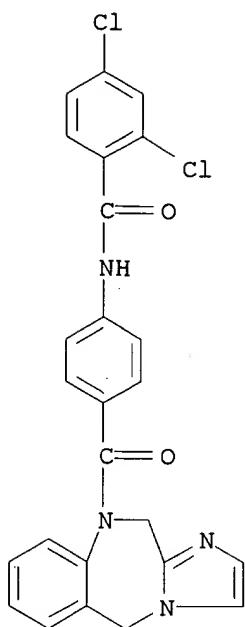
RN 168078-78-2 CAPLUS

CN Benzamide, N-[4-(6H-1,3-dioxolo[4,5-h]imidazo[2,1-c][1,4]benzodiazepin-5(11H)-ylcarbonyl)phenyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 168080-00-0 CAPLUS

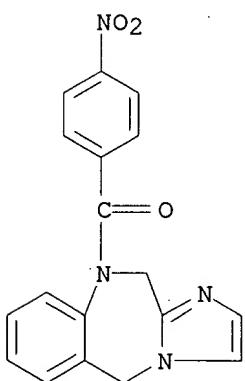
CN Benzamide, 2,4-dichloro-N-[4-(5H-imidazo[2,1-c][1,4]benzodiazepin-10(11H)-ylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



IT 168078-74-8P 168078-75-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of tricyclic diazepines and related compds. as vasopressin and oxytocin antagonists)

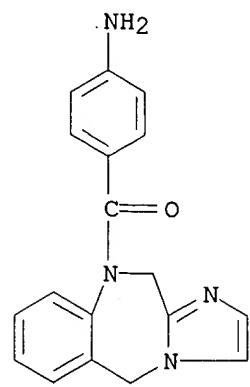
RN 168078-74-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-10-(4-nitrobenzoyl)-  
 (9CI) (CA INDEX NAME)

RN 168078-75-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10-(4-aminobenzoyl)-10,11-dihydro-  
 (9CI) (CA INDEX NAME)

09/868,356



127 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:792607 CAPLUS  
 DN 123:198837  
 TI Preparation of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa  
 fibrinogen receptor which block blood platelet aggregation  
 IN Blackburn, Brent K.; Robarge, Kirk; Somers, Todd C.  
 PA Genentech, Inc., USA  
 SO PCT Int. Appl., 355 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504057	A1	19950209	WO 1994-US7989	19940715
W: CA, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5493020	A	19960220	US 1993-99019	19930729
EP 708775	A1	19960501	EP 1994-923512	19940715
EP 708775	B1	19970528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501158	T2	19970204	JP 1994-505853	19940715
AT 153665	E	19970615	AT 1994-923512	19940715
US 5705890	A	19980106	US 1994-313069	19940926
PRAI US 1993-99019		19930729		
WO 1994-US7989		19940715		
OS MARPAT 123:198837				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; A1 = (un)substituted CH<sub>2</sub>, (un)substituted CH, N, (un)substituted NH; A2 = (un)substituted CH<sub>2</sub>, N, SO<sub>2</sub>, SO, S, O, C:O, etc.; L = (un)substituted alkylene, (un)substituted cycloalkylene, arylene, etc.; Q = (un)substituted NH<sub>2</sub>, (un)substituted amidino, etc.; R1, R2 = H, halogen, CN, CO<sub>2</sub>H, aminocarbonyl, carboxamido, etc.; R18-R21 = H, alkyl, halogen, alkoxy, CN, CO<sub>2</sub>H, OH, etc.; R22 = HO, alkoxy, alkenoxy, aryloxy, etc.] [II; B1 = (un)substituted CH, (un)substituted CH<sub>2</sub>, N, (un)substituted NH, C:O; B2 = (un)substituted CH, (un)substituted CH<sub>2</sub>, (un)substituted NH, SO<sub>2</sub>, SO, S, O, C:O; B3 = (un)substituted CH, (un)substituted CH<sub>2</sub>, C:O], which potently inhibit fibrinogen binding to the GPIIbIIIa receptor and are useful for the treatment of diseases (no data) in which blocking platelet aggregation is indicated, are prepd. Thus, benzodiazepinone, III, was prepd. and demonstrated IC<sub>50</sub> 0.009 .mu.M for Fg/IIbIIIa and 0.133 for platelet aggregation inhibition (citrate).

IT 167854-23-1P 167854-25-3P 167854-27-5P  
 167854-29-7P 167854-31-1P 167854-65-1P  
 167854-67-3P 167854-69-5P 167854-70-8P  
 167854-72-0P 167854-74-2P 167854-76-4P  
 167854-78-6P 167854-80-0P 167854-82-2P  
 167854-84-4P 167854-86-6P 167854-88-8P  
 167854-90-2P 167854-92-4P 167854-94-6P  
 167854-96-8P 167854-98-0P 167855-00-7P  
 RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa fibrinogen receptor which block blood platelet aggregation)

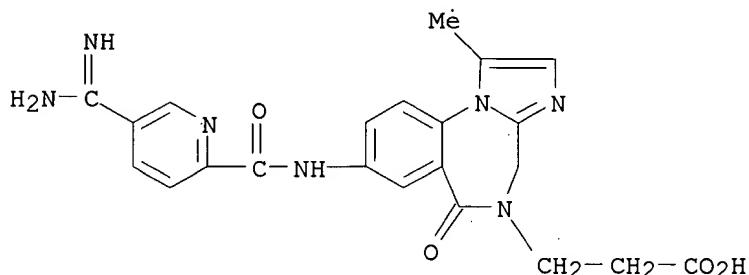
RN 167854-23-1 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[[5-(aminoiminomethyl)-2-pyridinyl]carbonyl]amino]-1-methyl-6-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 167854-22-0

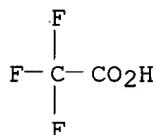
CMF C22 H21 N7 O4



CM 2

CRN 76-05-1

CMF C2 H F3 O2



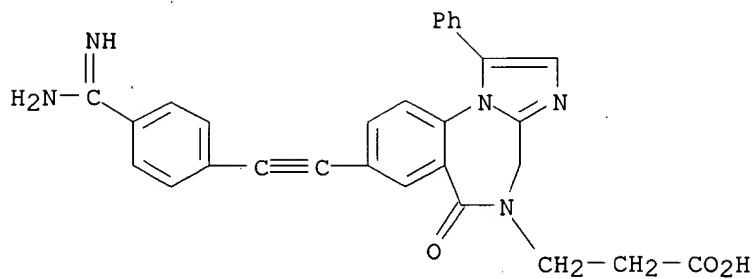
RN 167854-25-3 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[[4-(aminoiminomethyl)phenyl]ethynyl]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

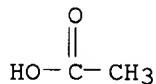
CRN 167854-24-2

CMF C29 H23 N5 O3



CM 2

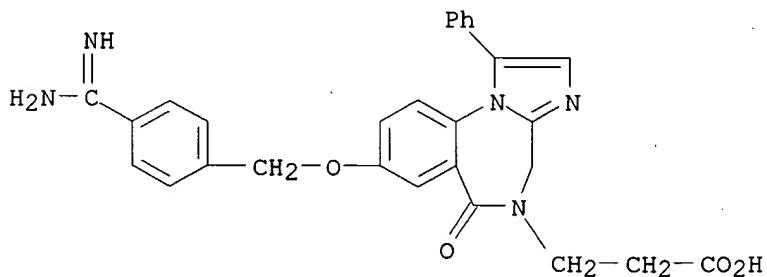
CRN 64-19-7  
CMF C2 H4 O2



RN 167854-27-5 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]methoxy]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

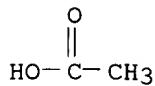
CM 1

CRN 167854-26-4  
CMF C28 H25 N5 O4



CM 2

CRN 64-19-7  
CMF C2 H4 O2



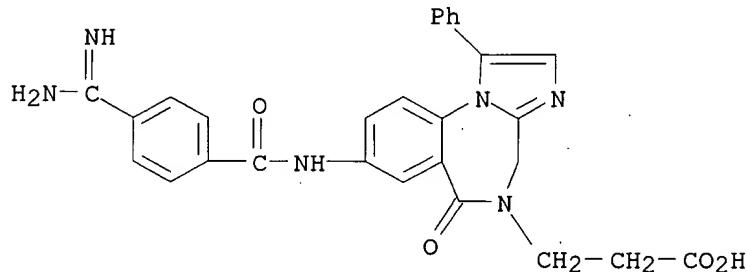
RN 167854-29-7 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-6-oxo-1-phenyl-, monoacetate (9CI)  
(CA INDEX NAME)

CM 1

CRN 167854-28-6

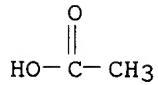
CMF C28 H24 N6 O4



CM 2

CRN 64-19-7

CMF C2 H4 O2



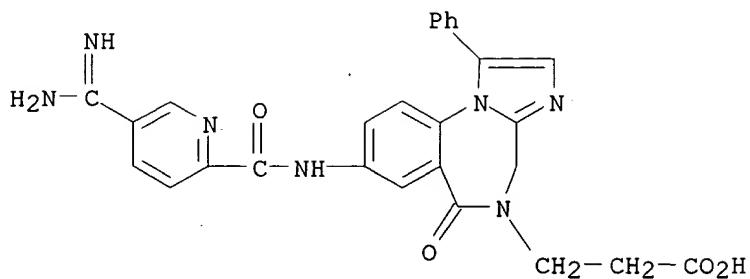
RN 167854-31-1 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[[5-(aminoiminomethyl)-2-pyridinyl]carbonyl]amino]-6-oxo-1-phenyl-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

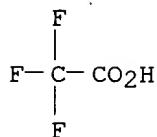
CM 1

CRN 167854-30-0

CMF C27 H23 N7 O4



CM 2

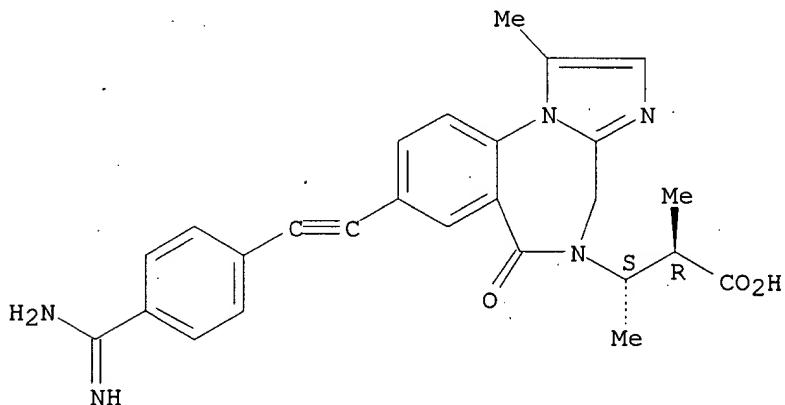
CRN 76-05-1  
CMF C2 H F3 O2

RN 167854-65-1 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-,  
 [S-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

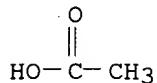
CRN 167854-64-0  
CMF C26 H25 N5 O3

Absolute stereochemistry.



CM 2

CRN 64-19-7  
 CMF C2 H4 O2

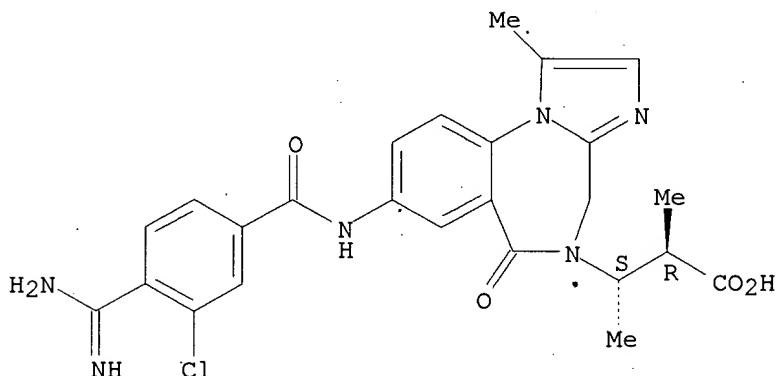


RN 167854-67-3 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)-3-chlorobenzoyl]amino]-.alpha.,.beta.,1-trimethyl-  
 6-oxo-, [S-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

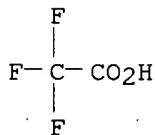
CRN 167854-66-2  
 CMF C25 H25 Cl N6 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



RN 167854-69-5 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)-2-chlorobenzoyl]amino]-.alpha.,.beta.,1-trimethyl-  
 6-oxo-, [S-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

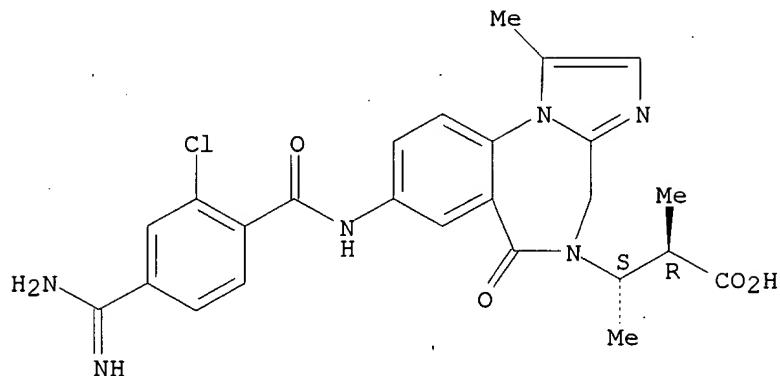
CM 1

CRN 167854-68-4

09/868,356

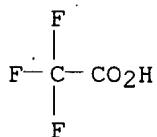
CMF C25 H25 Cl N6 O4

### Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O



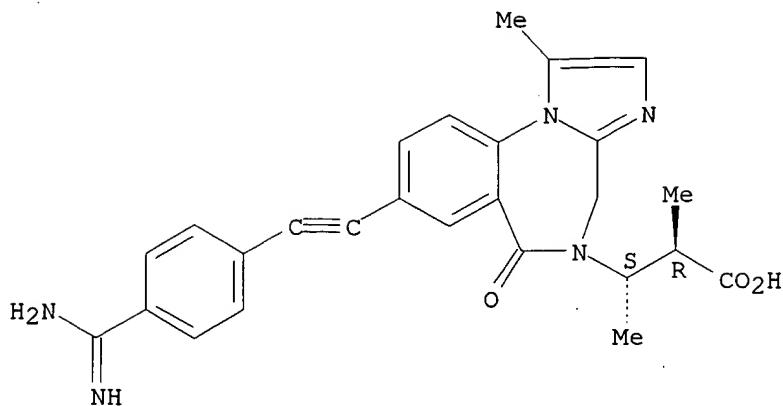
RN 167854-70-8 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)phenyl)ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-,  
[S-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

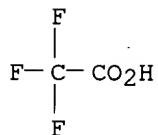
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CMF C26 H25 N5 O3

## Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

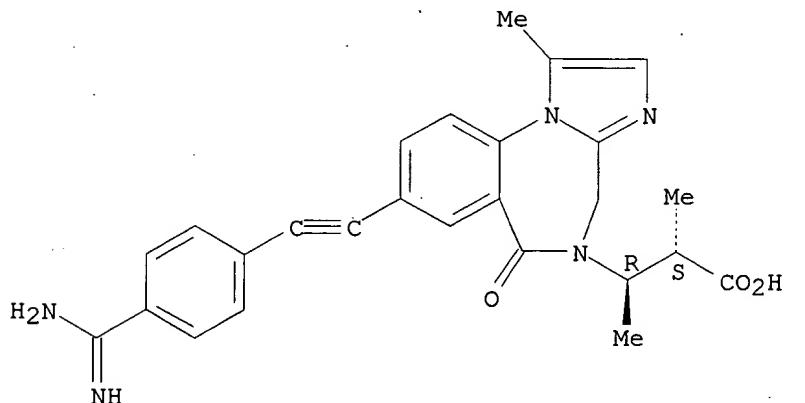


RN 167854-72-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-oxo-,  
[R-(R\*,S\*)]-, monoacetate (9CI) (CA INDEX NAME)

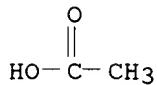
CM 1

CRN 167854-71-9  
CMF C26 H25 N5 O3

Absolute stereochemistry.



CM 2

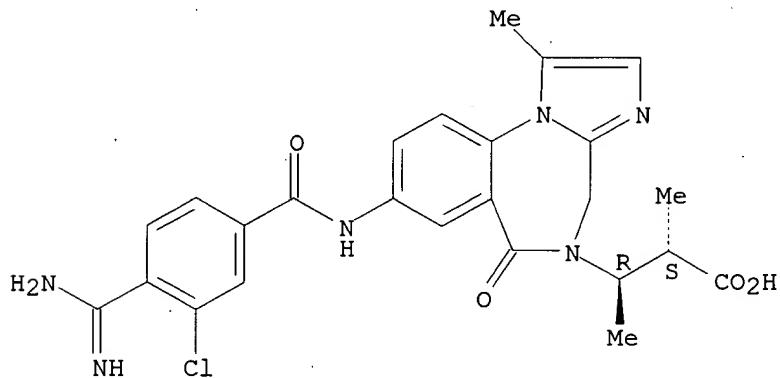
CRN 64-19-7  
CMF C2 H4 O2

RN 167854-74-2 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)-3-chlorobenzoyl]amino]-.alpha.,.beta.,1-trimethyl-  
 6-oxo-, [R-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

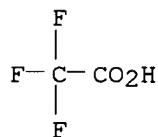
CM 1

CRN 167854-73-1  
CMF C25 H25 Cl N6 O4

Absolute stereochemistry.



CM 2

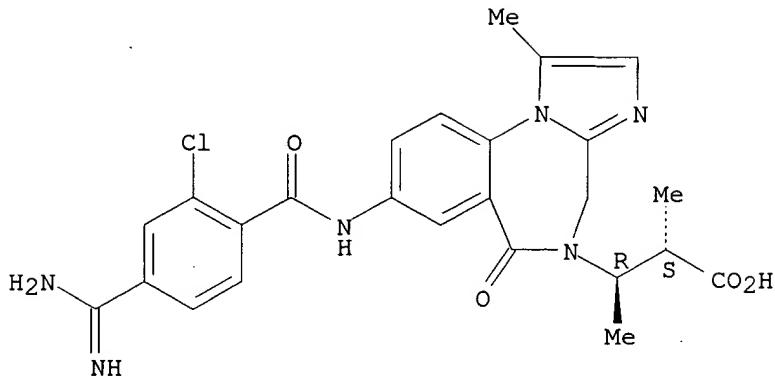
CRN 76-05-1  
CMF C2 H F3 O2

RN 167854-76-4 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-(aminoiminomethyl)-2-chlorobenzoyl]amino]-.alpha.,.beta.,1-trimethyl-  
 6-oxo-, [R-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

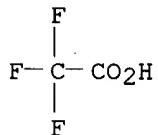
CM 1

CRN 167854-75-3  
CMF C25 H25 Cl N6 O4

Absolute stereochemistry.



CM 2

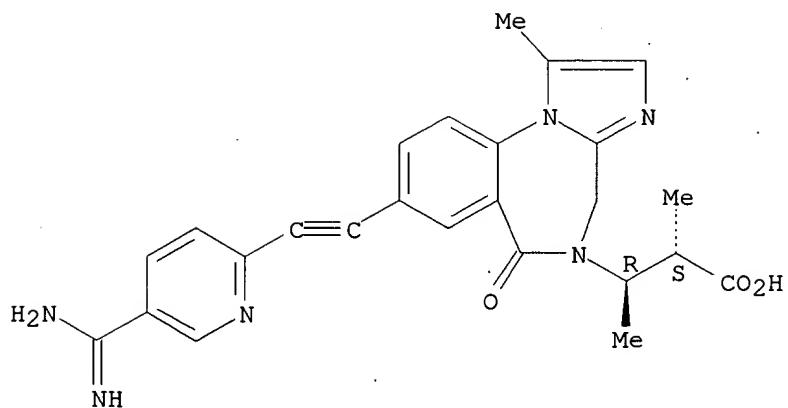
CRN 76-05-1  
CMF C2 H F3 O2

RN 167854-78-6 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,.beta.,1-trimethyl-6-  
 oxo-, [R-(R\*,S\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

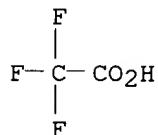
CRN 167854-77-5  
CMF C25 H24 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

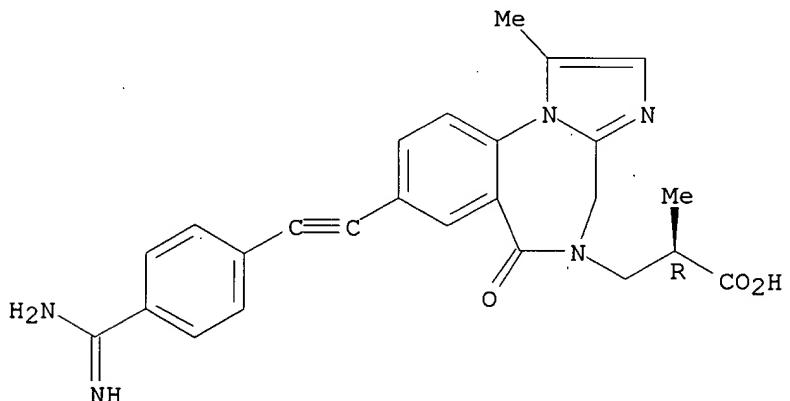


RN 167854-80-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-, (R)-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-79-7  
CMF C25 H23 N5 O3

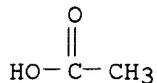
Absolute stereochemistry.



09/868, 356

CM 2

CRN 64-19-7  
CMF C2 H4 O2

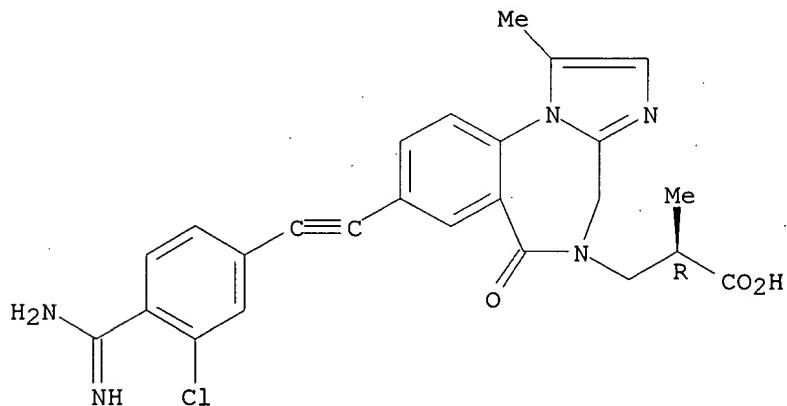


RN 167854-82-2 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-3-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-  
, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

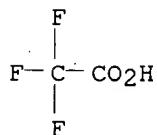
CRN 167854-81-1  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 167854-84-4 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-

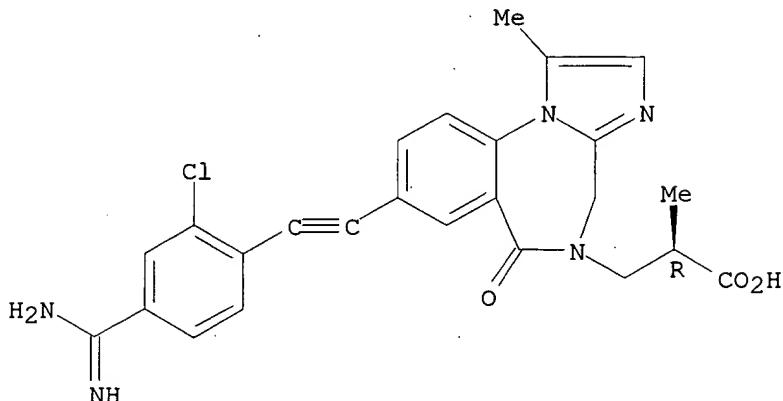
09/868, 356

, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

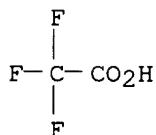
CRN 167854-83-3  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



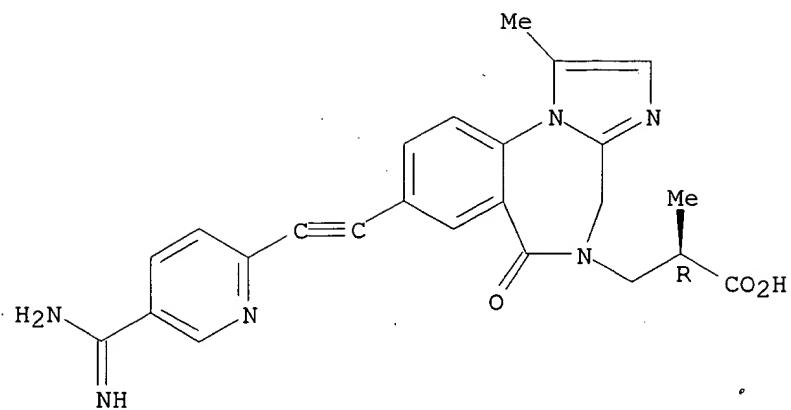
RN 167854-86-6 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,  
(R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 167854-85-5  
CMF C24 H22 N6 O3

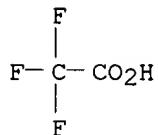
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 167854-88-8 CAPLUS

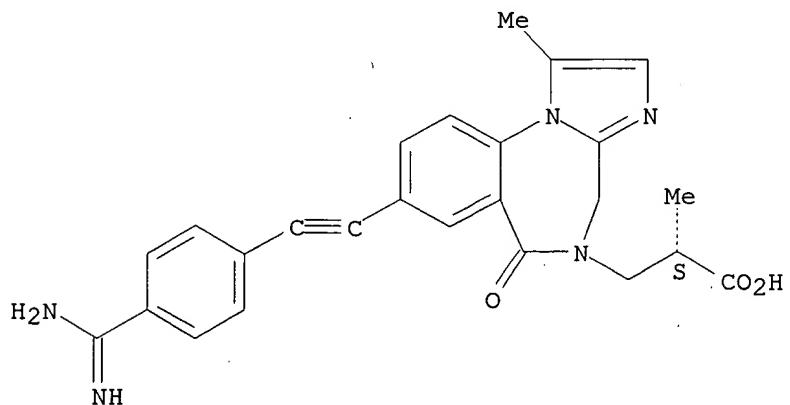
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-, (S)-,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167854-87-7

CMF C25 H23 N5 O3

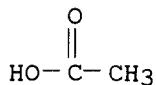
Absolute stereochemistry.



09/868, 356

CM 2

CRN 64-19-7  
CMF C2 H4 O2

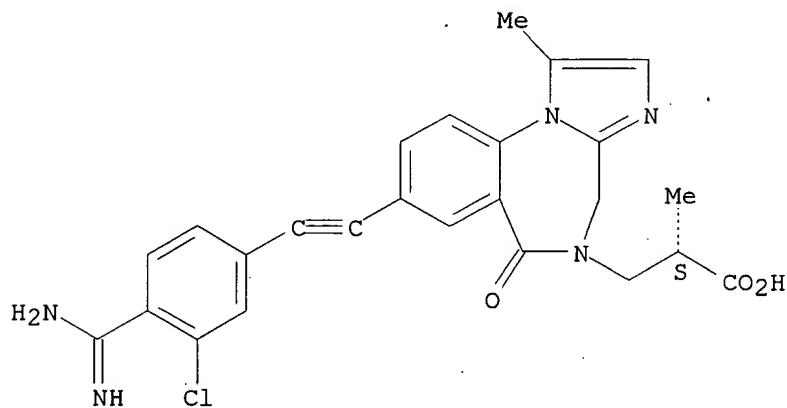


RN 167854-90-2 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-3-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-  
, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

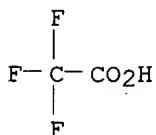
CRN 167854-89-9  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



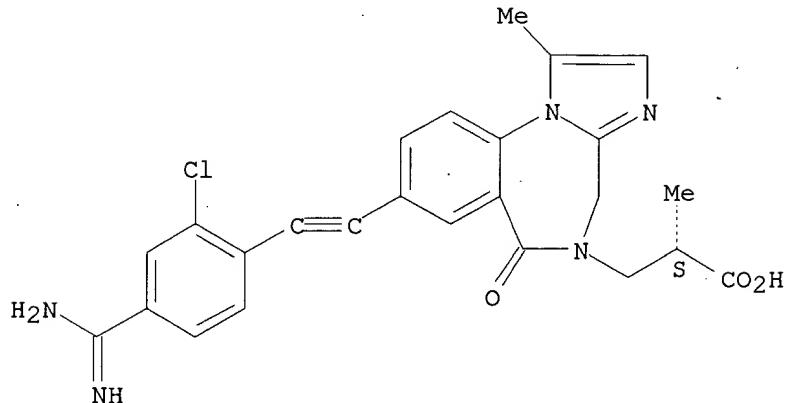
RN 167854-92-4 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-

, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

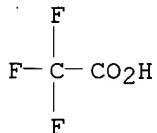
CRN 167854-91-3  
CMF C25 H22 C1 N5 O3

### Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



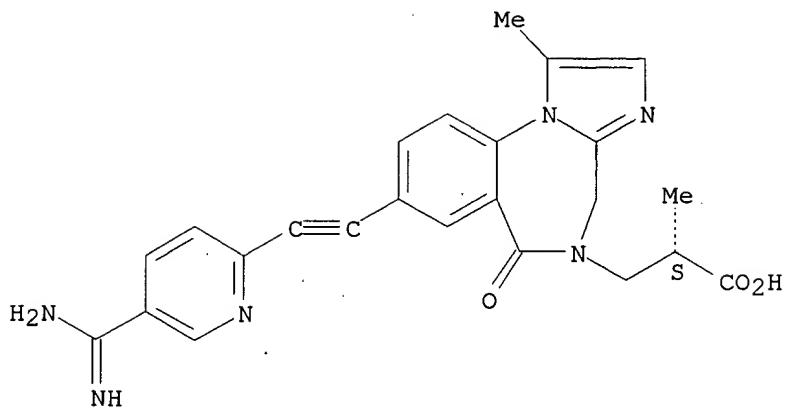
RN 167854-94-6 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.alpha.,1-dimethyl-6-oxo-,  
(S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

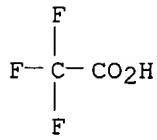
CRN 167854-93-5  
CMF C24 H22 N6 03

## Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

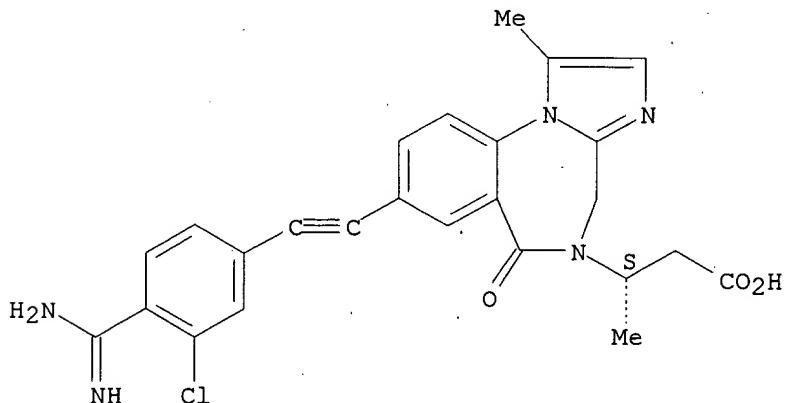


RN 167854-96-8 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-3-chlorophenyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 167854-95-7  
CMF C25 H22 Cl N5 O3

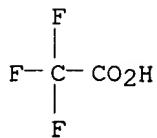
Absolute stereochemistry.



09/868, 356

CM 2

CRN 76-05-1  
CMF C2 H F3 O2

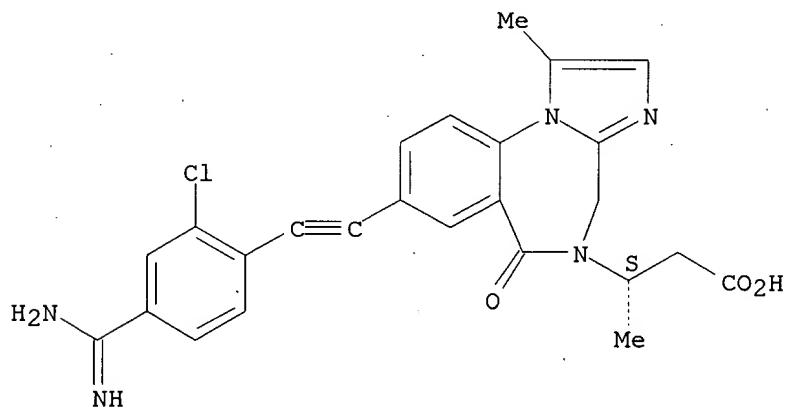


RN 167854-98-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)-2-chlorophenyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

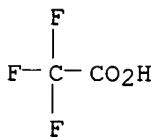
CRN 167854-97-9  
CMF C25 H22 Cl N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 167855-00-7 CAPLUS

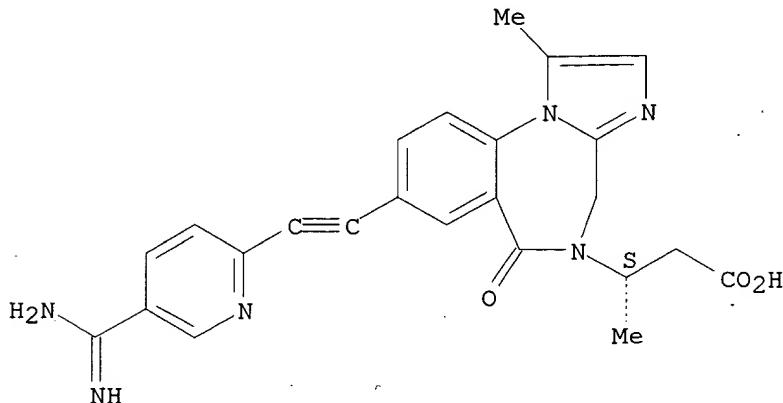
09/868,356

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[5-(aminoiminomethyl)-2-pyridinyl]ethynyl]-.beta.,1-dimethyl-6-oxo-,  
(S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

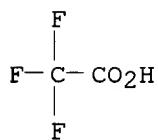
CRN 167854-99-1  
CMF C24 H22 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



IT 167853-81-8P 167853-83-0P 167855-32-5P

167855-44-9P 167855-45-0P

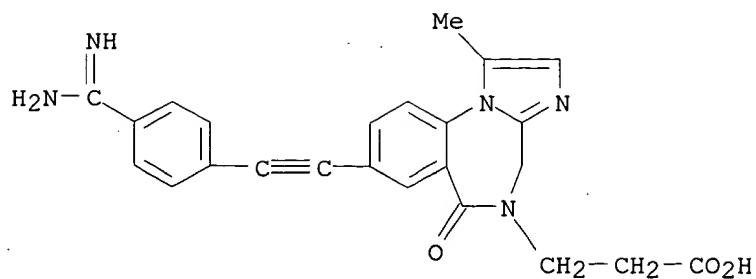
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prep. of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa fibrinogen receptor which block blood platelet aggregation)

RN 167853-81-8 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]ethynyl]-1-methyl-6-oxo-, monoacetate (9CI)  
(CA INDEX NAME)

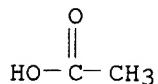
CM 1

CRN 167853-80-7  
CMF C24 H21 N5 O3



CM 2

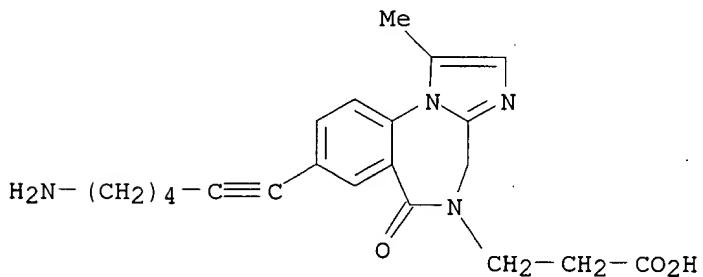
CRN 64-19-7  
CMF C2 H4 O2



RN 167853-83-0 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-(6-amino-1-hexynyl)-1-methyl-6-oxo-, monoacetate (9CI) (CA INDEX NAME)

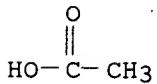
CM 1

CRN 167853-82-9  
CMF C21 H24 N4 O3



CM 2

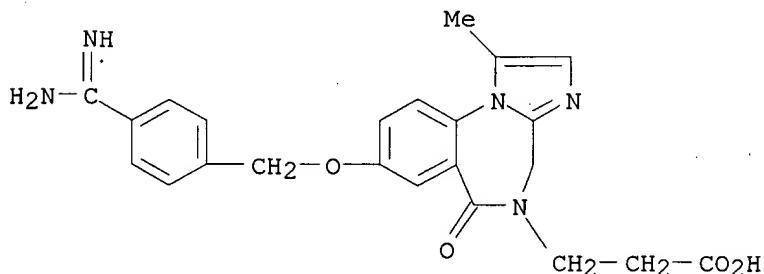
CRN 64-19-7  
CMF C2 H4 O2



RN 167855-32-5 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)phenyl]methoxy]-1-methyl-6-oxo-, monoacetate (9CI)  
(CA INDEX NAME)

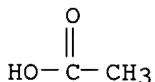
CM 1

CRN 167855-31-4  
CMF C23 H23 N5 O4



CM 2

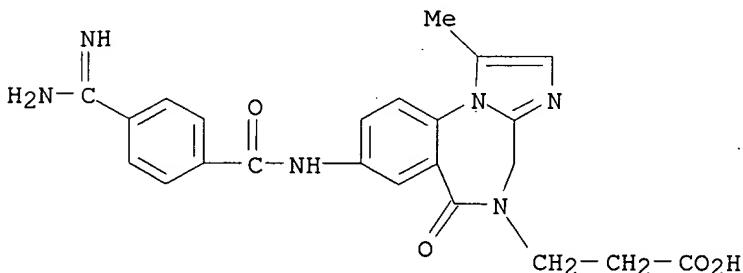
CRN 64-19-7  
CMF C2 H4 O2



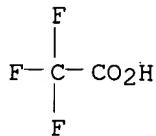
RN 167855-44-9 CAPLUS  
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

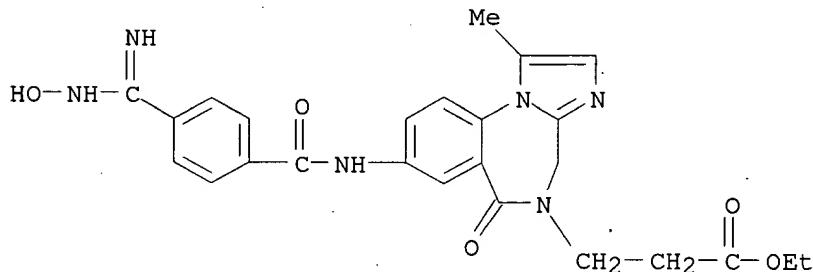
CRN 167855-43-8  
CMF C23 H22 N6 O4



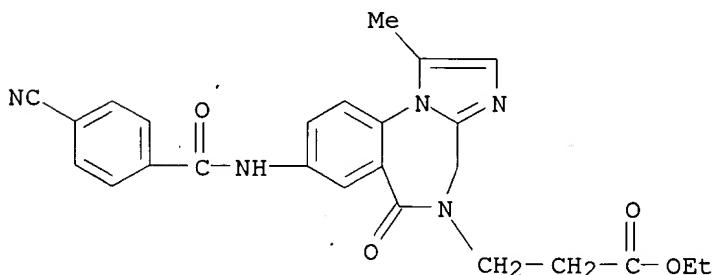
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

RN 167855-45-0 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[[4-[(hydroxyamino)iminomethyl]benzoyl]amino]-1-methyl-6-oxo-, ethyl  
 ester (9CI) (CA INDEX NAME)



IT 167853-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa  
 fibrinogen receptor which block blood platelet aggregation)  
 RN 167853-92-1 CAPLUS  
 CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
 8-[(4-cyanobenzoyl)amino]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
 NAME)

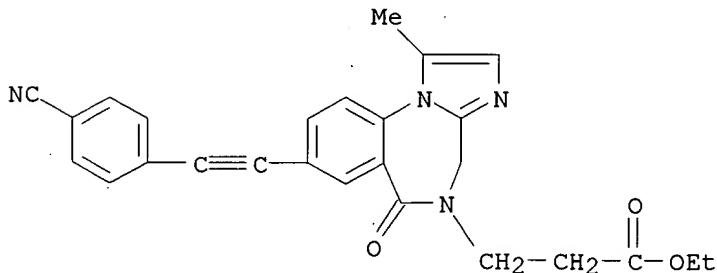


IT 167853-94-3P 167853-96-5P 167853-97-6P  
 167854-00-4P 167854-14-0P 167854-16-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(prepn. of tricyclic benzodiazepinone inhibitors of the GPIIbIIIa  
fibrinogen receptor which block blood platelet aggregation)

RN 167853-94-3 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-cyanophenyl)ethynyl]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX  
NAME)

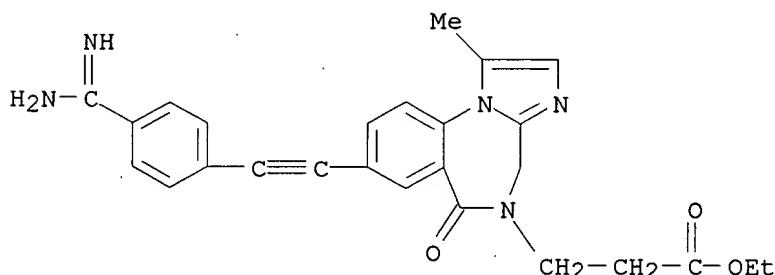
RN 167853-96-5 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,  
8-[(4-(aminoiminomethyl)phenyl)ethynyl]-1-methyl-6-oxo-, ethyl ester,  
monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 167853-95-4

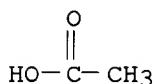
CMF C26 H25 N5 O3



CM 2

CRN 64-19-7

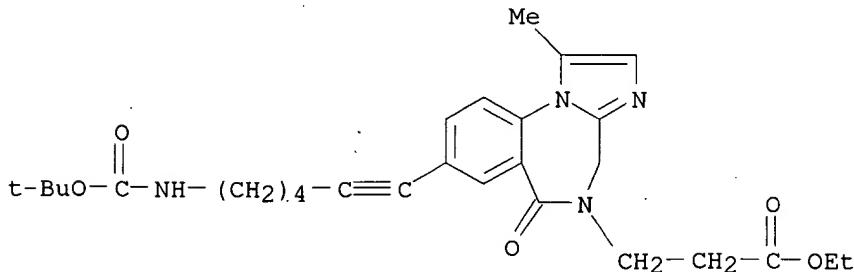
CMF C2 H4 O2



RN 167853-97-6 CAPLUS

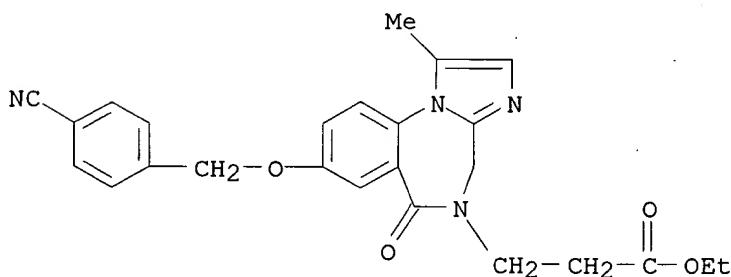
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid,

8-[6-[(1,1-dimethylethoxy) carbonyl]amino]-1-hexynyl]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)



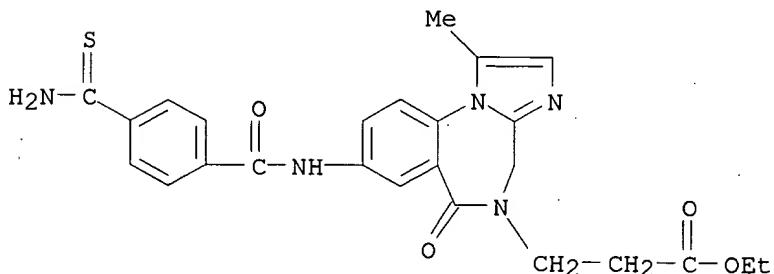
RN 167854-00-4 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-[(4-cyanophenyl)methoxy]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 167854-14-0 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-[[4-(aminothioxomethyl)benzoyl]amino]-1-methyl-6-oxo-, ethyl ester (9CI) (CA INDEX NAME)



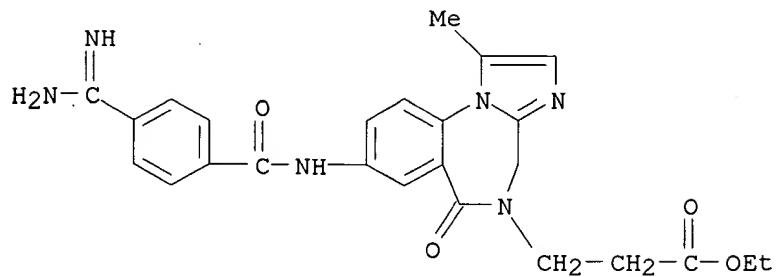
RN 167854-16-2 CAPLUS

CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-5(6H)-propanoic acid, 8-[[4-(aminoiminomethyl)benzoyl]amino]-1-methyl-6-oxo-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

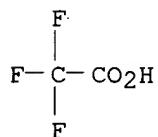
09/868, 356

CRN 167854-15-1  
CMF C25 H26 N6 O4



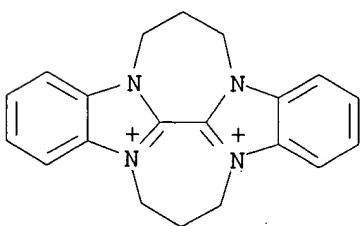
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



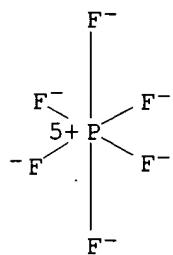
L<sup>27</sup> ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:751102 CAPLUS  
 DN 124:8776  
 TI N,N'-Bridged Derivatives of 2,2'-Bibenzimidazole  
 AU Shi, Zhiqiang; Thummel, Randolph P.  
 CS Department of Chemistry, University of Houston, Houston, TX, 77204-5641,  
 USA  
 SO Journal of Organic Chemistry (1995), 60(18), 5935-45  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB A series of 2,2'-bibenzimidazolium salts was prepd. by N,N'-bridging using dihaloalkanes. These salts may be reduced by either one or two electrons to the corresponding cation radical or neutral 2,2'-bibenzimidazolinylidene. The latter species undergoes a chemiluminescent reaction with dioxygen to afford conformationally unique ureaphanes. Two benzimidazole mols. may be joined by N,N'-bridges to form bis(benzimidazolium) salts which may be deprotonated with sodium hydride. Subsequent intramol. 2,2'-coupling leads to the same 2,2'-bibenzimidazolinylidenes. The structural features of the ureaphane oxidn. products have been studied by X-ray crystallog. and NMR. An equimolar mixt. of a 2,2'-bibenzimidazolium salt and the corresponding 2,2'-bibenzimidazolinylidene will coproportionate to form the analogous cation radical.  
 IT 153652-55-2P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of bridged 2,2'-bibenzimidazolium salts)  
 RN 153652-55-2 CAPLUS  
 CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, bis[hexafluorophosphate(1-)] (9CI)  
 (CA INDEX NAME)

CM 1

 CRN 153652-54-1  
 CMF C20 H20 N4


CM 2

 CRN 16919-18-9  
 CMF F6 P  
 CCI CCS

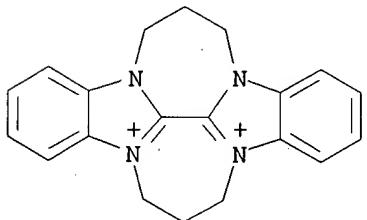


IT 153652-52-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of bridged 2,2'-bibenzimidazolium salts)

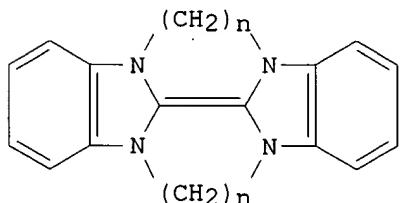
RN 153652-52-9 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-k'l]heptalene, 6,7,13,14-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



●2 Br-

AN ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 1995:515790 CAPLUS  
 DN 123:228155  
 TI Bridged dibenzimidazolinylidenes as new derivatives of tetraaminoethylene  
 AU Shi, Zhiqiang; Thummel, Randolph P.  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Tetrahedron Letters (1995), 36(16), 2741-4  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier  
 DT Journal  
 LA English  
 OS CASREACT 123:228155  
 GI



I

AB The deprotonation of N,N'-polymethylene bridged bis-benzimidazolium salts in the absence of air provides the corresponding bridged dibenzimidazolinylidenes I (n = 3, 4), which undergo a spontaneous chemiluminescent reaction with dioxygen to afford ureaphanes.  
 IT 153652-55-2

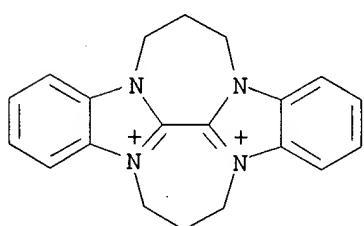
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of bridged dibenzimidazolinylidenes)

RN 153652-55-2 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-k1]heptalene, 6,7,13,14-tetrahydro-, bis[hexafluorophosphate(1-)] (9CI)  
(CA INDEX NAME)

CM 1

CRN 153652-54-1  
CMF C20 H20 N4

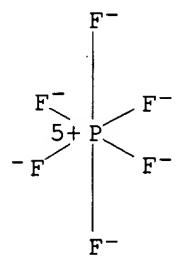


CM 2

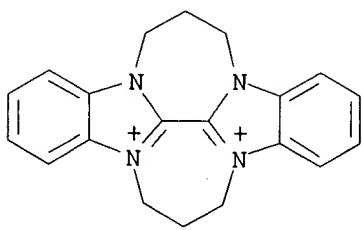
CRN 16919-18-9  
CMF F6 P

09/868, 356

CCI CCS

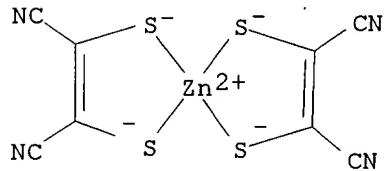


L87 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1995:327241 CAPLUS  
 DN 122:251827  
 TI Charge-transfer complexes of metal dithiolenes. XV. Ion pair  
 charge-transfer complexes of dithiolene metalates with diquaternary  
 2,2'-biimidazoles  
 AU Lemke, Matthias; Knoch, Falk; Kisch, Horst; Salbeck, Josef  
 CS Institut Anorganische Chemie, Universitaet Erlangen-Nuernberg, Erlangen,  
 D-91058, Germany  
 SO Chemische Berichte (1995), 128(2), 131-6  
 CODEN: CHBEAM; ISSN: 0009-2940  
 PB VCH  
 DT Journal  
 LA English  
 AB Cycloalkylated biimidazolium dications ( $A_2^+$ ) of redn. potential from -0.4 to -1.4 V form ion pair charge-transfer complexes  $\{A_2^+ + [M(mnt)_2]^{2-}\}$  with dithiolene metalates,  $M = Zn, Ni, Pd, Pt$ ,  $mnt^{2-} = \text{maleonitrile-2,3-dithiolate}$ . X-ray analyses of  $\{P_2BBIm_2^+ + [Ni(mnt)_2]^{2-}\}$   $[P_2BBIm_2^+ = 1,1',3,3'\text{-bis(propane-1,3-diyl)bibenzimidazolium}]$  and  $\{B_2BIm_2^+ + [Pd(mnt)_2]^{2-}\}$   $[B_2BIm_2^+ = 1,1',3,3'\text{-bis(butane-1,4-diyl)biimidazolium}]$  reveal that the solid-state structure is largely detd. by the geometry of the acceptor. When the latter is strongly twisted, the usually obsd. mixed donor-acceptor columns are modified to a chain-like arrangement. In the case of the bibenzimidazolium dication  $P_2BBIm_2^+$  the otherwise planar  $[Ni(mnt)_2]^{2-}$  becomes tetrahedrally distorted. By the application of the Hush model a reorganization energy of about 67 kJ/mol is estd. for the thermal electron transfer from  $[M(mnt)_2]^{2-}$  to  $A_2^+$  when  $M = Ni, Pd, Pt$ , but considerable deviations from this model are obsd. when  $M = Zn$ . Irradn. of the free biimidazolium acceptors in the presence of EDTA affords the strongly reducing radical cations which reduce water to hydrogen in the presence of colloidal platinum. Attempts to sensitize this reaction by irradiating into the charge-transfer band of  $\{A_2^+ + [M(mnt)_2]^{2-}\}$  have failed until now. The molar absorptivity of one biimidazolium radical cation is measured by spectroelectrochem.  
 IT 162477-52-3P 162477-53-4P 162477-54-5P  
 162477-55-6P 162477-57-8P 162477-58-9P  
 162477-60-3P 162477-61-4P 162477-62-5P  
 162477-63-6P 162523-95-7P 162523-96-8P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (chem., structure, and spectroscopy of ion pair CT complexes of  
 dithiolene metalates with diquaternary biimidazoles)  
 RN 162477-52-3 CAPLUS  
 CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindenol[1,2,3-ef:1',2',3'-  
 k1]heptalene, 6,7,13,14-tetrahydro-, (T-4)-bis[2,3-dimercapto-2-  
 butenedinitrilato(2-)-S,S']zincate(2-) (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 153652-54-1  
 CMF C20 H20 N4



CM 2

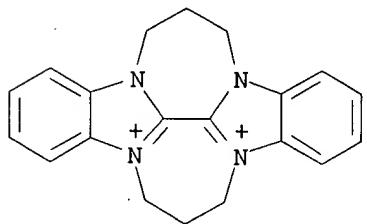
CRN 41139-14-4  
CMF C8 N4 S4 Zn  
CCI CCS



RN 162477-53-4 CAPLUS  
CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)S,S']nickelate(2-) (1:1) (9CI) (CA INDEX NAME)

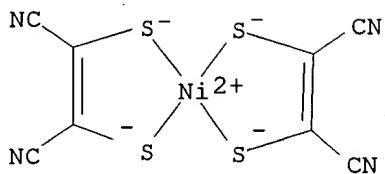
CM 1

CRN 153652-54-1  
CMF C20 H20 N4



CM 2

CRN 14876-79-0  
CMF C8 N4 Ni S4  
CCI CCS



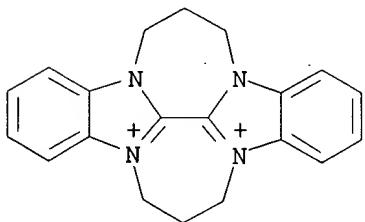
RN 162477-54-5 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)S,S']palladate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 153652-54-1

CMF C20 H20 N4

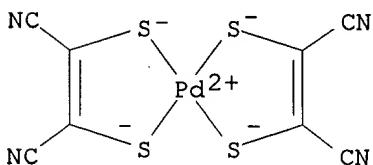


CM 2

CRN 19555-33-0

CMF C8 N4 Pd S4

CCI CCS



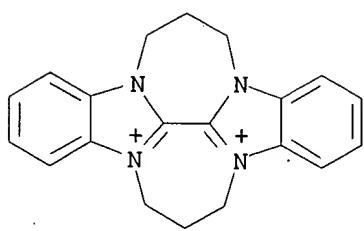
RN 162477-55-6 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)S,S']platinate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

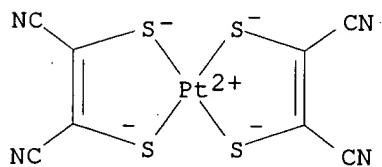
CRN 153652-54-1

CMF C20 H20 N4



CM 2

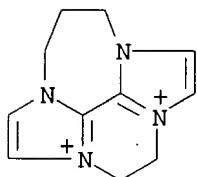
CRN 15152-99-5  
CMF C8 N4 Pt S4  
CCI CCS



RN 162477-57-8 CAPLUS  
CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)-  
S,S']palladate(2-) (1:1) (9CI) (CA INDEX NAME)

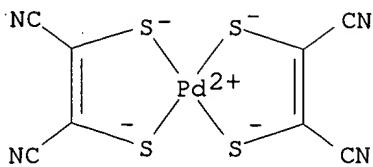
CM 1

CRN 162477-56-7  
CMF C11 H14 N4



CM 2

CRN 19555-33-0  
CMF C8 N4 Pd S4  
CCI CCS



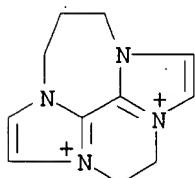
RN 162477-58-9 CAPLUS

CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilo(2-)-  
S,S']platinate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 162477-56-7

CMF C11 H14 N4

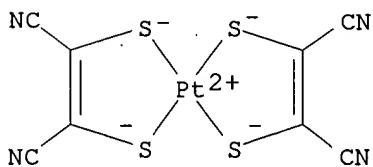


CM 2

CRN 15152-99-5

CMF C8 N4 Pt S4

CCI CCS



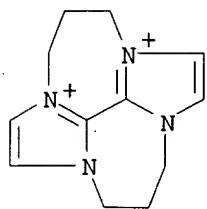
RN 162477-60-3 CAPLUS

CN 1H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
4,5,9,10-tetrahydro-, (T-4)-bis[2,3-dimercapto-2-butenedinitrilo(2-)-  
S,S']zincate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

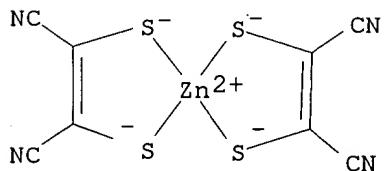
CRN 162477-59-0

CMF C12 H16 N4



CM 2

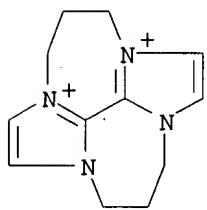
CRN 41139-14-4  
CMF C8 N4 S4 Zn  
CCI CCS



RN 162477-61-4 CAPLUS  
CN 1H, 8H-7a, 10a-Diaza-2a, 5a-diazoniadicyclopenta[ef, kl]heptalene,  
4, 5, 9, 10-tetrahydro-, (SP-4-1)-bis[2, 3-dimercapto-2-butenedinitrilato(2-)-  
S, S']nickelate(2-) (1:1) (9CI) (CA INDEX NAME)

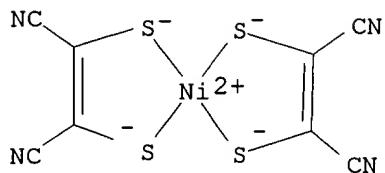
CM 1

CRN 162477-59-0  
CMF C12 H16 N4



CM 2

CRN 14876-79-0  
CMF C8 N4 Ni S4  
CCI CCS



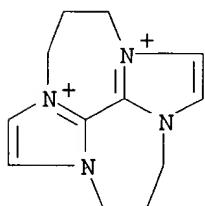
RN 162477-62-5 CAPLUS

CN 1H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
4,5,9,10-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)-  
S,S']palladate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 162477-59-0

CMF C12 H16 N4

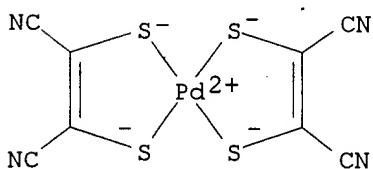


CM 2

CRN 19555-33-0

CMF C8 N4 Pd S4

CCI CCS



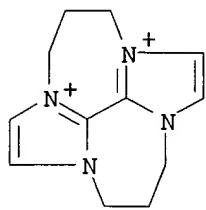
RN 162477-63-6 CAPLUS

CN 1H,8H-7a,10a-Diaza-2a,5a-diazoniadicyclopenta[ef,kl]heptalene,  
4,5,9,10-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)-  
S,S']platinate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

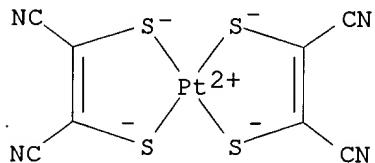
CRN 162477-59-0

CMF C12 H16 N4



CM 2

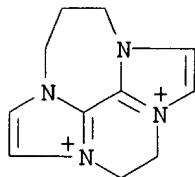
CRN 15152-99-5  
CMF C8 N4 Pt S4  
CCI CCS



RN 162523-95-7 CAPLUS  
CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, (T-4)-bis[2,3-dimercapto-2-butenedinitrilato(2-)-  
S,S']zincate(2-) (1:1) (9CI) (CA INDEX NAME)

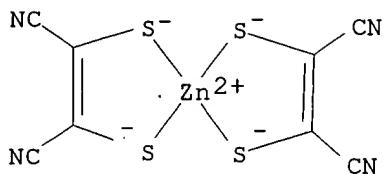
CM 1

CRN 162477-56-7  
CMF C11 H14 N4



CM 2

CRN 41139-14-4  
CMF C8 N4 S4 Zn  
CCI CCS



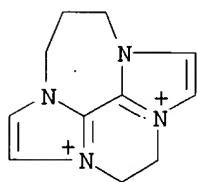
RN 162523-96-8 CAPLUS

CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, (SP-4-1)-bis[2,3-dimercapto-2-butenedinitrilato(2-)-  
S,S']nickelate(2-) (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 162477-56-7

CMF C11 H14 N4

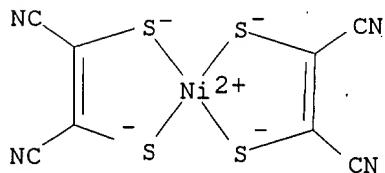


CM 2

CRN 14876-79-0

CMF C8 N4 Ni S4

CCI CCS

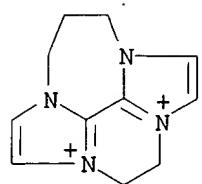


IT 120711-29-7

RL: PEP (Physical, engineering or chemical process); PRP (Properties);  
PROC (Process)  
(electron acceptor; chem., structure, and spectroscopy of ion pair CT  
complexes of dithiolene metalates with diquaternary biimidazoles)

RN 120711-29-7 CAPLUS

CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
3,4,8,9-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



2 Br<sup>-</sup>

X  
LA7  
ANANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS  
1994:217596 CAPLUS

DN 120:217596

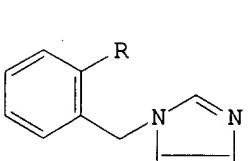
TI Research on nitrogen containing heterocyclic compounds. XIX. Synthesis of 8H-imidazo[2,1-c]-s-triazolo[4,3-a][1,4]benzodiazepine and its 1-derivatives

AU Stefancich, Giorgio; Silvestri, Ramano; Artico, Marino  
CS Dip. Sci. Farm., Univ. Trieste, Trieste, 34127, ItalySO Journal of Heterocyclic Chemistry (1993), 30(2), 529-32  
CODEN: JHTCAD; ISSN: 0022-152X

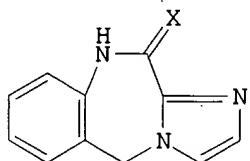
DT Journal

LA English

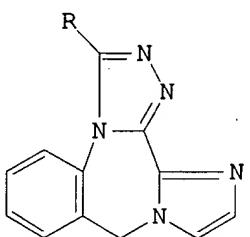
GI



I



II



III

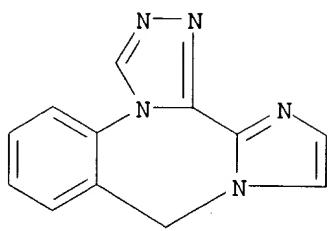
AB Reaction of 2-nitrobenzyl iodide with 1H-imidazole, in the presence of potassium tert-butoxide and 18-crown-6, gave 1-(2-nitrobenzyl)-1H-imidazole I (R = H). Trichloroacetylation of the latter gave trichloroacetylimidazole I (R = Cl<sub>3</sub>CO), which on treatment with NaOEt was transformed into the corresponding ethoxycarbonyl deriv. I (R = CO<sub>2</sub>Et). Redn. of the nitro group gave the corresponding amine, which was then cyclized to imidazobenzodiazepinone II (X = O). Treatment of lactam II with di-4-morpholinylphosphinic chloride followed by reaction of the intermediate II [X = H, OP(O)R<sub>22</sub> (R = 4-morpholinyl)] with RCONHNH<sub>2</sub> (R<sub>2</sub> = H, Me, 4-pyridinyl) gave the title compds. III.

IT 153776-30-8P 153776-31-9P 153776-32-0P

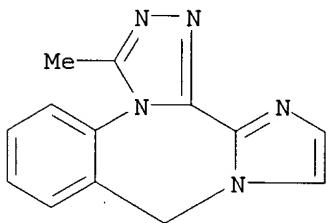
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 153776-30-8 CAPLUS

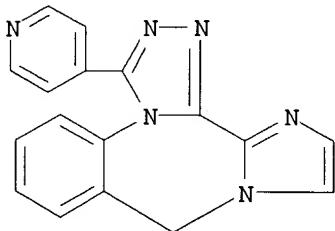
CN 9H-Imidazo[2,1-c]-1,2,4-triazolo[4,3-a][1,4]benzodiazepine (9CI) (CA INDEX NAME)



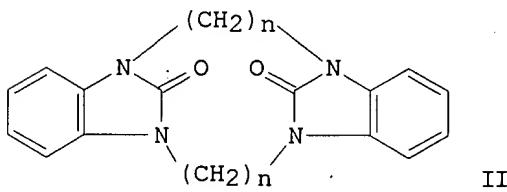
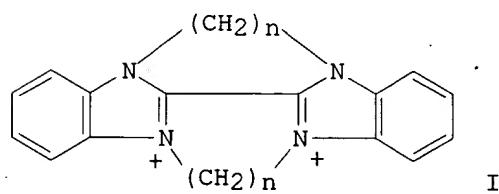
RN 153776-31-9 CAPLUS  
CN 9H-Imidazo[2,1-c]-1,2,4-triazolo[4,3-a][1,4]benzodiazepine, 3-methyl-  
(9CI) (CA INDEX NAME)



RN 153776-32-0 CAPLUS  
CN 9H-Imidazo[2,1-c]-1,2,4-triazolo[4,3-a][1,4]benzodiazepine,  
3-(4-pyridinyl)- (9CI) (CA INDEX NAME)



I<sup>187</sup> ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1994:217434 CAPLUS  
 DN 120:217434  
 TI Bridged bibenzimidazolium salts and their conversion to ureaphanes  
 AU Shi, Zhiqiang; Thummel, Randolph P.  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Tetrahedron Letters (1994), 35(1), 33-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 120:217434  
 GI

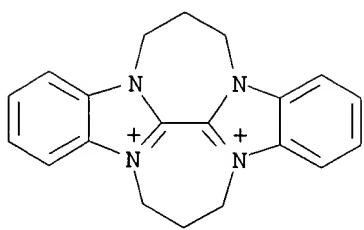


AB The redn. of N,N'-polymethylene bridged 2,2'-bibenzimidazolium salts I (n = 3, 4) with tetrakis(dimethylamino)ethylene in air provides ureaphanes II (same n) whose conformations are dependent upon the length of the bridging chain.

IT 153652-52-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, with hexafluorophosphate)

RN 153652-52-9 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-kl]heptalene, 6,7,13,14-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



●2 Br<sup>-</sup>

IT 153652-55-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and redn. of)

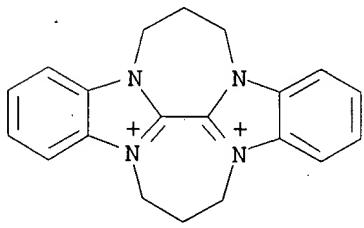
RN 153652-55-2 CAPLUS

CN 5H,12H-11b,14a-Diaza-4b,7a-diazoniadiindeno[1,2,3-ef:1',2',3'-k1]heptalene, 6,7,13,14-tetrahydro-, bis[hexafluorophosphate(1-)] (9CI)  
(CA INDEX NAME)

CM 1

CRN 153652-54-1

CMF C20 H20 N4



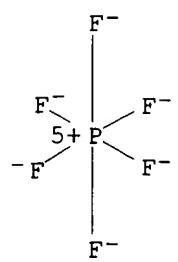
CM 2

CRN 16919-18-9

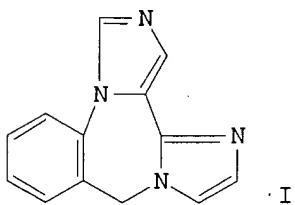
CMF F6 P

CCI CCS

09/868,356

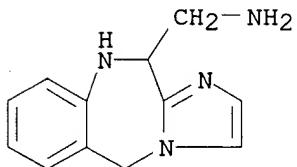


L ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1992:469838 CAPLUS  
 DN 117:69838  
 TI Research on nitrogen containing heterocyclic compounds. XVII. Synthesis of 8H-diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine, a novel tetracyclic ring of pharmaceutical interest  
 AU Stefancich, Giorgio; Artico, Marino; Silvestri, Romano  
 CS Dip. Sci. Farm., Univ. Trieste, Trieste, 34127, Italy  
 SO Journal of Heterocyclic Chemistry (1992), 29(2), 487-91  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 117:69838  
 GI

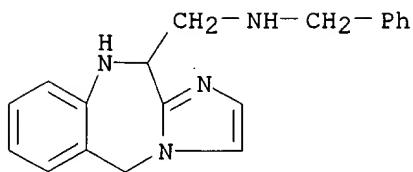


AB The synthesis of 8H-diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine (I), a novel nitrogen-contg. tetracyclic ring, is reported starting from 5H-imidazo[2,1-c][1,4]benzodiazepine. Reaction of this compd. with MeNO<sub>2</sub> and subsequent redn. of the obtained nitromethyl deriv. afforded 11-(aminomethyl)-10,11-dihydro-5H-imidazo[2,1-c][1,4]benzodiazepine. Treatment of the latter compd. with HCHO led to 1,2,3,3a-tetrahydro-8H-diimidazo[1,5-a:2',1'-c]benzodiazepine, which was then oxidized to the title compd.

IT 142427-61-0P 142427-67-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and cyclization of, with formaldehyde)  
 RN 142427-61-0 CAPLUS  
 CN 5H-Imidazo[2,1-c][1,4]benzodiazepine-11-methanamine, 10,11-dihydro- (9CI)  
 (CA INDEX NAME)



RN 142427-67-6 CAPLUS  
 CN 5H-Imidazo[2,1-c][1,4]benzodiazepine-11-methanamine, 10,11-dihydro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

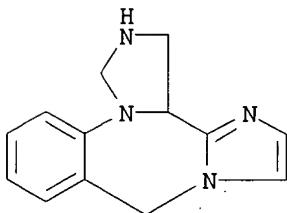


IT **142427-62-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and dehydrogenation of)

RN 142427-62-1 CAPLUS

CN 8H-Diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine, 1,2,3,3a-tetrahydro- (9CI)  
(CA INDEX NAME)



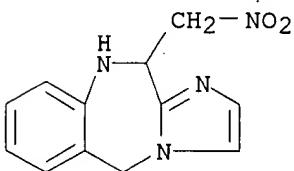
IT **142427-60-9P 142427-65-4P 142427-66-5P**

**142427-68-7P 142427-70-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydrogenation of)

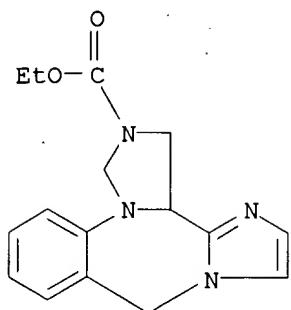
RN 142427-60-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine, 10,11-dihydro-11-(nitromethyl)- (9CI) (CA INDEX NAME)



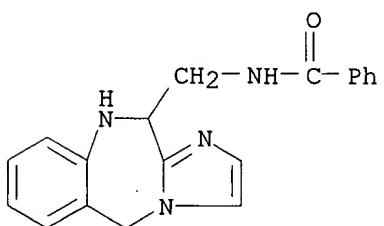
RN 142427-65-4 CAPLUS

CN 8H-Diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine-2(1H)-carboxylic acid,  
3,3a-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



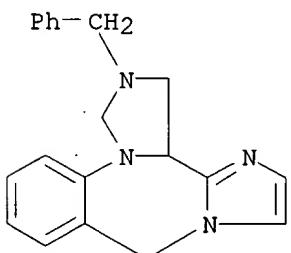
RN 142427-66-5 CAPLUS

CN Benzamide, N-[(10,11-dihydro-5H-imidazo[2,1-c][1,4]benzodiazepin-11-yl)methyl]- (9CI) (CA INDEX NAME)



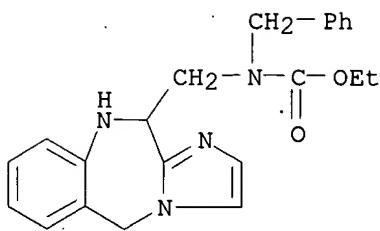
RN 142427-68-7 CAPLUS

CN 8H-Diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine, 1,2,3,3a-tetrahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 142427-70-1 CAPLUS

CN Carbamic acid, [(10,11-dihydro-5H-imidazo[2,1-c][1,4]benzodiazepin-11-yl)methyl](phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

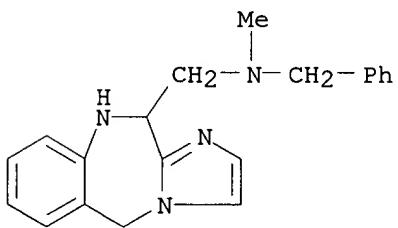


IT **142427-69-8P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and spectra of)

RN 142427-69-8 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine-11-methanamine, 10,11-dihydro-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



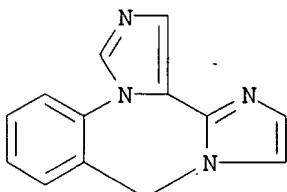
IT **142427-59-6P 142427-63-2P 142427-64-3P**

**142608-15-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

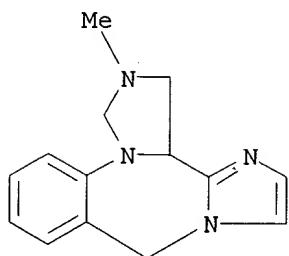
RN 142427-59-6 CAPLUS

CN 8H-Diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine (9CI) (CA INDEX NAME)



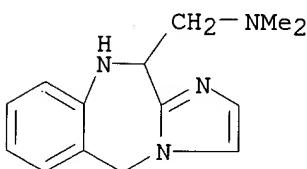
RN 142427-63-2 CAPLUS

CN 8H-Diimidazo[1,5-a:2',1'-c][1,4]benzodiazepine, 1,2,3,3a-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 142427-64-3 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine-11-methanamine, 10,11-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)



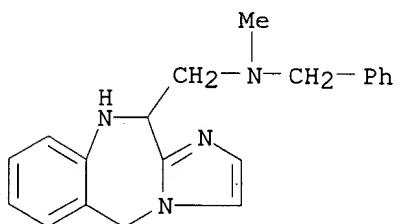
RN 142608-15-9 CAPLUS

CN 5H-Imidazo[2,1-c][1,4]benzodiazepine-11-methanamine, 10,11-dihydro-N-methyl-N-(phenylmethyl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 142427-69-8

CMF C20 H22 N4

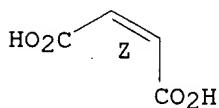


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



X87

ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS  
1991:492239 CAPLUS

DN 115:92239

TI Synthesis and properties of new derivatives of 4,5-dicyanoimidazole and  
4,4',5,5'-tetracyano-2,2'-biimidazole

AU Apen, Paul G.; Rasmussen, Paul G.

CS Dep. Chem., Univ. Michigan, Ann Arbor, MI, 48109, USA

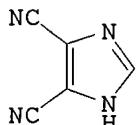
SO Journal of the American Chemical Society (1991), 113(16), 6178-87  
CODEN: JACSAT; ISSN: 0002-7863

DT Journal

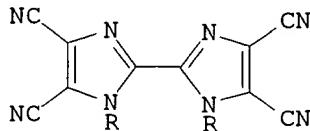
LA English

OS CASREACT 115:92239

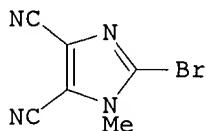
GI



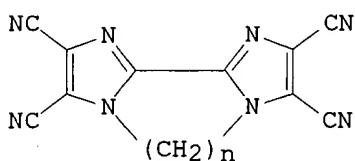
I



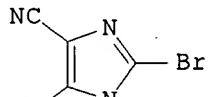
II



IV



V



VI

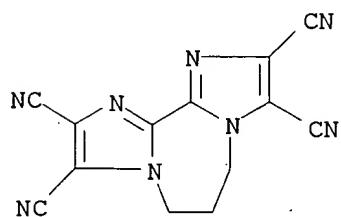
AB The synthesis and properties of new derivs. of 4,5-dicyanoimidazole (I) and 4,4',5,5'-tetracyano-2,2'-biimidazole (II, R = H) (III) are reported. Conditions for selective metalation at the 2-position of N-protected 4,5-dicyanoimidazoles are described. Various protecting groups were used. Oxidative coupling of N-protected 2-lithio-4,5-dicyanoimidazoles with cupric chloride gives new 1,1'-disubstituted derivs. II (R = Me, CH<sub>2</sub>OMe, CH<sub>2</sub>Ph). Ullmann coupling of 1-methyl-2-bromo-4,5-dicyanoimidazole (IV) gives II (R = Me). Deprotection of II (R = Me or CH<sub>2</sub>OMe) gives III, thus, several new routes to III are now available. Reaction of III with X(CH<sub>2</sub>)<sub>n</sub>X (X = Br, iodo; n = 2, 3, and 4) gives the corresponding 1,1'-alkyl-bridged derivs. V. V (n = 2) was also synthesized via an intramol. Ullmann coupling reaction of bis(bromodicyanoimidazolyl)ethane VI. The phys., structural, and electronic properties of these new cyanoimidazoles were investigated by using UV-visible spectroscopy and cyclic voltammetry. Dicyanoimidazoles and tetracyanobiimidazoles are moderate to weak electron acceptors. V (n = 2) forms a 1:1 complex with tetrathiafulvalene. The donor (D)-acceptor (A) complex, forms as red needles from acetonitrile soln. X-ray crystallog. of the complex showed extended alternate or mixed stacking (...DADADA...). The photoluminescence spectrum of the complex shows an emission band centered at 660 nm with onset of emission at 530 nm.

IT

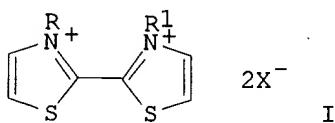
134848-56-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn., UV-visible max., and cyclic voltammetry of)  
RN 134848-56-9 CAPLUS  
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine-2,3,9,10-tetracarbonitrile,  
6,7-dihydro- (9CI) (CA INDEX NAME)

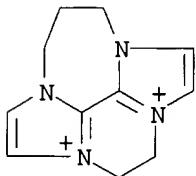


AN ~~7~~ ANSWER 34 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 1990:478223 CAPLUS  
 DN 113:78223  
 TI Synthesis and properties of bridged 2,2'-bithiazolium salts  
 AU Goule, Veronique; Chirayil, Sara; Thummel, Randolph P.  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Tetrahedron Letters (1990), 31(11), 1539-42  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 113:78223  
 GI



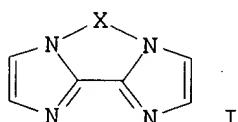
AB Bridged and methylated bithiazolium salts I [R = R1 = Me; RR1 = CH2CH2, (CH2)3, (CH2)4; X = PF6, iodo, BF4] were prepd. by alkylation of 2,2'bithiazole. The electronic absorption spectra and redn. potentials were measured and stable radical cations of the di- and trimethylene bridged species were prepd.

IT 120711-29-7  
 RL: PRP (Properties)  
 (redn. potential of)  
 RN 120711-29-7 CAPLUS  
 CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,  
 3,4,8,9-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



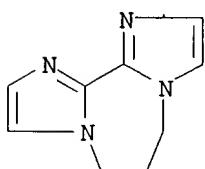
2 Br-

X ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1990:228635 CAPLUS  
 DN 112:228635  
 TI Ruthenium(II) complexes of N,N'-bridged derivatives of 2,2'-biimidazole  
 AU Goule, Veronique; Thummel, Randolph P.  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Inorganic Chemistry (1990), 29(9), 1767-72  
 CODEN: INOCAJ; ISSN: 0020-1669  
 DT Journal  
 LA English  
 GI



AB RuL3[PF6]2 and RuL(bpy)2[PF6]2 (L = I (X = (CH<sub>2</sub>)<sub>n</sub> (n = 2, 3, 4), o-CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>), 2,2'-biimidazole; bpy = 2,2'-bipyridine) were prepd. Mol. mechanics calcns. have been employed to compare the geometries of these ligands to those of analogous 2,2'-bipyridine systems. Anal. of <sup>1</sup>H NMR spectra indicates that in the coordinated state the bridged biimidazoles show greater conformational mobility than their bipyridine counterparts. For the dimethylene-bridged biimidazole, the bite angle is too unfavorable to allow bidentate coordination and NMR evidence points to monodentate coordination in the mixed-ligand complex. The electronic spectra of the RuL<sup>3+</sup> complexes show absorption at .apprx. 400 nm, which indicates a high-lying  $\pi^*$  state. This observation is reinforced by low oxidn. potentials and high redn. potentials for these systems. The mixed-ligand complexes show an absorption band at even shorter wavelength, which was assigned to the biimidazole metal-ligand charge transfer state. The redox chem. of these systems appears to be governed primarily by the bpy ligands.

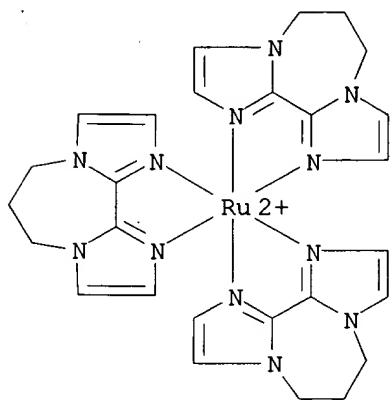
IT 54475-95-5  
 RL: PROC (Process)  
 (mol. dynamics and NMR of)  
 RN 54475-95-5 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro- (9CI) (CA INDEX NAME)



IT 126949-43-7P 126949-47-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and electrochem. oxidn. and redn. and spectra of)  
 RN 126949-43-7 CAPLUS  
 CN Ruthenium(2+), tris(6,7-dihydro-5H-diimidazo[1,2-a:2',1'-c][1,4]diazepine-N1,N11)-, (OC-6-11)-, bis[hexafluorophosphate(1-)] (9CI) (CA INDEX NAME)

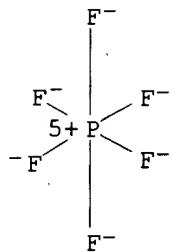
CM 1

CRN 126949-42-6  
CMF C27 H30 N12 Ru  
CCI CCS



CM 2

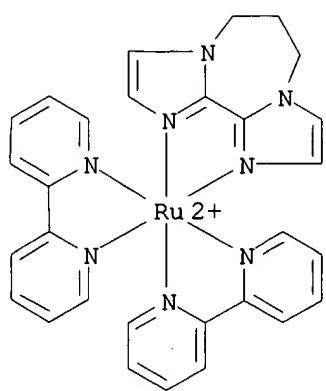
CRN 16919-18-9  
CMF F6 P  
CCI CCS



RN 126949-47-1 CAPLUS  
CN Ruthenium(2+), bis(2,2'-bipyridine-N,N')(6,7-dihydro-5H-diimidazo[1,2-a:2',1'-c][1,4]diazepine-N1,N11)-, (OC-6-32)-, bis[hexafluorophosphate(1-)] (9CI) (CA INDEX NAME)

CM 1

CRN 126949-46-0  
CMF C29 H26 N8 Ru  
CCI CCS

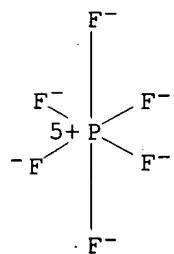


CM 2

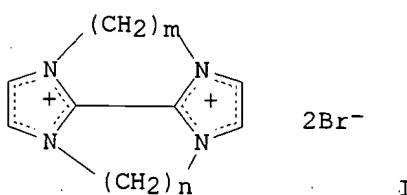
CRN 16919-18-9

CMF F6 P

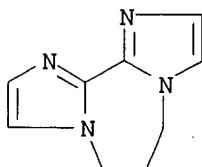
CCI CCS



127 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1989:423493 CAPLUS  
 DN 111:23493  
 TI Bridged derivatives of 2,2'-biimidazole  
 AU Thummel, Randolph P.; Goule, Veronique; Chen, Baili  
 CS Dep. Chem., Univ. Houston, Houston, TX, 77204-5641, USA  
 SO Journal of Organic Chemistry (1989), 54(13), 3057-61  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 OS CASREACT 111:23493  
 GI



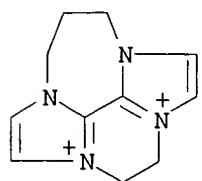
AB The reaction of 2,2'-biimidazole with 1,n-dihaloalkanes or o-xylylene dibromide leads to a series of N,N'-bridged derivs. When these substances are treated with a 2nd equiv. of 1,n-dihaloalkane, a series of bis-annulated biimidazolium salts, e.g., I (m = 2, n = 3,4; m = n = 3,4; m = 3, n = 4) were obtained. The conformations of these species are discussed with regard to their electronic absorption spectra and their 300-MHz 1H NMR spectra. The barriers for conformational inversion were lower than for the corresponding bis-annulated 2,2'-bipyridinium salts. The redox properties of these salts in MeCN and DMSO and their redns. become increasingly more difficult and less reversible as the system becomes less planar. These results are explained primarily based on the greater N,N'-distance in 2,2'-biimidazole as compared with 2,2'bipyridine.  
 IT 54475-95-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and annulation of, with dihaloalkane, bridged biimidazole by)  
 RN 54475-95-5 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro- (9CI) (CA INDEX NAME)



IT 120711-29-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn., conformation, and electrochem. redn. of)  
 RN 120711-29-7 CAPLUS  
 CN 7H-6a,9a-Diaza-2a,4a-diazoniacyclohept[jkl]-as-indacene,

09/868,356

3,4,8,9-tetrahydro-, dibromide (9CI) (CA INDEX NAME)



2 Br<sup>-</sup>

~~DN~~ ANSWER 37 OF 46 CAPLUS COPYRIGHT 2003 ACS  
~~AN~~ 1988:186776 CAPLUS

DN 108:186776

TI New 1,4-diazepines

IN Harreus, Albrecht; Weber, Karl Heinz; Stransky, Werner; Walther, Gerhard;  
 Muacevic, Gojko; Casals, Stenzel Jorge; Bechtel, Wolf Dietrich

PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.

SO Ger. Offen., 31 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3610848	A1	19871015	DE 1986-3610848	19860401
	DK 8701632	A	19871002	DK 1987-1632	19870331
	EP 240899	A2	19871014	EP 1987-104717	19870331
	EP 240899	A3	19890510		
	EP 240899	B1	19920819		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 62240686	A2	19871021	JP 1987-79533	19870331
	AT 79622	E	19920915	AT 1987-104717	19870331
	CA 1314043	A1	19930302	CA 1987-533401	19870331
	AU 8770955	A1	19871008	AU 1987-70955	19870401
	AU 598526	B2	19900628		
	IL 82084	A1	19920329	IL 1987-82084	19870401
	US 5116971	A	19920526	US 1990-584815	19900919
PRAI	DE 1986-3610848		19860401		
	EP 1987-104717		19870331		
	US 1987-33966		19870401		

OS CASREACT 108:186776

GI For diagram(s), see printed CA Issue.

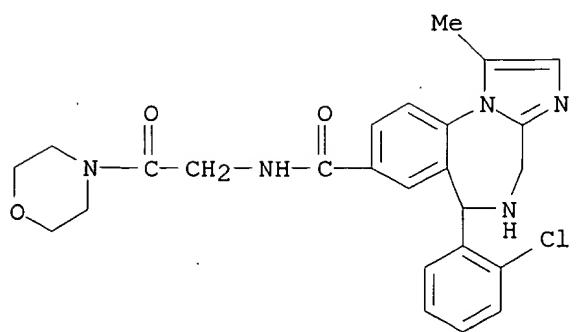
AB The title compds. [I and II; R1 = H, halo, (branched) C1-4 alkyl, cyclopropyl, C1-4 alkoxy; R2 = (substituted) Ph; R3 = H, (branched) alkyl; A = atoms to complete a Ph or thienyl ring substituted by an amino acid-contg. side chain; X = N, CH, CR4; R4 = halo] were prep'd. as platelet activating factor antagonists (no data). 8-Carboxy-6-(2-chlorophenyl)-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine (prepn. given) was stirred with 1,1'-carbonyldiimidazole in DMF/THF for 1 h at room temp and then glycine morpholide.HCl and Et3N were added. After 3d the mixt. was worked up to give N-[1-methyl-6-(2-chlorophenyl)-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepin-8-yl]carbonyl]glycine morpholide.

IT 113116-82-8P

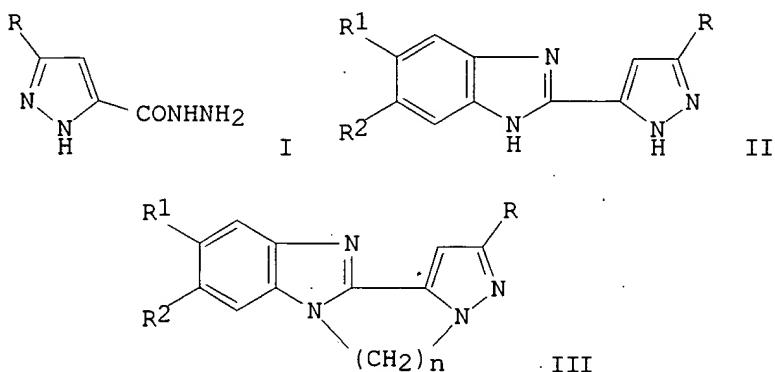
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as platelet activating factor antagonist)

RN 113116-82-8 CAPLUS

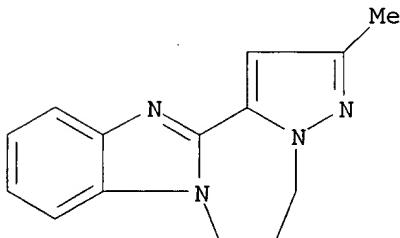
CN 4H-Imidazo[1,2-a][1,4]benzodiazepine-8-carboxamide, 6-(2-chlorophenyl)-5,6-dihydro-1-methyl-N-[2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS  
AN 1987:439769 CAPLUS  
DN 107:39769  
TI Synthesis and heterocyclization of 2-[3(5)pyrazolyl]benzimidazoles with phase-transfer catalysis  
AU Essassi, E. M.; Fifani, J.  
CS Lab. Chim. Org. Heterocycl., Fac. Sci., Rabat, Morocco  
SO Bulletin des Societes Chimiques Belges (1987), 96(1), 63-7  
CODEN: BSCBAG; ISSN: 0037-9646  
DT Journal  
LA French  
OS CASREACT 107:39769  
GI

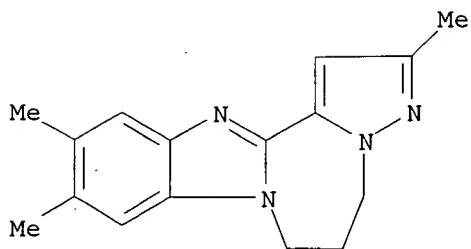


AB Fusion of o-diamines with pyrazolylhydrazides I (R = Me, Ph) gave pyrazolylbenzimidazoles II (R1 = H, Me, Cl, R2 = R1, NO<sub>2</sub>, CO<sub>2</sub>H). Treating II with Br(CH<sub>2</sub>)<sub>n</sub>Br (n = 2,3) under phase-transfer conditions gave benzimidazolopyrazolopyrazines (III; n = 2) and benzimidazolopyrazolodiazepines (III; n = 3).  
 IT 109073-69-0P 109073-70-3P 109073-71-4P  
 109107-21-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 109073-69-0 CAPLUS  
 CN 5H-Pyrazolo[5',1':3,4][1,4]diazepino[1,2-a]benzimidazole,  
 6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)



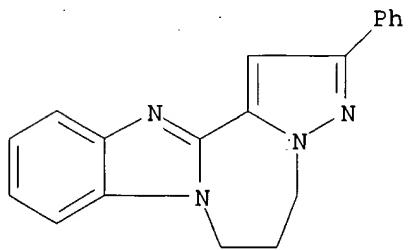
RN 109073-70-3 CAPLUS  
CN 5H-Pyrazolo[5',1':3,4][1,4]diazepino[1,2-a]benzimidazole,

6,7-dihydro-2,10,11-trimethyl- (9CI) (CA INDEX NAME)



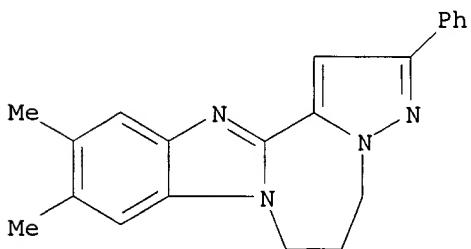
RN 109073-71-4 CAPLUS

CN 5H-Pyrazolo[5',1':3,4][1,4]diazepino[1,2-a]benzimidazole,  
6,7-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

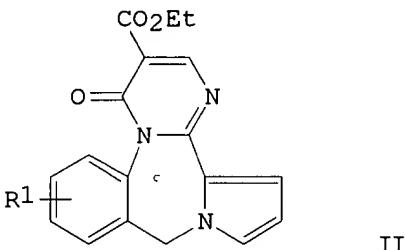
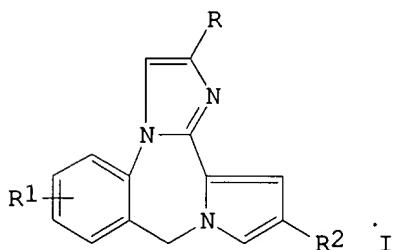


RN 109107-21-3 CAPLUS

CN 5H-Pyrazolo[5',1':3,4][1,4]diazepino[1,2-a]benzimidazole,  
6,7-dihydro-10,11-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



L~~W~~ ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1986:5852 CAPLUS  
 DN 104:5852  
 TI Synthesis of novel imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepines and  
 pyrimido[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepines  
 AU Duceppe, Jean Simon; Gauthier, Jean  
 CS Dep. Chem., Ayerst Res. Lab., Montreal, QC, H3C 3J1, Can.  
 SO Journal of Heterocyclic Chemistry (1985), 22(2), 305-10  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 104:5852  
 GI



AB Several 11-amino-5H-pyrrolo[2,1-c][1,4]benzodiazepines were condensed with BrCH<sub>2</sub>COCO<sub>2</sub>Et, H<sub>2</sub>NCH<sub>2</sub>CH(OMe)<sub>2</sub>, and EtOCH:C(COEt)<sub>2</sub> to yield 9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepines I (R = H, CO<sub>2</sub>Et; R1 = H, Cl, Me; R2 = H, CHO) and 10H-pyrimido[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepines II. Several thioamides of I (R1 = H; R2 = CHO) were prep'd. via Willgerodt-Kindler conditions.

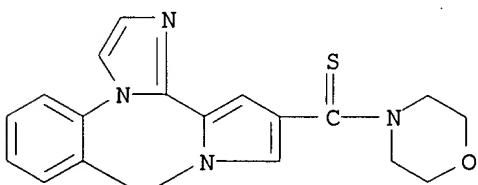
IT 98156-71-9P 98156-72-0P 98156-73-1P

98156-74-2P 99390-39-3P 99390-40-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

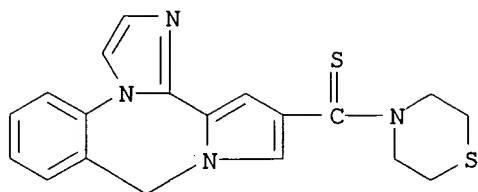
RN 98156-71-9 CAPLUS

CN Morpholine, 4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)

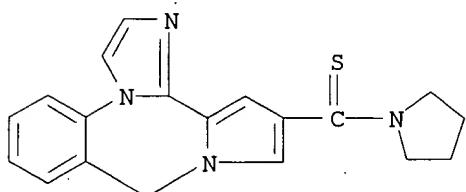


RN 98156-72-0 CAPLUS

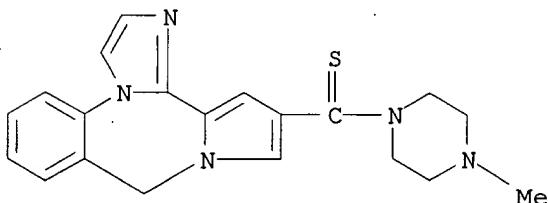
CN Thiomorpholine, 4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)



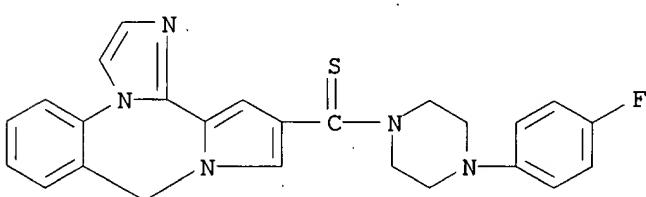
RN 98156-73-1 CAPLUS  
CN Pyrrolidine, 1-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)



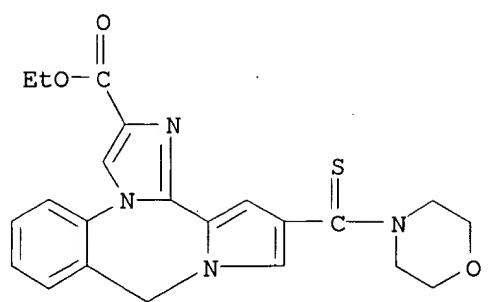
RN 98156-74-2 CAPLUS  
CN Piperazine, 1-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 99390-39-3 CAPLUS  
CN Piperazine, 1-(4-fluorophenyl)-4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)

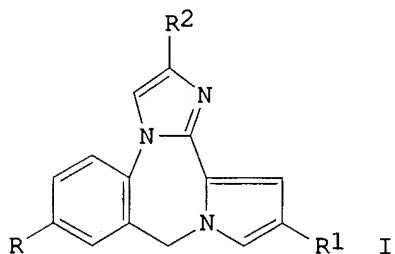


RN 99390-40-6 CAPLUS  
CN 9H-Imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepine-2-carboxylic acid, 12-(4-morpholinylthioxomethyl)-, ethyl ester (9CI) (CA INDEX NAME)



287 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1985:523531 CAPLUS  
 DN 103:123531  
 TI Imidazo[2,1-a]pyrrolo[2,1-c][1,4]benzodiazepine derivatives, and their use  
 IN Gauthier, Jean A.; Voith, Katherine; Asselin, Andre A.  
 PA Ayerst, McKenna and Harrison, Inc., Can.  
 SO U.S., 8 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4521534	A	19850604	US 1983-562905	19831219
PRAI US 1983-562905		19831219		
OS CASREACT 103:123531				
GI				



AB The title compds. I [R = H, halo, alkyl; R1 = H, CSR3 (R3 = morpholino, pyrrolidino, piperidino, 4-alkylpiperazino, 4-(4-fluorophenyl)piperazino); R2 = H, alkoxy carbonyl] and their addn. salts were prep'd. as antiobesity agents. Thus, 11-amino-5H-pyrrolo[2,1-c][1,4]benzodiazepine was treated with H2NCH2CH(OMe)2 to give [(5H-pyrrolo[2,1-c][1,4]benzodiazepin-11-yl)amino]acetaldehyde, which was cyclized by HCl to give I (R = R1 = R2 = H) (II). At 30 mg/kg II decreased the food intake in rats by 51%.

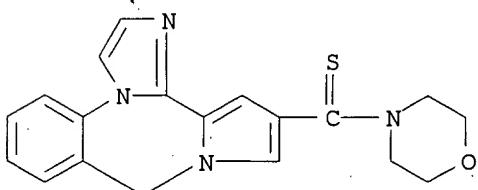
IT 98156-71-9P 98156-72-0P 98156-73-1P

98156-74-2P 98156-75-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and appetite depressant activity of)

RN 98156-71-9 CAPLUS

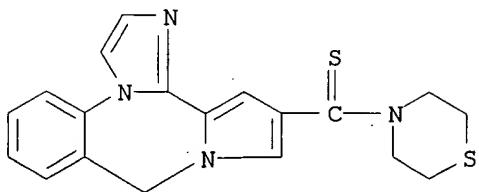
CN Morpholine, 4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)



RN 98156-72-0 CAPLUS

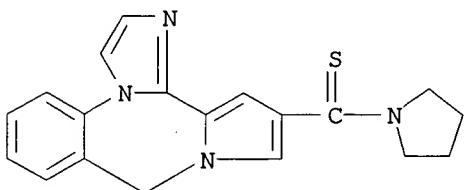
CN Thiomorpholine, 4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-

ylthioxomethyl)- (9CI) (CA INDEX NAME)



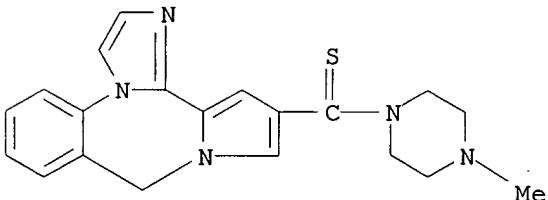
RN 98156-73-1 CAPLUS

CN Pyrrolidine, 1-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)- (9CI) (CA INDEX NAME)



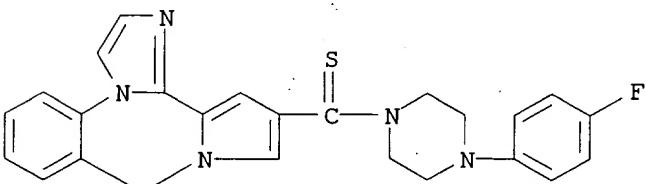
RN 98156-74-2 CAPLUS

CN Piperazine, 1-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)-4-methyl- (9CI) (CA INDEX NAME)



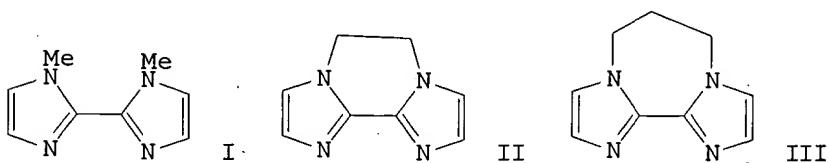
RN 98156-75-3 CAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-(9H-imidazo[1,2-a]pyrrolo[2,1-c][1,4]benzodiazepin-12-ylthioxomethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



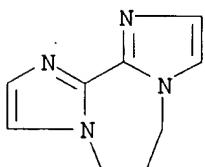
3 HCl

D7 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1982:161809 CAPLUS  
 DN 96:161809  
 TI Lone-pair cooperativity in the N-alkylation of some derivatives  
 2,2'-biimidazole  
 AU Deady, Leslie W.  
 CS Org. Chem. Dep., La Trobe Univ., Bundoora, 3083, Australia  
 SO Australian Journal of Chemistry (1981), 34(12), 2569-76  
 CODEN: AJCHAS; ISSN: 0004-9425  
 DT Journal  
 LA English  
 GI



AB The relative rates of N-alkylation of I, III, and III with a variety of alkylating agents are reported. Irresp. of the alkylating agent, the order of reactivity was III (where the biimidazole has an addnl. three-carbon bridge) > II (two-carbon bridge) > I (no bridge), with a max. of 317:1 I-III for reaction with MeOCH<sub>2</sub>Cl. These results support the idea of N atom lone-pair cooperativity in the alkylation reactions. Variation in the rate ratios with changes in alkylating agent are discussed.

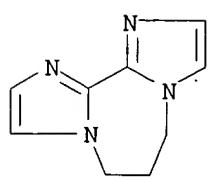
IT 81416-11-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 81416-11-7 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

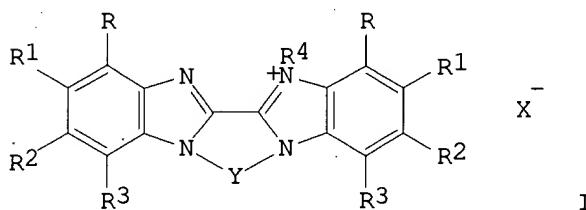
IT 54475-95-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-alkylation of, kinetics of, nitrogen atom lone-pair cooperativity and)  
 RN 54475-95-5 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro- (9CI) (CA INDEX NAME)

09/868,356



ANSWER 42 OF 46 CAPLUS COPYRIGHT 2003 ACS  
AN 1981:463696 CAPLUS  
DN 95:63696  
TI Quaternized bridged benzimidazolyl benzimidazoles and their use  
IN Schoenberger, Norbert; Schinzel, Erich; Martini, Thomas; Roesch, Guenther  
PA Hoechst A.-G., Fed. Rep. Ger.  
SO Ger. Offen., 23 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2939916	A1	19810423	DE 1979-2939916	19791002
	EP 27897	A1	19810506	EP 1980-105767	19800925
	R: CH, DE, FR, GB, IT, NL				
	US 4309551	A	19820105	US 1980-191709	19800929
	JP 56057851	A2	19810520	JP 1980-135930	19801001
PRAI	DE 1979-2939916		19791002		
GI					



AB Title compds. having the general structure I are prep'd., where R-R3 = H or a substituent, or any two adjacent R groups taken together may form a fused benzene ring; R4 = alkyl or substituted alkyl; Y =  $(CH_2)_n$  ( $n = 1-4$ ) or  $(CR_{25})_1-3$  ( $R_5$  = alkyl or phenyl); and  $X^-$  = halide, alkylsulfonate, etc. I are esp. useful as fluorescent whiteners for acrylic fibers. Thus, quaternization of 1,1'-dimethylene-5,5',6,6'-tetramethyl-2,2'-bibenzimidazole) [78196-62-0] (from 5,5',6,6'-tetramethyl-2,2'-bibenzimidazole [14468-52-1] and 1,2-dibromoethane [106-93-4]) with  $Me_2SO_4$  in DMF at 80-120.degree. gave pale yellow cryst. I ( $R = R_3 = H$ ,  $R_1 = R_2 = R_4 = Me$ ,  $Y = CH_2CH_2$ ,  $X = MeSO_4^-$ ) [78196-76-6] showing a blue-violet fluorescence in  $H_2O$  or DMF and fluorescent whitening properties on acrylic fibers. The corresponding compd. in which the  $CH_2CH_2$  bridge was replaced by two Me groups showed no whitening effect.

IT 78196-66-4P

RL: PREP (Preparation)

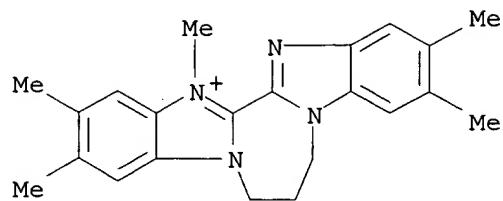
(manuf. of, as a fluorescent brightener for acrylic fibers)

RN 78196-66-4 CAPLUS

CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepinium, 7,8-dihydro-2,3,11,12,14-pentamethyl-, methyl sulfate (9CI) (CA INDEX NAME)

CM 1

CRN 78196-65-3  
CMF C22 H25 N4



CM 2

CRN 21228-90-0

CMF C H3 O4 S

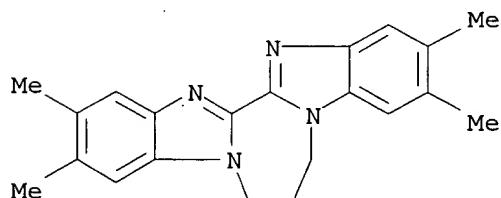
Me-O-SO<sub>3</sub><sup>-</sup>

IT 78196-67-5P

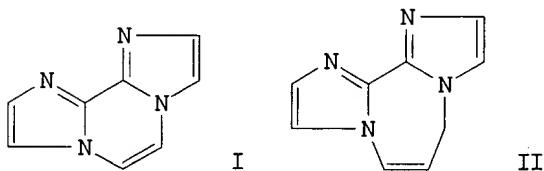
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and quaternization of)

RN 78196-67-5 CAPLUS

CN 6H-Bisbenzimidazo[1,2-a:2',1'-c][1,4]diazepine, 7,8-dihydro-2,3,11,12-tetramethyl- (9CI) (CA INDEX NAME)



ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS  
1976:144589 CAPLUS  
84:144589  
New antiprotozoal agents  
Melloni, Piero; Fusar-Bassini, Domenico; Logemann, Willy; Forgione, Angelo; Dradi, Emanuele; De Carneri, Ivo; Bianchi, Alberta; Trane, Franca  
Carlo Erba Res. Inst., Milan, Italy  
European Journal of Medicinal Chemistry (1975), 10(5), 514-18  
CODEN: EJMCA5; ISSN: 0223-5234  
Journal  
English  
GI



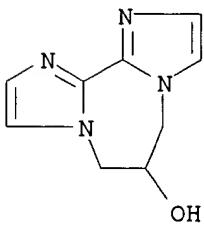
AB Of the 19 nitroderivs. of diimidazo-[1,2-a; 2',1'-c]-pyrazine (I) and diimidazo-[1,2-a; 2',1'-c][1,4]-diazepine (II) tested against *Trichomonas vaginalis*, *Entamoeba histolytica* and *Giardia muris*, some of the compds. displayed *in vitro* and *in vivo* (with mice and rats) activities several times higher than metronidazole [443-48-1]. The prepn. of the nitroderivs. of I and II is described. For the compds. to be active, the nitro group had to be in the ortho position to the pyrrolic type nitrogen. The I derivs. were more active than the corresponding II derivs., and the 5,6-dihydro derivs. were slightly more active than the corresponding 5,6-unsatd. compds.

IT 54475-99-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation and pivaloylation and nitration of)

RN 54475-99-9 CAPLUS

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro- (9CI) (CA INDEX NAME)



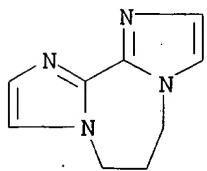
IT 54475-95-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(nitration of)

RN 54475-95-5 CAPLUS

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro- (9CI) (CA INDEX)

NAME)

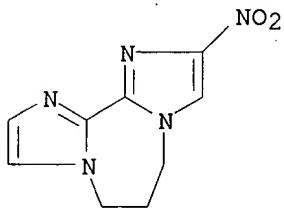


IT 57831-72-8P 57831-73-9P 57831-75-1P  
57831-76-2P 57831-77-3P 57831-78-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and protozoacidal activity of)

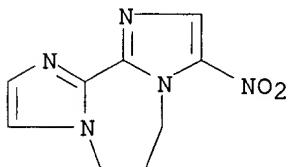
RN 57831-72-8 CAPPLUS

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro-2-nitro- (9CI) (CA  
INDEX NAME)



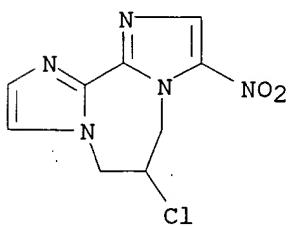
RN 57831-73-9 CAPPLUS

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro-3-nitro- (9CI) (CA  
INDEX NAME)



RN 57831-75-1 CAPPLUS

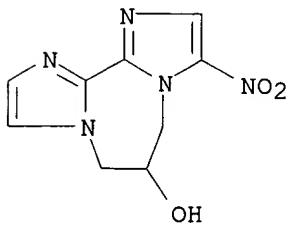
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6-chloro-6,7-dihydro-3-nitro-  
(9CI) (CA INDEX NAME)



RN 57831-76-2 CAPPLUS

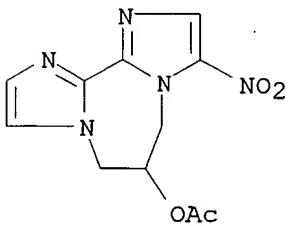
09/868,356

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro-3-nitro- (9CI)  
(CA INDEX NAME)



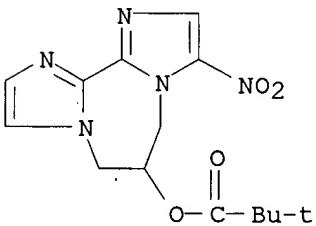
RN 57831-77-3 CAPLUS

CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro-3-nitro-,  
acetate (ester) (9CI) (CA INDEX NAME)

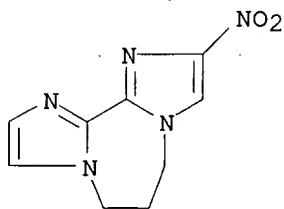


RN 57831-78-4 CAPLUS

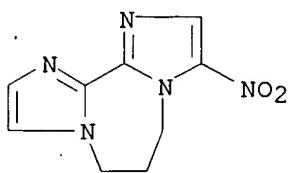
CN Propanoic acid, 2,2-dimethyl-, 6,7-dihydro-3-nitro-5H-diimidazo[1,2-  
a:2',1'-c][1,4]diazepin-6-yl ester (9CI) (CA INDEX NAME)



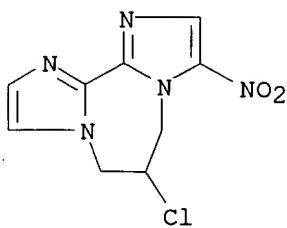
L27 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1976:39353 CAPLUS  
 DN 84:39353  
 TI Diimidazopirazines and diimidazodiazepines, a new class of heterocycles  
 with antiprotozoan activity  
 AU Melloni, P.; Logemann, W.; Dradi, E.; Confalonieri, C.; Trane, F.; De  
 Carneri, I.  
 CS Carlo Erba Res. Inst., Milan, Italy  
 SO Prog. Chemother. (Antibacterial, Antiviral, Antineoplast.), Proc. Int.  
 Congr. Chemother., 8th (1974), Meeting Date 1973, Volume 1, 983-7.  
 Editor(s): Daikos, George K. Publisher: Hell. Soc. Chemother., Athens,  
 Greece.  
 CODEN: 31TFAO  
 DT Conference  
 LA English  
 AB Derivs. of diimidazo[1,2-a; 2,1-c]pirazine [54475-96-6] and  
 diimidazo[1,2-a; 2,1-c]diazepine[1,4] [54475-97-7] were prep'd. and  
 subjected to uv and ir spectral anal. for structure detn. The compds.  
 contg. a nitro group at position 3 were comparable to metronidazole  
 [443-48-1] in their ability to inhibit Trichomonas vaginalis and Entamoeba  
 histolytica in vivo and in vitro.  
 IT 57831-72-8 57831-73-9 57831-75-1  
 57831-76-2 57831-77-3 57831-78-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); BIOL (Biological study)  
 (protozoacidal activity of)  
 RN 57831-72-8 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro-2-nitro- (9CI) (CA  
 INDEX NAME)



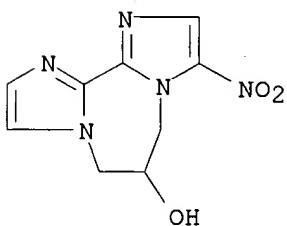
RN 57831-73-9 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro-3-nitro- (9CI) (CA  
 INDEX NAME)



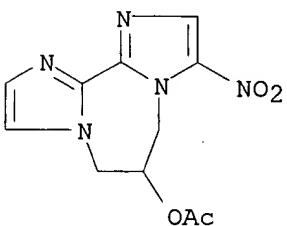
RN 57831-75-1 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6-chloro-6,7-dihydro-3-nitro-  
 (9CI) (CA INDEX NAME)



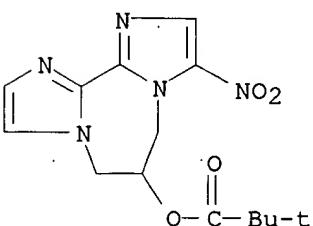
RN 57831-76-2 CAPLUS  
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro-3-nitro- (9CI)  
(CA INDEX NAME)



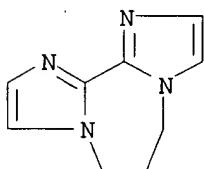
RN 57831-77-3 CAPLUS  
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro-3-nitro-, acetate (ester) (9CI) (CA INDEX NAME)



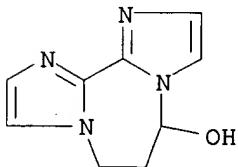
RN 57831-78-4 CAPLUS  
CN Propanoic acid, 2,2-dimethyl-, 6,7-dihydro-3-nitro-5H-diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-yl ester (9CI) (CA INDEX NAME)



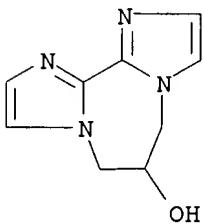
X7 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1975:43375 CAPLUS  
 DN 82:43375  
 TI Synthesis of diimidazo[1,2-a:2',1'-c]pyrazines and diimidazo[1,2-a:2',1'-c][1,4]diazepines  
 AU Melloni, P.; Fasar-Bassini, D.; Dradi, E.; Confalonieri, C.  
 CS Dep. Chem., Carlo Erba Res. Inst., Milan, Italy  
 SO Journal of Heterocyclic Chemistry (1974), 11(5), 731-5  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 AB The diimidazo[1,2-a:2',1'-c]pyrazine and 5H-diimidazo[1,2-a:2',1'-c][1,4]diazepine ring systems were prep'd. from 2,2'-biimidazole.  
 IT 54475-95-5P 54475-98-8P 54475-99-9P  
 54476-00-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 54475-95-5 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6,7-dihydro- (9CI) (CA INDEX NAME)



RN 54475-98-8 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-5-ol, 6,7-dihydro- (9CI) (CA INDEX NAME)



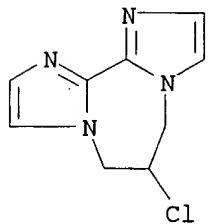
RN 54475-99-9 CAPLUS  
 CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepin-6-ol, 6,7-dihydro- (9CI) (CA INDEX NAME)



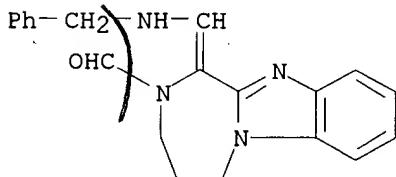
09/868,356

RN 54476-00-5 CAPLUS

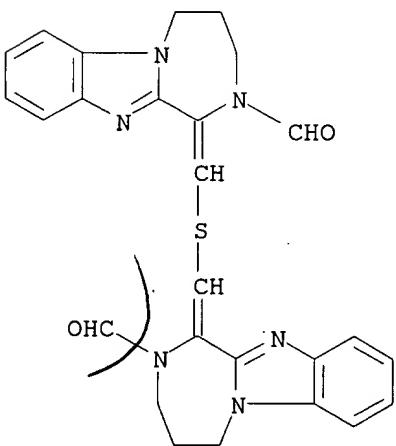
CN 5H-Diimidazo[1,2-a:2',1'-c][1,4]diazepine, 6-chloro-6,7-dihydro- (9CI)  
(CA INDEX NAME)



L ~~X~~ ANSWER 46 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 AN 1971:510235 CAPLUS  
 DN 75:110235  
 TI Reaction of 2-(4-thiazolyl)benzimidazole (thiabendazole) with alkyl halides  
 AU Maynard, Judith A.; Rae, I. D.; Rash, D.; Swan, J. M.  
 CS Dep. Chem., Monash Univ., Clayton, Australia  
 SO Australian Journal of Chemistry (1971), 24(9), 1873-81  
 CODEN: AJCHAS; ISSN: 0004-9425  
 DT Journal  
 LA English  
 AB 2-(4-Thiazolyl)benzimidazole (thiabendazole) is alkylated at a benzimidazole N by reaction with NaH and an alkyl halide. With 1,3-dibromopropane and 1,2-dibromoethane, the thiazole N is also alkylated to give quaternary salts contg. the 6,7-dihydro-5H-thiazolo[3',4'.1,2][1,4]diazepino[8,9-a]benzimidazole and 5,6-dihydrothiazolo[3,'4'.1,2]pyrazino[7,8-a]benzimidazole ring systems, resp. The structures proposed for these tetracyclic products are supported by spectroscopic examn. of the products formed by alkali fission of their thiazole rings.  
 IT 33705-50-9P 33813-39-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep. of)  
 RN 33705-50-9 CAPLUS  
 CN 1H-[1,4]Diazepino[1,2-a]benzimidazole-2(3H)-carboxaldehyde,  
 1-[(benzylamino)methylene]-4,5-dihydro- (8CI) (CA INDEX NAME)



RN 33813-39-7 CAPLUS  
 CN 1H-[1,4]Diazepino[1,2-a]benzimidazole-2(3H)-carboxaldehyde,  
 1,1'-(thiodimethylidyne)bis[4,5-dihydro- (8CI) (CA INDEX NAME)



09/868,356